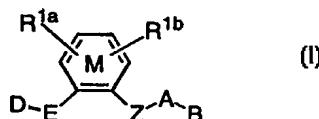




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(54) Title: (AMIDINO)6-MEMBERED AROMATICS AS FACTOR Xa INHIBITORS



(57) Abstract

The present application describes 6-membered aromatics of formula (I) or pharmaceutically acceptable salt forms thereof, wherein D may be CH_2NH_2 or $\text{C}(\text{=NH})\text{NH}_2$, which are useful as inhibitors of factor Xa.

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TITLE

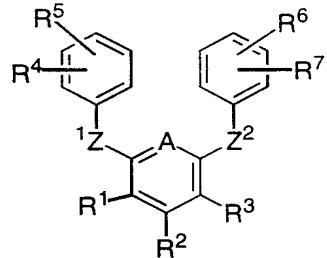
(AMIDINO)6-MEMBERED AROMATICS AS FACTOR Xa INHIBITORS

5 FIELD OF THE INVENTION

This invention relates generally to novel 6-membered aromatics which are inhibitors of trypsin-like serine protease enzymes, especially factor Xa, pharmaceutical compositions containing the same, and methods of using the same as 10 anticoagulant agents for treatment and prevention of thromboembolic disorders.

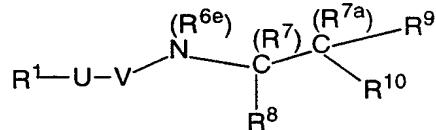
BACKGROUND OF THE INVENTION

WO 96/28427 describes benzamidine anticoagulants of the 15 formula:



wherein Z¹ and Z² are O, N(R), S or OCH₂ and the central ring 20 may be phenyl or a variety of heterocycles. The presently claimed compounds do not contain the Z¹ linker or the substitution pattern of the above compounds.

WO 95/18111 addresses fibrinogen receptor antagonists, containing basic and acidic termini, of the formula:



25 wherein R¹ represents the basic termini, U is an alkylene or heteroatom linker, V may be a heterocycle, and the right hand portion of the molecule represents the acidic termini. The presently claimed compounds do not contain the acidic termini 30 of WO 95/18111.

Activated factor Xa, whose major practical role is the generation of thrombin by the limited proteolysis of prothrombin, holds a central position that links the intrinsic and extrinsic activation mechanisms in the final common pathway of blood coagulation. The generation of thrombin, the final serine protease in the pathway to generate a fibrin clot, from its precursor is amplified by formation of prothrombinase complex (factor Xa, factor V, Ca^{2+} and phospholipid). Since it is calculated that one molecule of factor Xa can generate 138 molecules of thrombin (Elodi, S., Varadi, K.: *Optimization of conditions for the catalytic effect of the factor IXa-factor VIII Complex: Probable role of the complex in the amplification of blood coagulation.* Thromb. Res. 1979, 15, 617-629), inhibition of factor Xa may be more efficient than inactivation of thrombin in interrupting the blood coagulation system.

Therefore, efficacious and specific inhibitors of factor Xa are needed as potentially valuable therapeutic agents for the treatment of thromboembolic disorders. It is thus desirable to discover new factor Xa inhibitors.

SUMMARY OF THE INVENTION

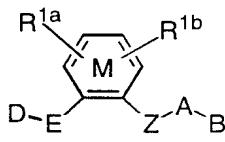
Accordingly, one object of the present invention is to provide novel 6-membered aromatics which are useful as factor Xa inhibitors or pharmaceutically acceptable salts or prodrugs thereof.

It is another object of the present invention to provide pharmaceutical compositions comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

It is another object of the present invention to provide a method for treating thromboembolic disorders comprising administering to a host in need of such treatment a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

These and other objects, which will become apparent during the following detailed description, have been achieved by the inventors' discovery that compounds of formula (I):

5



I

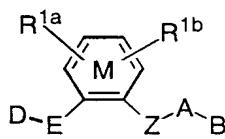
or pharmaceutically acceptable salt or prodrug forms thereof, wherein A, B, D, E, M, R^{1a}, R^{1b}, and Z are defined below, are effective factor Xa inhibitors.

10

DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

[1] Thus, in a first embodiment, the present invention provides novel compounds of formula I:

15



I

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein;

20 ring M contains from 0-4 N atoms;

D is selected from CN, C(=NR⁷)NR⁸R⁹, NHC(=NR⁷)NR⁸R⁹, NR⁸CH(=NR⁷), C(O)NR⁸R⁹, and (CR⁸R⁹)_tNR⁸R⁹;

25 E is selected from phenyl, 2-pyridyl, 4-pyridyl, pyrimidyl, and piperidinyl substituted with 1 R;

R is selected from H, F, Cl, Br, I, OR³, SR³, CO₂R³, NO₂, and CH₂OR³, and (CR⁸R⁹)_tNR⁸R⁹;

30

alternatively, E and R combine to form methylenedioxy or ethylenedioxy;

Z is selected from a bond, C₁₋₄ alkylene, (CH₂)_rO(CH₂)_r, (CH₂)_rNR³(CH₂)_r, (CH₂)_rC(O)(CH₂)_r, (CH₂)_rC(O)O(CH₂)_r, (CH₂)_rOC(O)(CH₂)_r, (CH₂)_rC(O)NR³(CH₂)_r, (CH₂)_rNR³C(O)(CH₂)_r, (CH₂)_rOC(O)O(CH₂)_r, 5 (CH₂)_rOC(O)NR³(CH₂)_r, (CH₂)_rNR³C(O)O(CH₂)_r, (CH₂)_rNR³C(O)NR³(CH₂)_r, (CH₂)_rS(O)_p(CH₂)_r, (CH₂)_rSO₂NR³(CH₂)_r, (CH₂)_rNR³SO₂(CH₂)_r, and (CH₂)_rNR³SO₂NR³(CH₂)_r, provided that Z does not form a N-N, N-O, N-S, NCH₂N, NCH₂O, or NCH₂S bond with ring M or 10 group A;

R^{1a} and R^{1b} are independently absent or selected from -(CH₂)_r-R^{1'}, -CH=CH-R^{1'}, NCH₂R^{1''}, OCH₂R^{1''}, SCH₂R^{1''}, 15 NH(CH₂)₂(CH₂)_tR^{1'}, O(CH₂)₂(CH₂)_tR^{1'}, and S(CH₂)₂(CH₂)_tR^{1'};

alternatively, R^{1a} and R^{1b}, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R⁴ and which 20 contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;

alternatively, when Z is C(O)NH and R^{1a} is attached to a ring carbon adjacent to Z, then R^{1a} is a C(O) which replaces 25 the amide hydrogen of Z to form a cyclic imide;

R^{1'} is selected from H, C₁₋₃ alkyl, F, Cl, Br, I, -CN, -CHO, (CF₂)_rCF₃, (CH₂)_rOR², NR²R^{2a}, C(O)R^{2c}, OC(O)R², 30 (CF₂)_rCO₂R^{2c}, S(O)_pR^{2b}, NR²(CH₂)_rOR², CH(=NR^{2c})NR²R^{2a}, NR²C(O)R^{2b}, NR²C(O)NHR^{2b}, NR²C(O)₂R^{2a}, OC(O)NR^{2a}R^{2b}, C(O)NR²R^{2a}, C(O)NR²(CH₂)_rOR², SO₂NR²R^{2a}, NR²SO₂R^{2b}, C₃₋₆ carbocyclic residue substituted with 0-2 R⁴, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, 35 and S substituted with 0-2 R⁴;

R^{1''} is selected from H, CH(CH₂OR²)₂, C(O)R^{2c}, C(O)NR²R^{2a}, S(O)R^{2b}, S(O)₂R^{2b}, and SO₂NR²R^{2a};

5 R^2 , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

10 R^{2a} , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, phenethyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

15 R^{2b} , at each occurrence, is selected from CF_3 , C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

20 R^{2c} , at each occurrence, is selected from CF_3 , OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

25 alternatively, R^2 and R^{2a} , together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

30 R^3 , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

35 R^{3a} , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3b} , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

5 R^{3c} , at each occurrence, is selected from C_{1-4} alkyl, and phenyl;

A is selected from:

10 C_{3-10} carbocyclic residue substituted with 0-2 R^4 , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4 ;

B is selected from: H, Y, and X-Y;

15 X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, $-C(O)-$, $-C(=NR^{1''})-$, $-CR^2(NR^{1''}R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$, $-CR^2R^{2a}S(O)_p-$, $-S(O)_2NR^2-$, $-NR^2S(O)_2-$, $-NR^2S(O)_2CR^2R^{2a}-$, $-CR^2R^{2a}S(O)_2NR^2-$, $-NR^2S(O)_2NR^2-$, $-C(O)NR^2-$, $-NR^2C(O)-$, $20 -C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$, $-NR^2C(O)O-$, $-OC(O)NR^2-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

25 Y is selected from:

$(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond,

30 C_{3-10} carbocyclic residue substituted with 0-2 R^{4a} , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a} ;

R^4 , at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2 , $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $C(O)NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, $NR^2SO_2-C_{1-4}$ alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, $(CF_2)_rCF_3$, $NCH_2R^{1''}$, $OCH_2R^{1''}$,

$\text{SCH}_2\text{R}^{1''}$, $\text{N}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$, $\text{O}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$, and
 $\text{S}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$;

alternatively, one R^4 is a 5-6 membered aromatic heterocycle
5 containing from 1-4 heteroatoms selected from the group
consisting of N, O, and S;

R^{4a} , at each occurrence, is selected from H, =O, $(\text{CH}_2)_r\text{OR}^2$,
 $(\text{CH}_2)_r\text{-F}$, $(\text{CH}_2)_r\text{-Br}$, $(\text{CH}_2)_r\text{-Cl}$, I, C_{1-4} alkyl, -CN, NO_2 ,
10 $(\text{CH}_2)_r\text{NR}^2\text{R}^{2a}$, $(\text{CH}_2)_r\text{NR}^2\text{R}^{2b}$, $(\text{CH}_2)_r\text{C}(\text{O})\text{R}^{2c}$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$,
 $\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$, $\text{C}(\text{O})\text{NH}(\text{CH}_2)_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$,
 $\text{CH}(\text{=NR}^2)\text{NR}^2\text{R}^{2a}$, $\text{NHC}(\text{=NR}^2)\text{NR}^2\text{R}^{2a}$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{SO}_2\text{NR}^2\text{R}^{2a}$,
 $\text{NR}^2\text{SO}_2\text{-C}_{1-4}$ alkyl, $\text{C}(\text{O})\text{NHSO}_2\text{-C}_{1-4}$ alkyl, $\text{NR}^2\text{SO}_2\text{R}^5$, $\text{S}(\text{O})_p\text{R}^5$,
and $(\text{CF}_2)_r\text{CF}_3$;

15 alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle
containing from 1-4 heteroatoms selected from the group
consisting of N, O, and S and substituted with 0-1 R^5 ;

20 R^{4b} , at each occurrence, is selected from H, =O, $(\text{CH}_2)_r\text{OR}^3$, F,
Cl, Br, I, C_{1-4} alkyl, -CN, NO_2 , $(\text{CH}_2)_r\text{NR}^3\text{R}^{3a}$,
 $(\text{CH}_2)_r\text{C}(\text{O})\text{R}^3$, $(\text{CH}_2)_r\text{C}(\text{O})\text{OR}^{3c}$, $\text{NR}^3\text{C}(\text{O})\text{R}^{3a}$, $\text{C}(\text{O})\text{NR}^3\text{R}^{3a}$,
 $\text{NR}^3\text{C}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{CH}(\text{=NR}^3)\text{NR}^3\text{R}^{3a}$, $\text{NH}^3\text{C}(\text{=NR}^3)\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$,
 $\text{NR}^3\text{SO}_2\text{NR}^3\text{R}^{3a}$, $\text{NR}^3\text{SO}_2\text{-C}_{1-4}$ alkyl, $\text{NR}^3\text{SO}_2\text{CF}_3$, $\text{NR}^3\text{SO}_2\text{-phenyl}$,
25 $\text{S}(\text{O})_p\text{CF}_3$, $\text{S}(\text{O})_p\text{-C}_{1-4}$ alkyl, $\text{S}(\text{O})_p\text{-phenyl}$, and $(\text{CF}_2)_r\text{CF}_3$;

30 R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl,
phenyl substituted with 0-2 R^6 , and benzyl substituted
with 0-2 R^6 ;

35 R^6 , at each occurrence, is selected from H, OH, $(\text{CH}_2)_r\text{OR}^2$, F,
Cl, Br, I, C_{1-4} alkyl, CN, NO_2 , $(\text{CH}_2)_r\text{NR}^2\text{R}^{2a}$,
 $(\text{CH}_2)_r\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$, $\text{CH}(\text{=NH})\text{NH}_2$,
 $\text{NHC}(\text{=NH})\text{NH}_2$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{SO}_2\text{NR}^2\text{R}^{2a}$, and $\text{NR}^2\text{SO}_2\text{C}_{1-4}$ alkyl;

35 R^7 , at each occurrence, is selected from H, OH, C_{1-6} alkyl,
 C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxy carbonyl,
 $(\text{CH}_2)_n\text{-phenyl}$, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10}

arylmethylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₆₋₁₀ arylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl-C₁₋₄ alkoxycarbonyl;

5

R⁸, at each occurrence, is selected from H, C₁₋₆ alkyl and (CH₂)_n-phenyl;

10 alternatively, R⁷ and R⁸ combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

15 R⁹, at each occurrence, is selected from H, C₁₋₆ alkyl and (CH₂)_n-phenyl;

n is selected from 0, 1, 2, and 3;

m is selected from 0, 1, and 2;

20

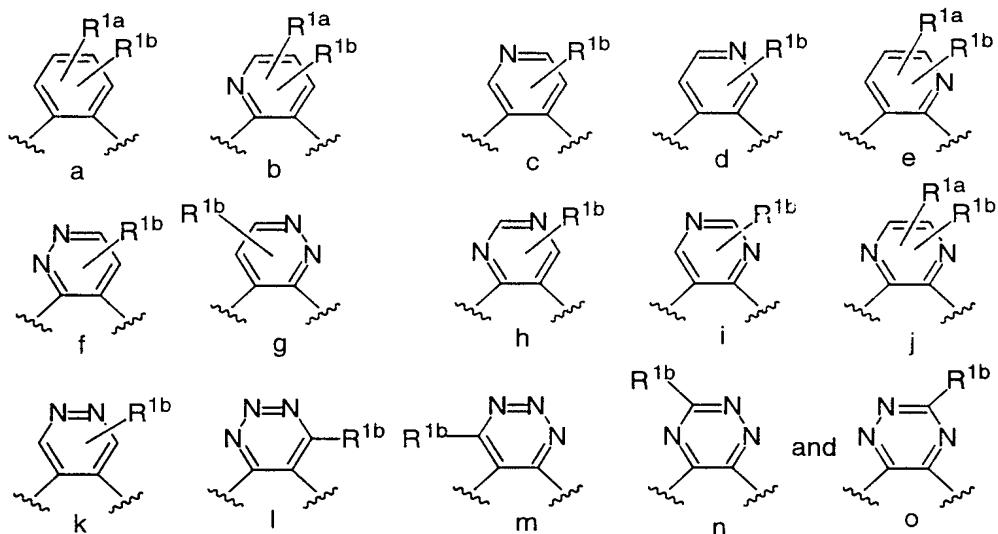
p is selected from 0, 1, and 2;

r is selected from 0, 1, 2, and 3;

25 s is selected from 0, 1, and 2; and,

t is selected from 0 and 1.

30 [2] In a preferred embodiment, the present invention provides novel compounds of formulae Ia-Io:



wherein:

5 Z is selected from a bond, CH_2O , OCH_2 , CH_2NH , NHCH_2 , $\text{CH}_2\text{C(O)}$,
 C(O)CH_2 , C(O)NH , C(O)NH , $\text{CH}_2\text{S(O)}_2$, $\text{S(O)}_2(\text{CH}_2)$, SO_2NH , and
 SO_2NH ;

B is selected from: Y, X-Y, and $\text{NR}^2\text{R}^2\text{a}$;

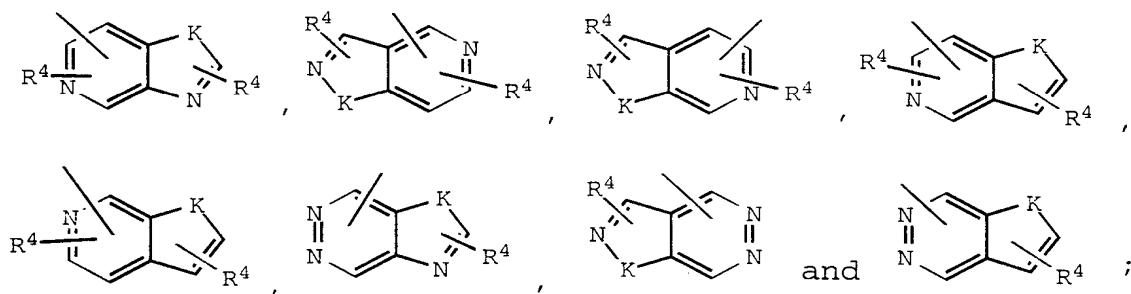
10

Y is selected from one of the following carbocyclic and
heterocyclic systems which are substituted with 0-2 R^4a ;
phenyl, piperidinyl, piperazinyl, pyridyl,
pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
15 pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
isothiazolyl, pyrazolyl, imidazolyl, oxadiazole,
thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-
oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-
thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-
thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-
triazole, 1,3,4-triazole, benzofuran, benzothiophuran,
indole, benzimidazole, benzoxazole, benzthiazole,
indazole, benzisoxazole, benzisothiazole, and
isoindazole;

20

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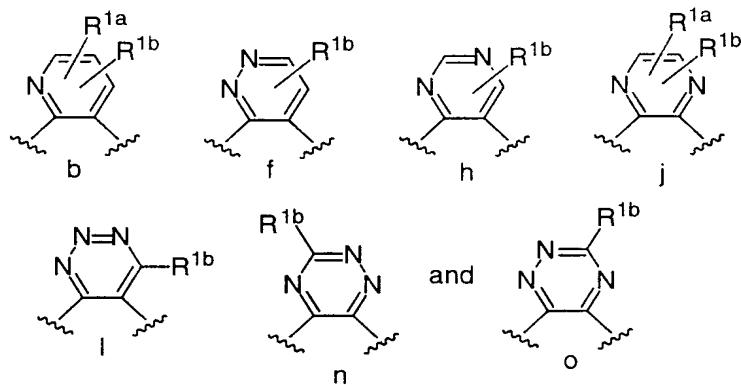
Y may also be selected from the following bicyclic heteroaryl
ring systems:



K is selected from O, S, NH, and N.

5

[3] In a more preferred embodiment, the present invention provides novel compounds of formulae:



10

wherein:

D is selected from $C(=NR^7)NR^8R^9$ and $(CR^8R^9)_tNR^8R^9$;

15 R is selected from H, F, Cl, OR³, CH₂OR³, CH₂NH₂;

A is selected from:

piperidinyl,

piperazinyl,

20 C₅₋₆ carbocyclic residue substituted with 0-2 R⁴, and

5-6 membered heteroaryl containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R⁴;

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

5 phenyl, piperidinyl, piperazinyl, pyridyl,
pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl,
oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole,
1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole,
10 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole,
1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole.

[4] In an even more preferred embodiment, the present
15 invention provides novel compounds wherein:

E is phenyl;

D is selected from C(=NH)NH₂ and CH₂NH₂;
20 R is selected from H, F, Cl, and Br;

A is selected from:
25 C₅-6 carbocyclic residue substituted with 0-2 R⁴, and
5-6 membered heteroaryl containing from 1-3 heteroatoms
selected from the group consisting of N, O, and S substituted
with 0-2 R⁴;

Y is selected from one of the following carbocyclic and
30 heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperidinyl, piperazinyl, pyridyl,
pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl,
35 oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole,
1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole,
1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole,

1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole;

5 R^2 , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

10 R^{2a} , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, phenethyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

15 R^{2b} , at each occurrence, is selected from CF_3 , C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

20 R^{2c} , at each occurrence, is selected from CF_3 , OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

25 alternatively, R^2 and R^{2a} , together with the atom to which they are attached, combine to form a ring selected from imidazolyl, morpholino, piperazinyl, pyridyl, and pyrrolidinyl, substituted with 0-2 R^{4b} ;

30 R^4 , at each occurrence, is selected from H, =O, OR^2 , CH_2OR^2 , F, Cl, C_{1-4} alkyl, NR^2R^{2a} , $CH_2NR^2R^{2a}$, $C(O)R^{2c}$, $CH_2C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2-C_{1-4}$ alkyl, $S(O)_2R^5$, and CF_3

provided that if B is H, then R⁴ is other than tetrazole, C(O)-alkoxy, and C(O)NR²R^{2a};

5 R^{4a}, at each occurrence, is selected from H, =O, (CH₂)_rOR², F, Cl, C₁₋₄ alkyl, NR²R^{2a}, CH₂NR²R^{2a}, NR²R^{2b}, CH₂NR²R^{2b}, (CH₂)_rC(O)R^{2c}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, C(O)NH(CH₂)₂NR²R^{2a}, NR²C(O)NR²R^{2a}, SO₂NR²R^{2a}, S(O)₂R⁵, and CF₃; and,

10 R^{4b}, at each occurrence, is selected from H, =O, (CH₂)_rOR³, F, Cl, C₁₋₄ alkyl, NR³R^{3a}, CH₂NR³R^{3a}, C(O)R³, CH₂C(O)R³, C(O)OR^{3c}, C(O)NR³R^{3a}, CH(=NR³)NR³R^{3a}, SO₂NR³R^{3a}, NR³SO₂-C₁₋₄ alkyl, NR³SO₂CF₃, NR³SO₂-phenyl, S(O)₂CF₃, S(O)₂-C₁₋₄ alkyl, S(O)₂-phenyl, and CF₃.

15

[5] In a further preferred embodiment, the present invention provides novel compounds selected from:

20 N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide;

25 N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide; and,

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide;

30

or a pharmaceutically acceptable salt thereof.

35 In a second embodiment, the present invention provides novel pharmaceutical compositions, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt form thereof.

In a third embodiment, the present invention provides a novel method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need 5 thereof a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt form thereof.

DEFINITIONS

10 The compounds herein described may have asymmetric centers. Compounds of the present invention containing an asymmetrically substituted atom may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of 15 racemic forms or by synthesis from optically active starting materials. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present invention. Cis and trans geometric isomers of 20 the compounds of the present invention are described and may be isolated as a mixture of isomers or as separated isomeric forms. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomeric form is specifically 25 indicated.

The term "substituted," as used herein, means that any one or more hydrogens on the designated atom is replaced with a selection from the indicated group, provided that the designated atom's normal valency is not exceeded, and that the 30 substitution results in a stable compound. When a substituent is keto (i.e., =O), then 2 hydrogens on the atom are replaced. Keto substituents are not present on aromatic moieties.

The present invention is intended to include all isotopes 35 of atoms occurring in the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium. Isotopes of carbon include C-13 and C-14.

When any variable (e.g., R⁶) occurs more than one time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to 5 be substituted with 0-2 R⁶, then said group may optionally be substituted with up to two R⁶ groups and R⁶ at each occurrence is selected independently from the definition of R⁶. Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

10 When a bond to a substituent is shown to cross a bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then 15 such substituent may be bonded via any atom in such substituent. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

As used herein, "alkyl" is intended to include both 20 branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. Examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, and s-pentyl. "Haloalkyl" is intended to include both branched 25 and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms, substituted with 1 or more halogen (for example -C_vF_w where v = 1 to 3 and w = 1 to (2v+1)). Examples of haloalkyl include, but are not limited to, trifluoromethyl, trichloromethyl, pentafluoroethyl, and pentachloroethyl. "Alkoxy" represents 30 an alkyl group as defined above with the indicated number of carbon atoms attached through an oxygen bridge. Examples of alkoxy include, but are not limited to, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, s-butoxy, t-butoxy, n-pentoxy, 35 and s-pentoxy. "Cycloalkyl" is intended to include saturated ring groups, such as cyclopropyl, cyclobutyl, or cyclopentyl. "Alkenyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated

carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl, propenyl and the like. "Alkynyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl, propynyl and the like.

5 "Halo" or "halogen" as used herein refers to fluoro, chloro, bromo, and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, 10 hydroxide, acetate, sulfate, and the like.

15 As used herein, "carbocycle" or "carbocyclic residue" is intended to mean any stable 3- to 7-membered monocyclic or bicyclic or 7- to 13-membered bicyclic or tricyclic, any of which may be saturated, partially unsaturated, or aromatic. Examples of such carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantlyl, cyclooctyl, [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane, [2.2.2]bicyclooctane, fluorenyl, phenyl, naphthyl, indanyl, 20 adamantlyl, or tetrahydronaphthyl.

25 As used herein, the term "heterocycle" or "heterocyclic system" is intended to mean a stable 5-to 7-membered monocyclic or bicyclic or 7-to 10-membered bicyclic heterocyclic ring which is saturated partially unsaturated or unsaturated (aromatic), and which consists of carbon atoms and from 1 to 4 heteroatoms independently selected from the group consisting of N, O and S and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur heteroatoms may 30 optionally be oxidized. The heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if the resulting compound is stable. If specifically noted, a nitrogen in the heterocycle may optionally be 35 quaternized. It is preferred that when the total number of S and O atoms in the heterocycle exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred

that the total number of S and O atoms in the heterocycle is not more than 1. As used herein, the term "aromatic heterocyclic system" is intended to mean a stable 5-to 7-membered monocyclic or bicyclic or 7-to 10-membered bicyclic heterocyclic aromatic ring which consists of carbon atoms and from 1 to 4 heterotams independently selected from the group consisting of N, O and S. It is preferred that the total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazolinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuro[2,3-*b*]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1H-indazolyl, indolenyl, indolinyl, indolizinyl, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxythiinyl, phenoxyazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinolizinyl, quinoxalinyl, quinuclidinyl, tetrahydrofuran, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl,

1,3,4-triazolyl, and xanthenyl. Preferred heterocycles include, but are not limited to, pyridinyl, furanyl, thieryl, pyrrolyl, pyrazolyl, pyrrolidinyl, imidazolyl, indolyl, benzimidazolyl, 1H-indazolyl, oxazolidinyl, benzotriazolyl, 5 benzisoxazolyl, oxindolyl, benzoxazolinyl, or isatinoyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, 10 and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk 15 ratio.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are 20 not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent 25 compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids 30 such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, and 35 the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical

methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; 5 generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by 10 reference.

"Prodrugs" are intended to include any covalently bonded carriers which release the active parent drug according to formula (I) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of a compound of formula (I) are 15 prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of formula (I) wherein a hydroxy, amino, or sulfhydryl group is bonded to any group 20 that, when the prodrug or compound of formula (I) is administered to a mammalian subject, cleaves to form a free hydroxyl, free amino, or free sulfhydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, 25 formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formula (I), and the like. Preferred prodrugs are amidine prodrugs wherein D is C(=NR⁷)NH₂, and R⁷ is selected from OH, C₁₋₄ alkoxy, C₆₋₁₀ aryloxy, C₁₋₄ alkoxy carbonyl, C₆₋₁₀ aryloxy carbonyl, C₆₋₁₀ arylmethyl carbonyl, C₁₋₄ alkyl carbonyloxy C₁₋₄ alkoxy carbonyl, 30 and C₆₋₁₀ aryl carbonyloxy C₁₋₄ alkoxy carbonyl. More preferred prodrugs are where R⁷ is OH, methoxy, ethoxy, benzyloxycarbonyl, methoxycarbonyl, and methylcarbonyloxy methoxycarbonyl.

"Stable compound" and "stable structure" are meant to 35 indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

"Substituted" is intended to indicate that one or more hydrogens on the atom indicated in the expression using "substituted" is replaced with a selection from the indicated group(s), provided that the indicated atom's normal valency is 5 not exceeded, and that the substitution results in a stable compound. When a substituent is keto (i.e., =O) group, then 2 hydrogens on the atom are replaced.

"Therapeutically effective amount" is intended to include an amount of a compound of the present invention or an amount 10 of the combination of compounds claimed effective to inhibit HIV infection or treat the symptoms of HIV infection in a host. The combination of compounds is preferably a synergistic combination. Synergy, as described for example by Chou and Talalay, *Adv. Enzyme Regul.* 22:27-55 (1984), occurs 15 when the effect (in this case, inhibition of HIV replication) of the compounds when administered in combination is greater than the additive effect of the compounds when administered alone as a single agent. In general, a synergistic effect is most clearly demonstrated at suboptimal concentrations of the 20 compounds. Synergy can be in terms of lower cytotoxicity, increased antiviral effect, or some other beneficial effect of the combination compared with the individual components.

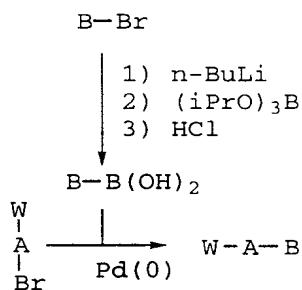
SYNTHESIS

25 The compounds of the present invention can be prepared in a number of ways known to one skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic 30 chemistry, or variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. The reactions are performed in a solvent appropriate to the reagents and materials employed and suitable for the transformations being 35 effected. It will sometimes require a judgment to modify the order of synthetic steps or to select one particular process scheme over another in order to obtain a desired compound of the invention. It will also be recognized that another major

consideration in the planning of any synthetic route in this field is the judicious choice of the protecting group used for the protection of the reactive functional groups present in the compounds described in this invention. An authoritative account describing the many alternatives to the trained practitioner is Greene and Wuts (*Protective Groups in Organic Chemistry*, Wiley and Sons, 1991). All references cited herein are hereby incorporated in their entirety herein by reference. Compounds of this invention where B is either a carbocyclic or heterocyclic residue as defined in Formula 1 are coupled to A as shown generically and by specific example in Schemes 1 and 2, respectively. Either or both of A and B may be substituted with 0-2 R⁴. W is defined as a suitable protected nitrogen, such as NO₂ or NHBOC; a protected sulfur, such as S-tBu or SMOM; or a methyl ester. Halogen-metal exchange of the bromine in bromo-B with n-butyl lithium, quenching with triisopropyl borate and acidic hydrolysis gives the required boronic acid, B-B(OH)₂. The W-A-Br subunit may be already linked to ring M before the Suzuki coupling reaction.

Deprotection provides the complete subunit.

Scheme 1



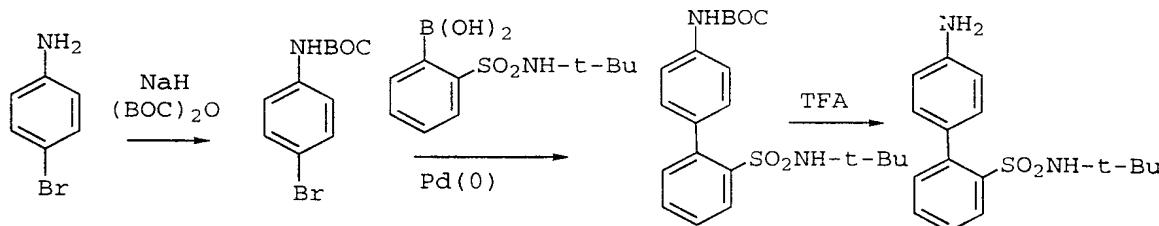
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Scheme 2 describes a typical example of how the A-B subunit is prepared for attachment to ring M. 4-Bromoaniline is protected as Boc-derivative and the coupled to 2-(t-butylamino)sulfonylphenylboronic acid under Suzuki conditions. 2-(t-Butylamino)sulfonylphenylboronic acid is prepared by the method described by Rivero (*Bioorg. Med. Chem. Lett.* 1994, 189). Deprotection with TFA can provide the aminobiphenyl

compound. The aminobiphenyl is then coupled to the core ring structures as described below.

Scheme 2

5



When B is defined as X-Y, the following description applies. Groups A and B are available either through commercial sources, known in the literature or readily synthesized by the adaptation of standard procedures known to practitioners skilled in the art of organic synthesis. the required reactive functional groups appended to analogs of A and B are also available either through commercial sources, known in the literature or readily synthesized by the adaptation of standard procedures known to practitioners skilled in the art of synthesis. In the tables that follow the chemistry required to effect the coupling of A to B is outlined.

20

Table A: Preparation of Amide Ester, Urea, Sulfonamide and Sulfamide Linkages Between A and B.

If A contains:	then the reactive substituent of Y is:	to give the following product A-X-Y:
A-NHR ² as a substituent	ClC(O)-Y	A-NR ² -C(O)-Y
a secondary NH as part of a ring or chain	ClC(O)-Y	A-C(O)-Y
A-OH as a substituent	ClC(O)-Y	A-O-C(O)-Y
A-NHR ² as a substituent	ClC(O)-CR ² R ^{2a} -Y	A-NR ² -C(O)-CR ² R ^{2a} -Y

a secondary NH as part of a ring or chain	$\text{ClC(O)-CR}^{2a}-\text{Y}$	$\text{A-C(O)-CR}^{2a}-\text{Y}$
A-OH as a substituent	$\text{ClC(O)-CR}^{2a}-\text{Y}$	$\text{A-O-C(O)-CR}^{2a}-\text{Y}$
A-NHR ² as a substituent	$\text{ClC(O)-CNR}^2-\text{Y}$	$\text{A-NR}^2-\text{C(O)-CNR}^2-\text{Y}$
a secondary NH as part of a ring or chain	$\text{ClC(O)-CNR}^2-\text{Y}$	$\text{A-C(O)-CNR}^2-\text{Y}$
A-OH as a substituent	$\text{ClC(O)-CNR}^2-\text{Y}$	$\text{A-O-C(O)-CNR}^2-\text{Y}$
A-NHR ² as a substituent	ClSO_2-Y	$\text{A-NR}^2-\text{SO}_2-\text{Y}$
a secondary NH as part of a ring or chain	ClSO_2-Y	$\text{A-SO}_2-\text{Y}$
A-NHR ² as a substituent	$\text{ClSO}_2-\text{CR}^{2a}-\text{Y}$	$\text{A-NR}^2-\text{SO}_2-\text{CR}^{2a}-\text{Y}$
a secondary NH as part of a ring or chain	$\text{ClSO}_2-\text{CR}^{2a}-\text{Y}$	$\text{A-SO}_2-\text{CR}^{2a}-\text{Y}$
A-NHR ² as a substituent	$\text{ClSO}_2-\text{NR}^2-\text{Y}$	$\text{A-NR}^2-\text{SO}_2-\text{NR}^2-\text{Y}$
a secondary NH as part of a ring or chain	$\text{ClSO}_2-\text{NR}^2-\text{Y}$	$\text{A-SO}_2-\text{NR}^2-\text{Y}$
A-C(O)Cl	HO-Y as a substituent	A-C(O)-O-Y
A-C(O)Cl	NHR ² -Y as a substituent	$\text{A-C(O)-NR}^2-\text{Y}$
A-C(O)Cl	a secondary NH as part of a ring or chain	A-C(O)-Y
A-CR ^{2a} C(O)Cl	HO-Y as a substituent	$\text{A-CR}^{2a}\text{C(O)-O-Y}$
A-CR ^{2a} C(O)Cl	NHR ² -Y as a substituent	$\text{A-CR}^{2a}\text{C(O)-NR}^2-\text{Y}$

$A-CR^{2a}C(O)Cl$	a secondary NH as part of a ring or chain	$A-CR^{2a}C(O)-Y$
$A-SO_2Cl$	NHR^2-Y as a substituent	$A-SO_2-NR^2-Y$
$A-SO_2Cl$	a secondary NH as part of a ring or chain	$A-SO_2-Y$
$A-CR^{2a}SO_2Cl$	NHR^2-Y as a substituent	$A-CR^{2a}SO_2-NR^2-Y$
$A-CR^{2a}SO_2Cl$	a secondary NH as part of a ring or chain	$A-CR^{2a}SO_2-Y$

The chemistry of Table A can be carried out in aprotic solvents such as a chlorocarbon, pyridine, benzene or toluene, at temperatures ranging from -20°C to the reflux point of the 5 solvent and with or without a trialkylamine base.

Table B: Preparation of Ketone Linkages between A and B.

If A contains: then the reactive substituent of Y is: to give the following product A-X-Y:

$A-C(O)Cl$	$BrMg-Y$	$A-C(O)-Y$
$A-CR^{2a}C(O)Cl$	$BrMg-Y$	$A-CR^{2a}C(O)-Y$
$A-C(O)Cl$	$BrMgCR^{2a}-Y$	$A-C(O)CR^{2a}-Y$
$A-CR^{2a}C(O)Cl$	$BrMgCR^{2a}-Y$	$A-CR^{2a}C(O)CR^{2a}-Y$

The coupling chemistry of table B can be carried out by a 10 variety of methods. The Grignard reagent required for Y is prepared from a halogen analog of Y in dry ether, dimethoxyethane or tetrahydrofuran at 0°C to the reflux point of the solvent. This Grignard reagent can reacted directly under very controlled conditions, that is low temperature 15 (-20°C or lower) and with a large excess of acid chloride or with catalytic or stoichiometric copper bromide•dimethyl sulfide complex in dimethyl sulfide as a solvent or with a variant thereof. Other methods available include transforming

the Grignard reagent to the cadmium reagent and coupling according to the procedure of Carson and Prout (Org. Syn. Col. Vol. 3 (1955) 601) or a coupling mediated by $\text{Fe}(\text{acac})_3$ according to Fiandanese et al. (*Tetr. Lett.* **1984**, 4805), or a 5 coupling mediated by manganese (II) catalysis (Cahiez and Laboue, *Tetr. Lett.* **1992**, 33(31), 4437).

Table C: Preparation of Ether and Thioether linkages between A and B.

If A contains:	then the reactive substituent of Y is:	to give the following product A-X-Y:
A-OH	Br-Y	A-O-Y
$\text{A}-\text{CR}^{2a}\text{R}^{2a}-\text{OH}$	Br-Y	$\text{A}-\text{CR}^{2a}\text{R}^{2a}\text{O}-\text{Y}$
A-OH	$\text{Br}-\text{CR}^{2a}\text{R}^{2a}-\text{Y}$	$\text{A}-\text{OCR}^{2a}\text{R}^{2a}-\text{Y}$
A-SH	Br-Y	A-S-Y
$\text{A}-\text{CR}^{2a}\text{R}^{2a}-\text{SH}$	Br-Y	$\text{A}-\text{CR}^{2a}\text{R}^{2a}\text{S}-\text{Y}$
A-SH	$\text{Br}-\text{CR}^{2a}\text{R}^{2a}-\text{Y}$	$\text{A}-\text{SCR}^{2a}\text{R}^{2a}-\text{Y}$

10

The ether and thioether linkages of Table C can be prepared by reacting the two components in a polar aprotic solvent such as acetone, dimethylformamide or dimethylsulfoxide in the presence of a base such as potassium 15 carbonate, sodium hydride or potassium t-butoxide at a temperature ranging from ambient to the reflux point of the solvent used.

Table D: Preparation of $-\text{SO}_2-$ and $-\text{SO}_2-$ linkages from thioether of Table C.

20

If the starting material is:	then it is oxidized with wet Alumina/Oxone to give:	then it is oxidized with m-chloroperbenzoic acid to give:
A-S-Y	A-S(O)-Y	A- SO_2 -Y
$\text{A}-\text{CR}^{2a}\text{R}^{2a}\text{S}-\text{Y}$	$\text{A}-\text{CR}^{2a}\text{R}^{2a}\text{S}(\text{O})-\text{Y}$	$\text{A}-\text{CR}^{2a}\text{R}^{2a}\text{SO}_2-\text{Y}$
$\text{A}-\text{SCR}^{2a}\text{R}^{2a}-\text{Y}$	$\text{A}-\text{S}(\text{O})\text{CR}^{2a}\text{R}^{2a}-\text{Y}$	$\text{A}-\text{SO}_2\text{CR}^{2a}\text{R}^{2a}-\text{Y}$

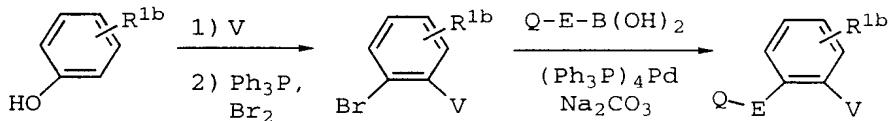
The thioethers of Table C serve as a convenient starting material for the preparation of the sulfoxide and sulfone

analog of Table D. A combination of wet alumina and Oxone can provide a reliable reagents for the oxidation of the thioether to the sulfoxide as shown by Greenhalgh (*Syn. Lett.* **1992**, 235). The sulfone can be prepared according to the 5 method of Satoh (*Chem. Lett.* **1992**, 381) using m-chloroperbenzoic acid.

Scheme 3 describes the synthesis of compounds wherein M is a benzene ring and Q is a protected precursor of group D of Formula I and V is a nitro, protected sulfonamide or ester 10 group and precursor of group Z of Formula I. The V group is placed on an appropriately substituted phenol either via nitration as shown by Poirier et al. (*Tetrahedron* **1989**, 45(5), 1415), sulfonylation as shown by Kuznetsov (*Akad. Nauk SSSR Ser. Khim* **1990**, 8, 1888) or carboxylation by Sartori et al. 15 (*Synthesis* **1988**, 10, 763). Bromination with triphenylphosphine and bromine (*J. Am. Chem. Soc.* **1964**, 86, 964) gives the desired bromide. Suzuki coupling with the appropriate boronic acid provides the desired substituted pyridine.

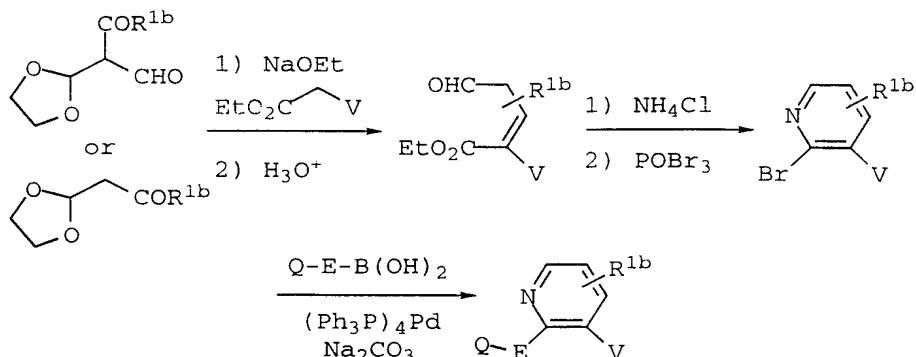
20

Scheme 3



Schemes 4, 5, 6, and 7 describe the synthesis of 25 compounds wherein M is pyridine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyridine ring. In Scheme 4, a suitably protected aldehyde is subjected to base-catalyzed 30 condensation with an activated ester to give after deprotection the desired aldehyde. Refluxing with ammonium chloride as shown by Dornow and Ische (*Chem. Ber.* **1956**, 89, 876) provides the pyridinol which is brominated with POBr₃ (Tjeenk et al. *Rec. Trav. Chim.* **1948**, 67, 380) to give the 35 desired 2-bromopyridine. Suzuki coupling with the appropriate boronic acid provides the desired substituted pyridine.

Scheme 4

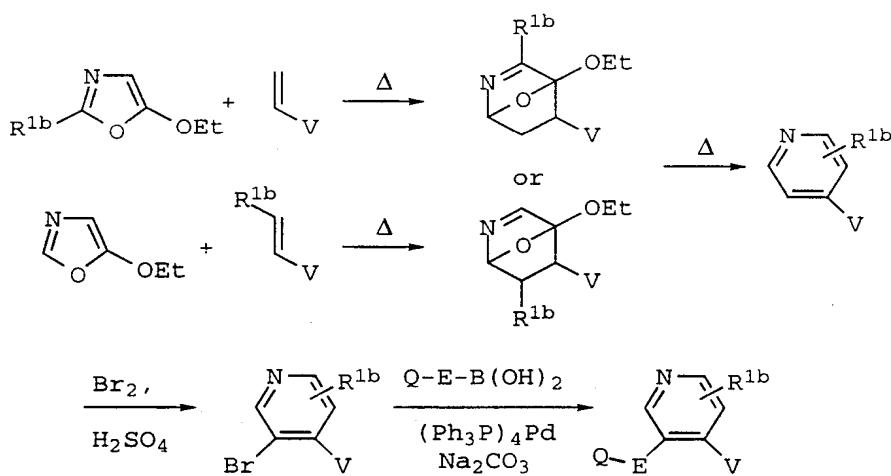


5

Treatment of an appropriately substituted 5-ethoxyoxazole with an alkene as shown by Kondrat'eva et al. *Dokl. Akad. Nauk SSSR* **1965**, 164, 816) provides a pyridine with the V substituent at the para position. Bromination at the 3-position as shown by van der Does and Hertog (*Rec. Trav. Khim. Pays-Bas* **1965**, 84, 951) followed by palladium-catalyzed boronic acid coupling provides the desired substituted pyridine.

15

Scheme 5

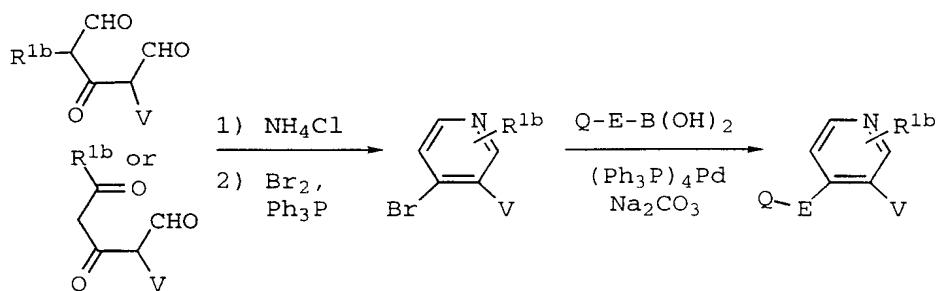


Scheme 6 describes a synthesis of a third substitution pattern on a pyridine ring. The appropriate tricarbonyl compound which can be prepared by methods described in Scheme

4 is treated with ammonium chloride to form the pyridinol which is subsequently brominated. Palladium-catalyzed coupling provides the desired substituted pyridine.

5

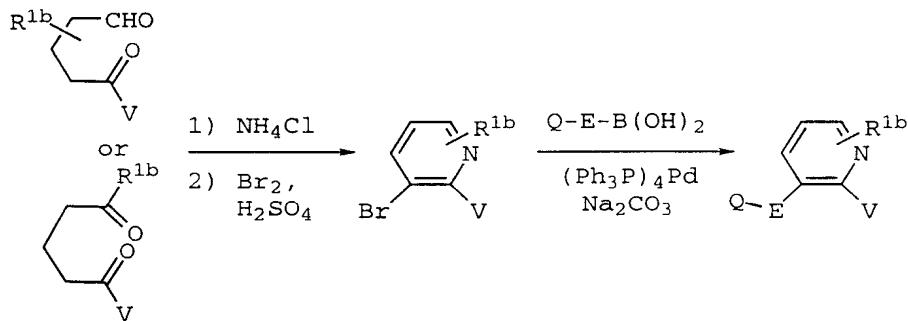
Scheme 6



Scheme 7 takes a suitably substituted dicarbonyl compound and by chemistry illustrated in Schemes 4 and 6, reacts it with ammonium chloride. Bromination gives the 3-bromopyridine which upon palladium-catalyzed coupling provides the desired substituted pyridine.

15

Scheme 7

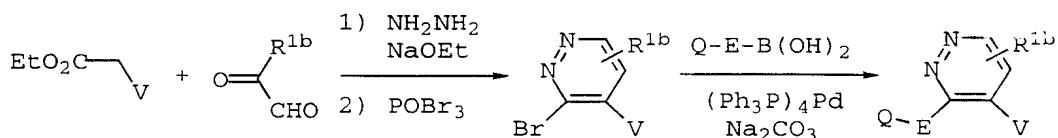


Schemes 8, 9, and 10 describe the synthesis of compounds wherein M is pyridazine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyridine ring. In Scheme 8 an activated ester is reacted with an appropriately substituted α -keto aldehyde and hydrazine as shown by Schmidt and Druey (*Helv. Chim. Acta* 1954, 37, 134 and 1467). Conversion of the pyridazinone to the bromide using POBr_3 and palladium-

catalyzed coupling provides the desired substituted pyridazine.

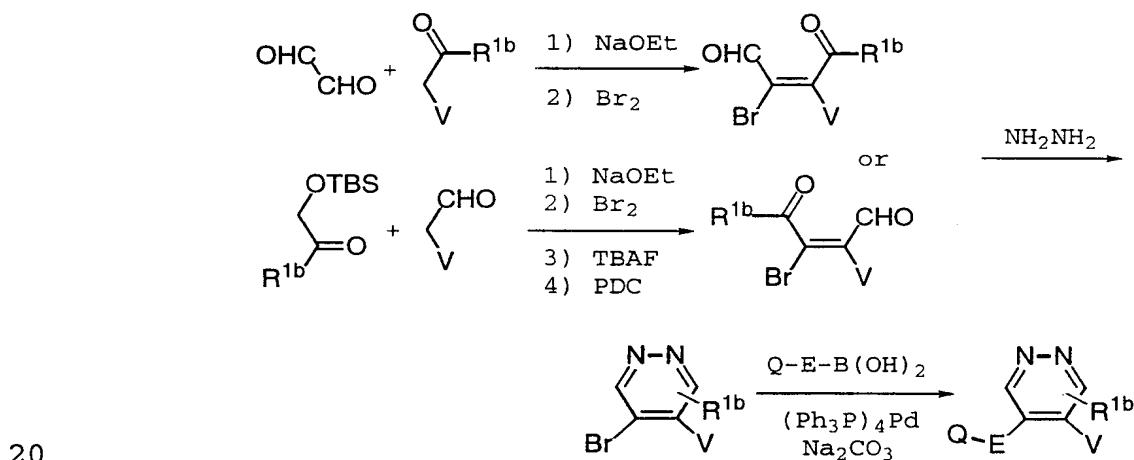
Scheme 8

5



In Scheme 9, glyoxal can react under basic conditions with an activated ketone and subsequently brominated/dehydrobrominated to give the desired ketoaldehyde. Alternatively, a protected ketone can react with an activated aldehyde, undergo bromination/dehydrobromination, be deprotected and oxidized to give the regioisomeric ketoaldehyde. Cyclization as shown by Sprio and Madonia (*Ann. Chim.* **1958**, *48*, 1316) with hydrazine followed by palladium-catalyzed coupling provides the desired substituted pyridazine.

Scheme 9

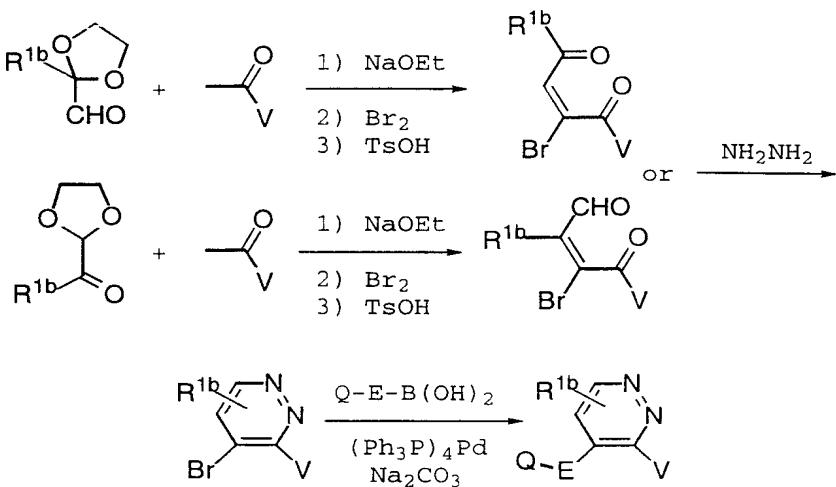


By analogy to Scheme 9, in Scheme 10 a aldehyde can be reacted with an activated ketone, brominated, dehydrobrominated and deprotected to give the desired diketone. Alternatively, a regioisomeric ketone can be placed through the same reaction sequence to produce an isomeric keto aldehyde. Reaction with hydrazine followed by palladium-

catalyzed coupling provides the desired substituted pyridazine.

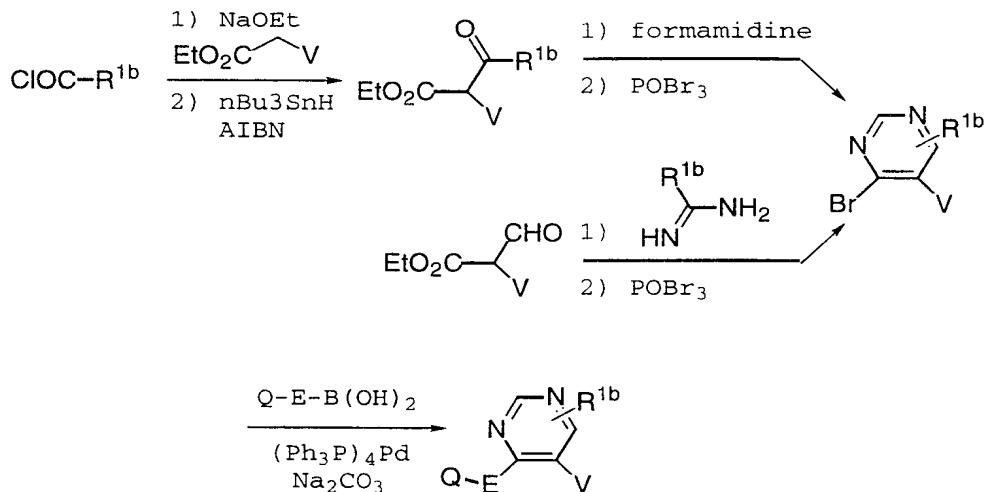
Scheme 10

5



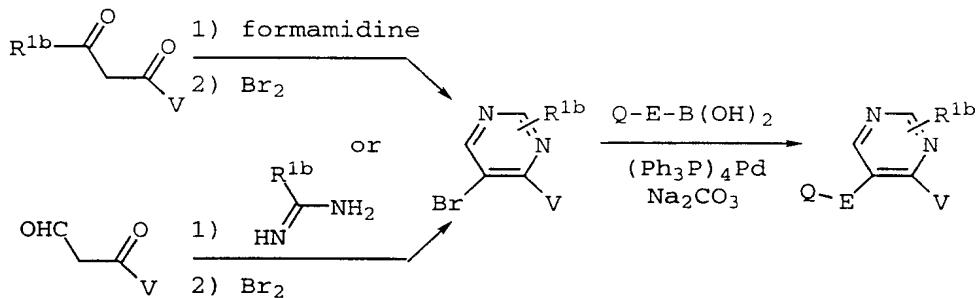
Schemes 11, and 12 describe the synthesis of compounds wherein M is pyrimidine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyrimidine ring. In Scheme 11, a condensation with an appropriately substituted acid chloride and an activated ester followed by conjugate reduction by tin hydride (Moriya et al. *J. Org. Chem.* **1986**, 51, 4708) gives the desired 1,4 dicarbonyl compound. Cyclization with formamidine or a substituted amidine followed by bromination gives the desired regioisomeric pyrimidine. Palladium-catalyzed coupling provides the desired substituted pyrimidine.

Scheme 11



5 Using similar chemistry, Scheme 12 shows how an amidine
 can be condensed with a 1,3-dicarbonyl compound and
 subsequently brominated in the 5-position (*J. Het. Chem.* **1973**,
 10, 153) to give a specific regioisomeric bromopyrimidine.
 Palladium-catalyzed coupling provides the desired substituted
 10 pyrimidine.

Scheme 12

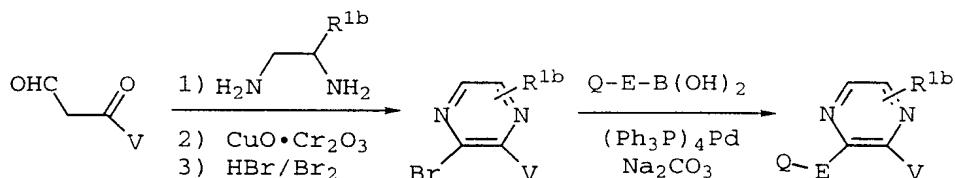


15 Using the same ketoaldehyde from Scheme 12, cyclization
 with an appropriately substituted 1,2-diamine (*Chimia* **1967**,
 21, 510) followed by aromatization (*Helv. Chim. Acta* **1967**, 50,
 1754) provides a regioisomeric mixture of pyrazines as
 20 illustrated in Scheme 13. Bromination of the hydrobromide
 salt (U.S. Patent No. 2,403,710) yields the intermediate for

the palladium-catalyzed coupling step which occurs as shown above.

Scheme 13

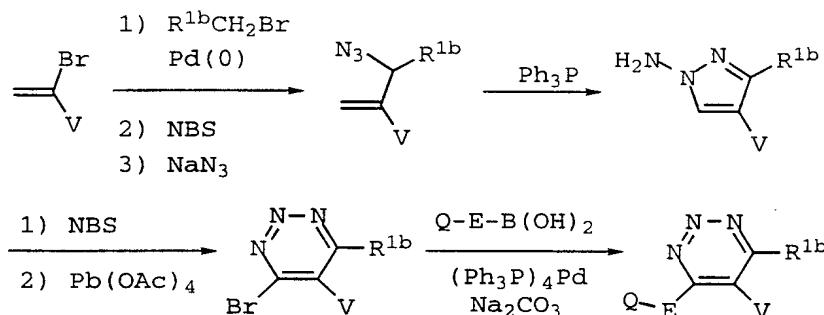
5



Schemes 14 and 15 describe the synthesis of compounds wherein M is a 1,2,3-triazine and Q is a protected precursor of group D of Formula I. In Scheme 14, a vinyl bromide is palladium coupled to a molecule containing the substituent R^{1b}. Allylic bromination followed by azide displacement provide the cyclization precursor. Triphenylphosphine-mediated cyclization (*J. Org. Chem.* **1990**, 55, 4724) give the 1-aminopyrazole which is subsequently brominated with N-bromosuccimide. Lead tetraacetate mediated rearrangement as shown by Neunhoeffer et al. (*Ann.* **1985**, 1732) provides the desired regioisomeric 1,2,3-triazine. Palladium-catalyzed coupling provides the substituted triazine.

20

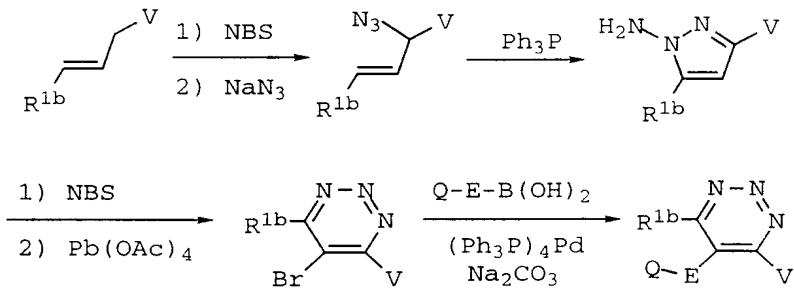
Scheme 14



In Scheme 15, an alkene is allylically brominated and the bromide is displaced to give a regioisomer of the azide in Scheme 14. Following the same reaction sequence as shown above, cyclization provides the 1-aminopyrazole. Bromination followed by lead tetraacetate mediated rearrangement give the

1,2,3-triazine. Palladium-catalyzed coupling provides the other desired triazine.

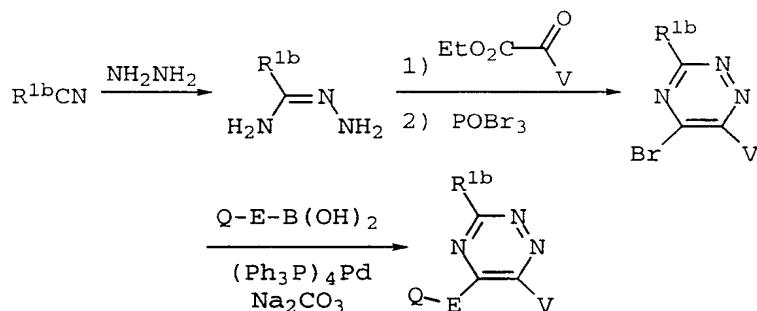
Scheme 15



5

Schemes 16 and 17 describe the synthesis of compounds wherein M is a 1,2,4-triazine and Q is a protected precursor of group D of Formula I. In Scheme 16, a nitrile is converted using hydrazine to give the amidrazone which is condensed with a α -ketoester to give the triazinone as shown by Paudler and Lee (J. Org. Chem. 1971, 36, 3921). Bromination as shown by Rykowski and van der Plas (J. Org. Chem. 1987, 52, 71) followed by palladium-catalyzed coupling provides the desired 1,2,4-triazine.

Scheme 16

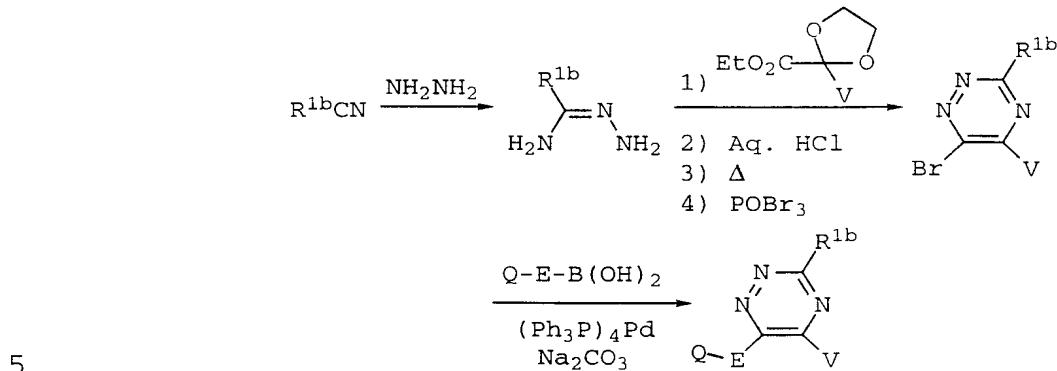


20

In Scheme 16, to achieve the opposite regioisomer the reaction scheme shown above is modified by substituting a protected α -ketoester. This allows the most nucleophilic nitrogen to attack the ester functionality setting up the opposite regiochemistry. Deprotection and thermal cyclization gives the triazinone which is brominated as shown above.

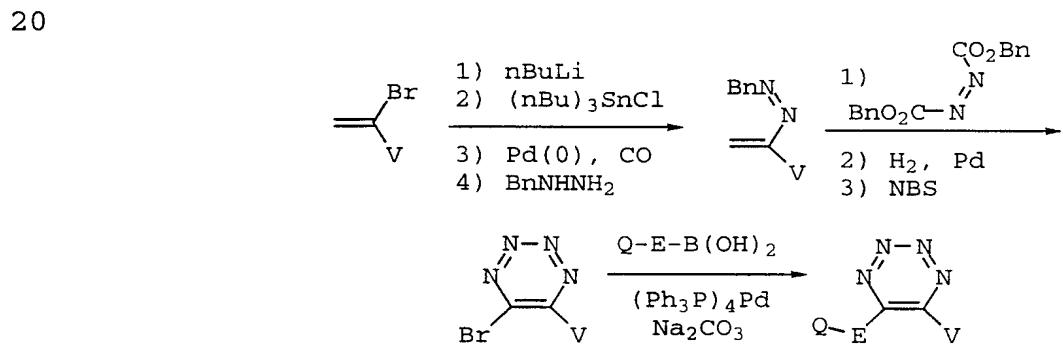
Palladium-catalyzed coupling provides the other desired 1,2,4-triazine.

Scheme 17



Scheme 18 describes the synthesis of compounds wherein M is a 1,2,3,4-tetrazine and Q is a protected precursor of group D of Formula I. Lithiation of a vinyl bromide, 10 transmetallation with tin, palladium catalyzed carbonylation and hydrazone formation provides a diene for a subsequent Diels-Alder reaction as shown by Carboni and Lindsey (*J. Am. Chem. Soc.* **1959**, *81*, 4342). Reaction with dibenzyl azodicarboxylate followed by catalytic hydrogenation to 15 debenzylate and decarboxylate should give after bromination the desired 1,2,3,4-tetrazine. Palladium-catalyzed coupling provides the desired substitution.

Scheme 18



Other features of the invention will become apparent in the course of the following descriptions of exemplary

embodiments which are given for illustration fo the invention and are not intended to be limiting thereof.

EXAMPLES

5

Example 1

N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt

Part A. Preparation of 2-bromonicotinic acid.

10

Potassium permanganate (18.4 g, 116 mmol) was dissolved in water (400 mL) and added to 2-bromo-3-methylpyridine (10.0 g, 58 mmol) and refluxed for 16 hours. After cooling to room temperature, the slurry was filtered through a celite plug and rinsed with water and chloroform. The entire filtrate was transferred to a separatory funnel and the layers were separated. The aqueous layer was extracted again with CHCl_3 , and acidified with 6N HCl to pH 1. A white solid was obtained on standing (2.08 g of product). The pH of the remaining aqueous was adjusted to pH 4 with 2M NaOH and 2M HCl, then concentrated to <100mL. A white precipitate was filtered. The pH was adjusted to 4 and the mixture filtered again, combining the isolated solids for a total of 3.88 g of product. The filtrate was concentrated again to <100mL and adjusted to pH 1.5 and an additional quantity of white solid was obtained (1.80 g), for a combined yield of 3 crops, (8.76 g, 66%). ^1H NMR (DMSO- d_6): δ 13.76 (bs, 1H), 8.46 (m, 1H), 8.09 (dd, 1H, J = 7.7, J' = 2.2), 7.51 (m, 1H).

30 Part B. Preparation of methyl 2-bromonicotinate.

2-Bromonicotinic acid (7.33 g, 36 mmol) was suspended in dry Et_2O (40 mL), and MeOH (2.3 mL) and diethyl azodicarboxylate (5.8 mL, 37 mmol) were added. Triphenylphosphine (9.61 g in 40mL Et_2O , 37 mmol) was added dropwise over 2.5 hours. After stirring an additional two hours, the reaction was filtered and evaporated. The resulting clear liquid was chromatographed on silica gel (10-

40% EtOAc/hexanes) to yield a clear oil (8.63 g, 100%). ^1H NMR (CDCl_3): δ 8.49 (dd, 1H, J = 4.8, J' = 2.2), 8.09 (dd, 1H, J = 7.7, J' = 1.8), 7.36 (m, 1H), 3.97 (s, 3H).

5 Part C. Preparation of 3-cyanophenylboronic acid.

3-Bromobenzonitrile (10.0 g, 55 mmol) was dissolved in dry THF (100 mL) and cooled to -100°C ($\text{Et}_2\text{O}/\text{N}_2$). n-Butyllithium (24.2 mL, 2.5 M in hexane) was added over 30 minutes, maintaining the internal temp under -90°. After 20 minutes, triisopropylborate (18.0 mL) was added over 15 minutes, again maintaining the internal temperature. After the addition was complete, the reaction was allowed to warm slowly to room temperature over 1.5 hours. The reaction was 15 stirred at room temp for 16 hours, then cooled to 15°C, after which 6 M HCl (25 mL) was added. After stirring vigorously for 3.5 hours, the reaction was partitioned between water and EtOAc. After extracting a second time with EtOAc, the combined organics were washed with 2 M NaOH. The aqueous 20 extract was neutralized with 6 M HCl. The white precipitate was filtered, yielding the desired product (4.80 g, 60%). ^1H NMR (DMSO-d_6): δ 8.37 (s, 2H), 8.10 (s, 1H), 8.03 (dt, 1H, J = 7.3, J' = 1.1), 7.83 (dt, 1H, J = 7.6, J' = 1.4), 7.53 (t, 1H, J = 7.7).

25

Part D. Preparation of methyl 2-(3'-cyanophenyl)nicotinate.

Methyl 2-bromonicotinate (2.0 g, 9.3 mmol) and 3-cyanophenylboronic acid (2.7 g, 18.4 mmol) were combined in 30 190 mL benzene. Sodium carbonate (19 mL of a 2 M aqueous solution), tetrabutylammonium bromide (152 mg, 0.5 mmol), and bis(triphenylphosphine)palladium(II) chloride (325 mg, 0.5 mmol) were added. The entire mixture was evacuated to remove dissolved gasses, then placed under argon. The reaction was 35 refluxed for 14 hours, diluted with water and EtOAc, separated, dried over Na_2SO_4 , filtered, and evaporated. The resulting yellow solid was chromatographed on silica gel (30% EtOAc/hexanes) to yield a light yellow solid (1.70 g, 77%).

¹H NMR (CDCl₃): δ 8.81 (dd, 1H, J = 4.8, J' = 1.8), 8.23 (dd, 1H, J = 8.0, J' = 1.9), 7.85 (s, 1H), 7.73 (m, 2H), 7.55 (t, 1H, J = 7.7), 7.43 (m, 1H), 3.76 (s, 3H).

5 Part E. Preparation of 2-(t-butylaminosulfonyl)phenylboronic acid.

To a solution of 206.5 g (0.968 mol) of benzene-(N-t-butyl)sulfonamide in 2500 mL of THF under N₂ was added 790 mL (1.98 mol) of 2.5M n-butyllithium in hexane over 35 minutes, keeping the temperature between 0-5°C. The reaction mixture was allowed to warm to 10°C, at which time a thick precipitate formed. Triisopropylborate (305 mL, 1.32 mol) was added keeping the temperature below 35°C. After 1 hour, the reaction mixture was cooled, 1N HCl (1570 mL) was added, and the mixture was stirred overnight. The mixture was extracted with 400 mL of ether three times, and the combined organic extracts were extracted with 500 mL of 1N NaOH three times. The aqueous extracts were acidified to pH 1 with 6N HCl, and then extracted with 500 mL ether three times. The combined ether extracts were dried over MgSO₄, and the solvents evaporated in vacuo until the volume was 700 mL. Hexane (150 mL) was added and overnight, a white precipitate formed. The solid was collected and washed with 10% ether/hexane (250 mL), then dried in vacuo to give 216.3 g (87%) of the desired compound as white crystals. m.p. 118-119°C; ¹H NMR (CDCl₃): δ 8.00 (d, 1H); 7.82 (d, 1H); 7.53 (m, 2H); 6.29 (br s, 2H); 5.13 (s, 1H); 1.18 (s, 9H).

30 Part F. Preparation of 4-amino-2'-t-butylaminosulfonyl-[1,1']biphenyl.

A mixture of 3.44 g (20 mmol) of 4-bromoaniline and 5.14 g (20 mmol) of 2-(t-butylaminosulfonyl)phenylboronic acid, 35 1.16 g of tetrakis(triphenylphosphine) palladium(0) (1 mmol), 0.32 g of tetrabutylammonium bromide (1 mmol) and 20 mL of 2M aqueous sodium carbonate were refluxed with 180 mL of benzene under N₂ for 5.5 hours. After cooling, the mixture was

diluted with methylene chloride and water. The two phases were separated and the organic phase was washed with water, dried with MgSO₄ and concentrated *in vacuo*. The resulting thick oil was chromatographed on silica with 30% EtOAc/hexane 5 to afford 2.52 g (41%) of the aniline. ¹H NMR (CDCl₃): δ 8.14 (d, 1H); 7.53 (t, 1H); 7.43 (t, 1H); 7.33 (d, 2H); 7.27 (d, 1H); 6.76 (d, 2H); 3.7 (br s, 1H); 0.99 (s, 9H).

Part G. Preparation of N-(2'-*t*-butylaminosulfonyl-[1,1']biphen-4-yl)-2-(3'-cyanophenyl)nicotinamide.

Methyl 2-(3'-cyanophenyl)nicotinate (300 mg, 1.3 mmol) was combined with of 4-amino-2'-*t*-butylaminosulfonyl-[1,1']biphenyl (383 mg, 1.3 mmol) in 12 mL dry CH₂Cl₂. A 15 solution of trimethylaluminum (3.8 mL, 2.0 M in heptane) was added, and an exothermic reaction immediately occurred and the mixture darkened. The resulting solution was stirred at room temperature under argon for 3 days and then quenched carefully with a few drops of 1 M HCl. An emulsion was obtained on 20 dilution with EtOAc and water. The layers were separated, and the organic was extracted again with water and brine, dried over Na₂SO₄, filtered, and evaporated. A small amount of additional material was obtained from the aqueous extract by 25 adjusting the pH to 8 with sat. NaHCO₃ and extracting with EtOAc. This material was dried over Na₂SO₄, filtered, evaporated, and combined with the previous extract for chromatography on silica gel (50-60% EtOAc/hexanes) to yield the desired product (190 mg, 30%). ¹H NMR (CDCl₃): δ 8.86 (dd, 1H, J = 4.7, J' = 1.9), 8.14 (m, 3H), 8.00 (d, 1H, J = 30 7.7), 7.73 (d, 1H, J = 8.1), 7.50 (m, 9H), 7.29 (dd, 1H, J = 7.4, J' = 1.1), 3.60 (s, 1H), 1.02 (s, 9H).

Part H. Preparation of N-(2'-aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid 35 salt.

N-(2'-*t*-butylaminosulfonyl-[1,1']biphen-4-yl)-2-(3'-cyanophenyl)nicotinamide (190 mg, 0.37 mmol) was dissolved in

dry MeOH (10 mL) and cooled to 0°C. HCl(g) was generated by the addition of concentrated H₂SO₄ (60 mL) to NaCl (240 g) over 40 minutes and was bubbled into the reaction mixture. The gas was permitted to continue bubbling through the 5 reaction for 3 hours after the H₂SO₄ addition was complete. At this point, the HCl generator and ice bath were removed, and the reaction stirred under argon for 19 hours. This solution was then evaporated, placed under high vacuum, and redissolved in dry MeOH (10 mL). Ammonium carbonate (200 mg) 10 was added, stirred for 24 hours under argon, and evaporated. The product was purified by preparative HPLC on a C-18 reverse phase column (10-70% MeCN/H₂O/0.05% TFA), yielding a white powder (140 mg, 54%). ¹H NMR (DMSO-d₆): δ 10.65 (s, 1H), 9.38 (s, 2H), 8.92 (s, 2H), 8.81 (dd, 1H, J = 4.4, J' = 1.4), 8.10 (m, 2H), 7.97 (m, 2H), 7.76 (m, 1H), 7.67 (t, 1H, J = 8.0), 15 7.57 (m, 5H), 7.29 (m, 5H). HRMS calc. for C₂₅H₂₂N₅O₃S: m/z 472.1443; found, 472.1457.

Examples 2, 3 and 4

20 N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), and N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt 25 (Example 4)

Part A. Preparation of 2-(3'-cyanophenyl)nicotinic acid.

30 Methyl 2-(3'-cyanophenyl)nicotinate (1.21 g, 5.1 mmol) was partially dissolved in MeOH (40 mL), and lithium hydroxide monohydrate (234 mg dissolved in 6 mL H₂O, 5.6 mmol) was added. After 20 hours, the resulting solution was diluted with water and extracted with CHCl₃. The aqueous was 35 acidified to pH 4 with 1 M HCl and extracted several times with CHCl₃. Solid sodium chloride was added to the aqueous solution and the solution was extracted with 5-10% MeOH/CHCl₃. The organic extracts were combined, dried over Na₂SO₄,

filtered, and evaporated to yield a white solid (1.06 g, 93%).
 ^1H NMR (CDCl_3): δ 8.85 (dd, 1H, J = 5.1, J' = 1.5), 8.35 (dd, 1H, J = 7.6, J' = 1.4), 7.84 (s, 1H), 7.75 (m, 2H), 7.55 (t, 1H, J = 7.7), 7.47 (m, 1H).

5

Part B. Preparation of 2-amino-5-(2-t-butylamino-sulfonyl)phenylpyridine.

A mixture of 1.55 g (9.0 mmol) of 2-amino-5-bromopyridine and 2.3 g (9.0 mmol) of 2-(t-butylaminosulfonyl)phenylboronic acid, 0.52 g of tetrakis(triphenylphosphine) palladium(0) (0.45 mmol), 0.15 g of tetrabutylammonium bromide (0.45 mmol) and 9 mL of 2M aqueous sodium carbonate were refluxed with 80 mL of benzene under Ar for 5 hours. After cooling, the mixture was diluted with 25 mL of methylene chloride and 25 mL of water. The two phases were separated and the organic phase was washed with water, dried with MgSO_4 and concentrated *in vacuo*. The resulting thick oil was chromatographed on silica with 50% EtOAc/hexane to afford 1.34 g (49%) of the aniline.
 ^1H NMR (CDCl_3): δ 8.18 (d, 1H); 8.07 (m, 1H); 7.70 (dd, 1H); 7.58 (dt, 1H); 7.48 (dt, 1H); 7.28 (d, 1H); 6.56 (d, 1H); 4.62 (br s, 2H); 3.88 (br s, 1H); 1.06 (s, 9H).

Part C. Preparation of N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-cyanophenyl)nicotinamide.

2-(3'-cyanophenyl)nicotinic acid (300 mg, 1.3 mmol) was suspended in 5 mL dry CH_2Cl_2 , and oxalyl chloride (175 μl , 2.0 mmol) was added, followed by 2 drops of dry DMF. The reaction stirred at room temperature under argon for 2 hours and then evaporated. This solid was redissolved in 8 mL dry CH_2Cl_2 , and dimethylaminopyridine (490 mg, 4.0 mmol) was added, followed by 2-amino-5-(2-t-butylaminosulfonyl)phenylpyridine (410 mg, 1.3 mmol). The reaction was stirred 3 days at room temperature, diluted with CH_2Cl_2 , extracted with saturated NaHCO_3 , dried over Na_2SO_4 , filtered, and evaporated. The resulting material was chromatographed on silica gel (50-75%

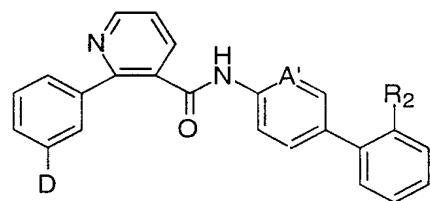
EtOAc / hexanes) to yield the desired product (423 mg, 62%).
¹H NMR (CDCl₃): δ 8.83 (dd, 1H, J = 4.8, J' = 1.5), 8.40 (bs, 1H), 8.29 (bd, 1H, J = 8.4), 8.17 (dd, 1H), J = 8.0, J' = 1.1), 8.09 (m, 3H), 7.97 (d, 1H, J = 7.7), 7.79 (d, 1H, J = 8.4), 7.69 (d, 1H, J = 7.7), 7.54 (m, 4H), 7.25 (m, 1H), 4.19 (bs, 1H), 1.08 (s, 9H).

Part D. Preparation of N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), and N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt (Example 4).

N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-cyanophenyl)nicotinamide (410 mg, 1.03) was dissolved in a mixture of dry MeOH (5mL) and dry CHCl₃ (15mL) and cooled to 0°C. HCl(g) was generated by the addition of concentrated H₂SO₄ (45 mL) to NaCl (220 g) over 55 min and was bubbled into the reaction mixture. The HCl generator and ice bath were removed, and the reaction was stirred under argon for 16 hours and evaporated. The resulting solid was redissolved in dry MeOH (15 mL), and ammonium carbonate (385 mg) was added. The reaction was stirred 19 hours at room temperature under argon and evaporated. The resulting solid was purified by preparative HPLC on a C-18 reverse phase column (5-70% MeCN / H₂O / 0.05% TFA) to yield N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), (250 mg, 45%). ¹H NMR (DMSO-d₆): δ 11.27 (s, 1H), 9.43 (s, 2H), 8.98 (s, 2H), 8.83 (dd, 1H, J = 4.8, J' = 1.9), 8.32 (s, 1H), 8.13 (m, 2H), 8.05 (m, 2H), 7.96 (d, 1H, J = 7.3), 7.81 (d, 2H, J = 8.4), 7.65 (m, 4H), 7.47 (s, 2H), 7.37 (m, 1H). HRMS calc. for C₂₄H₂₁N₆O₃S: m/z 473.1396; found, 473.1397. A second product, N-[5-(2-t-butylaminosulfonyl)-phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), was also obtained (58 mg, 10%). ¹H NMR (DMSO-d₆): δ 9.7 (s, 1H), 9.41 (s, 2H), 8.95

(s, 2H), 8.82 (m, 1H), 8.28 (s, 1H), 8.09 (m, 4H), 7.95 (d, 1H, J = 7.7), 7.79 (m, 2H), 7.63 (m, 4H), 7.34 (d, 1H, J = 7.7), 7.18 (s, 1H), 1.04 (s, 9H). HRMS calc. for $C_{28}H_{29}N_6O_3S$: 529.2022; found, 529.2050. A third product, N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt (Example 4) was isolated and chromatographed on silica gel (10-20% MeOH/CHCl₃) to yield a white solid (77 mg, 20%). ¹H NMR (DMSO-d₆): δ 11.13 (s, 1H), 8.75 (dd, 1H, J = 4.8, J' = 1.9), 8.26 (m, 2H), 8.02 (m, 4H), 7.84 (d, 1H, J = 7.7), 7.74 (m, 2H), 7.59 (m, 2H), 7.47 (m, 2H), 7.36 (m, 4H).
10

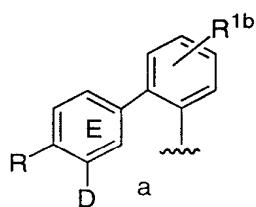
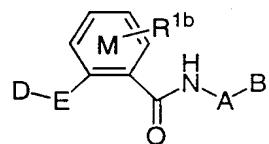
Table 1



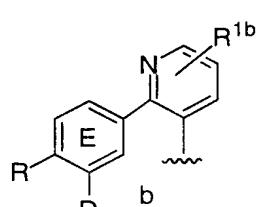
Ex	D	R ₂	A'	MS (M+H) ⁺
1	C(=NH)NH ₂	SO ₂ NH ₂	CH	472.1
2	C(=NH)NH ₂	SO ₂ NH ₂	N	473.1
3	C(=NH)NH ₂	SO ₂ NHtBu	N	529.2
4	C(O)NH ₂	SO ₂ NH ₂	N	474.1

The following table contains representative examples of the present invention. Each entry in the table is intended to be paired with each formulae at the start of the table. For example, example 1 in Table 2 is intended to be paired with 5 each of formulae a₁-ss₄.

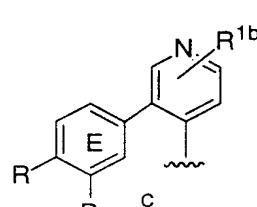
Table 2



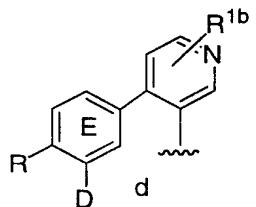
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 a₂ R=H, D=NH₂
 a₃ R=F, D=CH₂NH₂
 a₄ R=H, D=CH₂NH₂
 a₅ R=F, D=C(=NH)NH₂
 a₆ R=H, D=C(=NH)NH₂
 a₇ R=F, D=C(O)NH₂
 a₈ R=H, D=C(O)NH₂



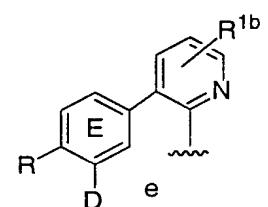
b₁ R=F, D=NH₂
 b₂ R=H, D=NH₂
 b₃ R=F, D=CH₂NH₂
 b₄ R=H, D=CH₂NH₂
 b₅ R=F, D=C(=NH)NH₂
 b₆ R=H, D=C(=NH)NH₂
 b₇ R=F, D=C(O)NH₂
 b₈ R=H, D=C(O)NH₂



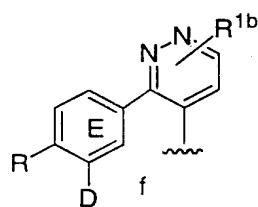
c₁ R=F, D=NH₂
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 c₃ R=F, D=CH₂NH₂
 c₄ R=H, D=CH₂NH₂
 c₅ R=F, D=C(=NH)NH₂
 c₆ R=H, D=C(=NH)NH₂
 c₇ R=F, D=C(O)NH₂
 c₈ R=H, D=C(O)NH₂



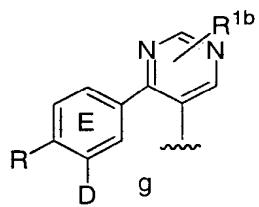
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 d₃ R=F, D=CH₂NH₂
 d₄ R=H, D=CH₂NH₂
 d₅ R=F, D=C(=NH)NH₂
 d₆ R=H, D=C(=NH)NH₂
 d₇ R=F, D=C(O)NH₂
 d₈ R=H, D=C(O)NH₂



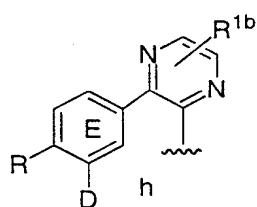
e₁ R=F, D=NH₂
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 e₃ R=F, D=CH₂NH₂
 e₄ R=H, D=CH₂NH₂
 e₅ R=F, D=C(=NH)NH₂
 e₆ R=H, D=C(=NH)NH₂
 e₇ R=F, D=C(O)NH₂
 e₈ R=H, D=C(O)NH₂



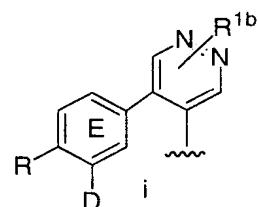
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 f₃ R=F, D=CH₂NH₂
 f₄ R=H, D=CH₂NH₂
 f₅ R=F, D=C(=NH)NH₂
 f₆ R=H, D=C(=NH)NH₂
 f₇ R=F, D=C(O)NH₂
 f₈ R=H, D=C(O)NH₂



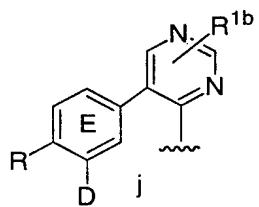
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 g_3 R=F, D=CH₂NH₂
 g_4 R=H, D=CH₂NH₂
 g_5 R=F, D=C(=NH)NH₂
 g_6 R=H, D=C(=NH)NH₂
 g_7 R=F, D=C(O)NH₂
 g_8 R=H, D=C(O)NH₂



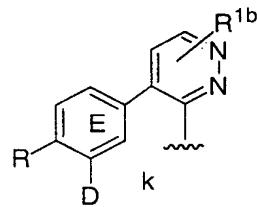
h_1 R=F, D=NH₂
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 h_3 R=F, D=CH₂NH₂
 h_4 R=H, D=CH₂NH₂
 h_5 R=F, D=C(=NH)NH₂
 h_6 R=H, D=C(=NH)NH₂
 h_7 R=F, D=C(O)NH₂
 h_8 R=H, D=C(O)NH₂



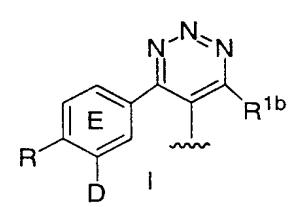
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 i_3 R=F, D=CH₂NH₂
 i_4 R=H, D=CH₂NH₂
 i_5 R=F, D=C(=NH)NH₂
 i_6 R=H, D=C(=NH)NH₂
 i_7 R=F, D=C(O)NH₂
 i_8 R=H, D=C(O)NH₂



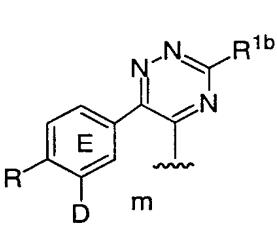
j_1 R=F, D=NH₂
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 j_3 R=F, D=CH₂NH₂
 j_4 R=H, D=CH₂NH₂
 j_5 R=F, D=C(=NH)NH₂
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 j_7 R=F, D=C(O)NH₂
 j_8 R=H, D=C(O)NH₂



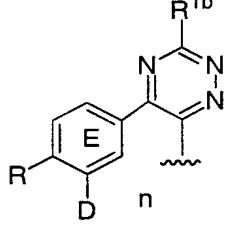
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 k_4 R=H, D=CH₂NH₂
 k_5 R=F, D=C(=NH)NH₂
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 k_7 R=F, D=C(O)NH₂
 k_8 R=H, D=C(O)NH₂



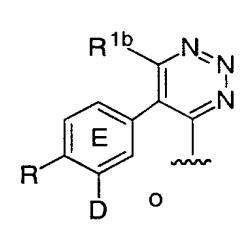
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 l_3 R=F, D=CH₂NH₂
 l_4 R=H, D=CH₂NH₂
 l_5 R=F, D=C(=NH)NH₂
 l_6 R=H, D=C(=NH)NH₂
 l_7 R=F, D=C(O)NH₂
 l_8 R=H, D=C(O)NH₂



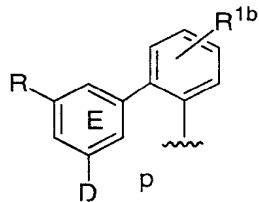
m_1 R=F, D=NH₂
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 m_3 R=F, D=CH₂NH₂
 m_4 R=H, D=CH₂NH₂
 m_5 R=F, D=C(=NH)NH₂
 m_6 R=H, D=C(=NH)NH₂
 m_7 R=F, D=C(O)NH₂
 m_8 R=H, D=C(O)NH₂



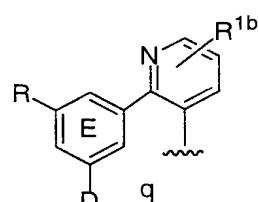
n_1 R=F, D=NH₂
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 n_3 R=F, D=CH₂NH₂
 n_4 R=H, D=CH₂NH₂
 n_5 R=F, D=C(=NH)NH₂
 n_6 R=H, D=C(=NH)NH₂
 n_7 R=F, D=C(O)NH₂
 n_8 R=H, D=C(O)NH₂



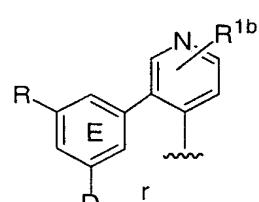
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 o_4 R=H, D=CH₂NH₂
 o_5 R=F, D=C(=NH)NH₂
 o_6 R=H, D=C(=NH)NH₂
 o_7 R=F, D=C(O)NH₂
 o_8 R=H, D=C(O)NH₂



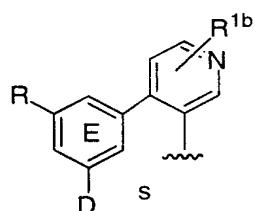
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 p_4 R=F, D=CH₂NH₂
 p_5 R=Cl, D=CH₂NH₂
 p_6 R=OMe, D=CH₂NH₂
 p_7 R=F, D=C(=NH)NH₂
 p_8 R=Cl, D=C(=NH)NH₂
 p_9 R=OMe, D=C(=NH)NH₂
 p_{10} R=F, D=C(O)NH₂
 p_{11} R=Cl, D=C(O)NH₂
 p_{12} R=OMe, D=C(O)NH₂



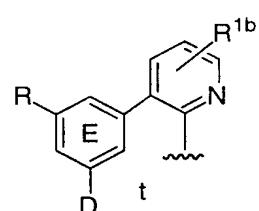
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 q_5 R=Cl, D=CH₂NH₂
 q_6 R=OMe, D=CH₂NH₂
 q_7 R=F, D=C(=NH)NH₂
 q_8 R=Cl, D=C(=NH)NH₂
 q_9 R=OMe, D=C(=NH)NH₂
 q_{10} R=F, D=C(O)NH₂
 q_{11} R=Cl, D=C(O)NH₂
 q_{12} R=OMe, D=C(O)NH₂



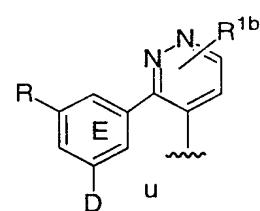
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 r_5 R=Cl, D=CH₂NH₂
 r_6 R=OMe, D=CH₂NH₂
 r_7 R=F, D=C(=NH)NH₂
 r_8 R=Cl, D=C(=NH)NH₂
 r_9 R=OMe, D=C(=NH)NH₂
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 r_{11} R=Cl, D=C(O)NH₂
 r_{12} R=OMe, D=C(O)NH₂



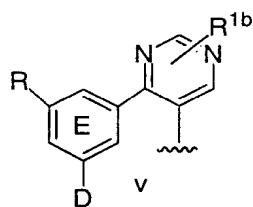
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 s_5 R=Cl, D=CH₂NH₂
 s_6 R=OMe, D=CH₂NH₂
 s_7 R=F, D=C(=NH)NH₂
 s_8 R=Cl, D=C(=NH)NH₂
 s_9 R=OMe, D=C(=NH)NH₂
 s_{10} R=F, D=C(O)NH₂
 s_{11} R=Cl, D=C(O)NH₂
 s_{12} R=OMe, D=C(O)NH₂



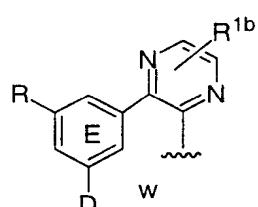
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 t_5 R=Cl, D=CH₂NH₂
 t_6 R=OMe, D=CH₂NH₂
 t_7 R=F, D=C(=NH)NH₂
 t_8 R=Cl, D=C(=NH)NH₂
 t_9 R=OMe, D=C(=NH)NH₂
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 t_{11} R=Cl, D=C(O)NH₂
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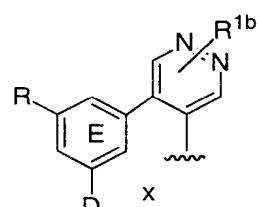
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 u_4 R=F, D=CH₂NH₂
 u_5 R=Cl, D=CH₂NH₂
 u_6 R=OMe, D=CH₂NH₂
 u_7 R=F, D=C(=NH)NH₂
 u_8 R=Cl, D=C(=NH)NH₂
 u_9 R=OMe, D=C(=NH)NH₂
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 u_{11} R=Cl, D=C(O)NH₂
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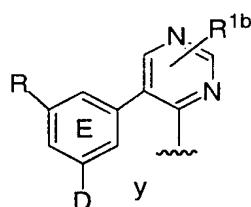
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 v_5 R=Cl, D=CH₂NH₂
 v_6 R=OMe, D=CH₂NH₂
 v_7 R=F, D=C(=NH)NH₂
 v_8 R=Cl, D=C(=NH)NH₂
 v_9 R=OMe, D=C(=NH)NH₂
 v_{10} R=F, D=C(O)NH₂
 v_{11} R=Cl, D=C(O)NH₂
 v_{12} R=OMe, D=C(O)NH₂



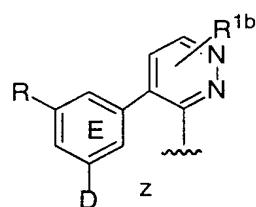
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 w_4 R=F, D=CH₂NH₂
 w_5 R=Cl, D=CH₂NH₂
 w_6 R=OMe, D=CH₂NH₂
 w_7 R=F, D=C(=NH)NH₂
 w_8 R=Cl, D=C(=NH)NH₂
 w_9 R=OMe, D=C(=NH)NH₂
 w_{10} R=F, D=C(O)NH₂
 w_{11} R=Cl, D=C(O)NH₂
 w_{12} R=OMe, D=C(O)NH₂



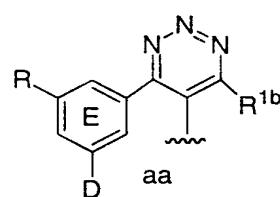
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 x_4 R=F, D=CH₂NH₂
 x_5 R=Cl, D=CH₂NH₂
 x_6 R=OMe, D=CH₂NH₂
 x_7 R=F, D=C(=NH)NH₂
 x_8 R=Cl, D=C(=NH)NH₂
 x_9 R=OMe, D=C(=NH)NH₂
 x_{10} R=F, D=C(O)NH₂
 x_{11} R=Cl, D=C(O)NH₂
 x_{12} R=OMe, D=C(O)NH₂



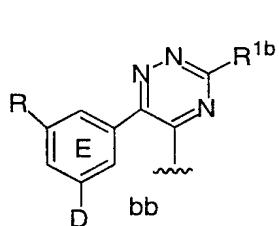
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 y_5 R=Cl, D=CH₂NH₂
 y_6 R=OMe, D=CH₂NH₂
 y_7 R=F, D=C(=NH)NH₂
 y_8 R=Cl, D=C(=NH)NH₂
 y_9 R=OMe, D=C(=NH)NH₂
 y_{10} R=F, D=C(O)NH₂
 y_{11} R=Cl, D=C(O)NH₂
 y_{12} R=OMe, D=C(O)NH₂



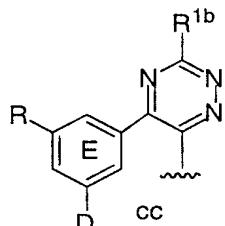
z_1 R=F, D=NH₂
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 z_5 R=Cl, D=CH₂NH₂
 z_6 R=OMe, D=CH₂NH₂
 z_7 R=F, D=C(=NH)NH₂
 z_8 R=Cl, D=C(=NH)NH₂
 z_9 R=OMe, D=C(=NH)NH₂
 z_{10} R=F, D=C(O)NH₂
 z_{11} R=Cl, D=C(O)NH₂
 z_{12} R=OMe, D=C(O)NH₂



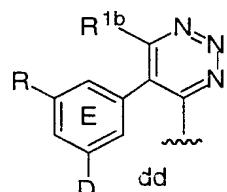
aa_1 R=F, D=NH₂
 aa_2 R=Cl, D=NH₂
 aa_3 R=OMe, D=NH₂
 aa_4 R=F, D=CH₂NH₂
 aa_5 R=Cl, D=CH₂NH₂
 aa_6 R=OMe, D=CH₂NH₂
 aa_7 R=F, D=C(=NH)NH₂
 aa_8 R=Cl, D=C(=NH)NH₂
 aa_9 R=OMe, D=C(=NH)NH₂
 aa_{10} R=F, D=C(O)NH₂
 aa_{11} R=Cl, D=C(O)NH₂
 aa_{12} R=OMe, D=C(O)NH₂



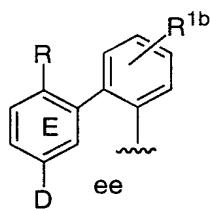
bb₁ R=F, D=NH₂
 bb₂ R=Cl, D=NH₂
 bb₃ R=OMe, D=NH₂
 bb₄ R=F, D=CH₂NH₂
 bb₅ R=Cl, D=CH₂NH₂
 bb₆ R=OMe, D=CH₂NH₂
 bb₇ R=F, D=C(=NH)NH₂
 bb₈ R=Cl, D=C(=NH)NH₂
 bb₉ R=OMe, D=C(=NH)NH₂
 bb₁₀ R=F, D=C(O)NH₂
 bb₁₁ R=Cl, D=C(O)NH₂
 bb₁₂ R=OMe, D=C(O)NH₂



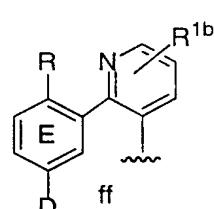
cc₁ R=F, D=NH₂
 cc₂ R=Cl, D=NH₂
 cc₃ R=OMe, D=NH₂
 cc₄ R=F, D=CH₂NH₂
 cc₅ R=Cl, D=CH₂NH₂
 cc₆ R=OMe, D=CH₂NH₂
 cc₇ R=F, D=C(=NH)NH₂
 cc₈ R=Cl, D=C(=NH)NH₂
 cc₉ R=OMe, D=C(=NH)NH₂
 cc₁₀ R=F, D=C(O)NH₂
 cc₁₁ R=Cl, D=C(O)NH₂
 cc₁₂ R=OMe, D=C(O)NH₂



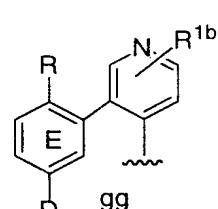
dd₁ R=F, D=NH₂
 dd₂ R=Cl, D=NH₂
 dd₃ R=OMe, D=NH₂
 dd₄ R=F, D=CH₂NH₂
 dd₅ R=Cl, D=CH₂NH₂
 dd₆ R=OMe, D=CH₂NH₂
 dd₇ R=F, D=C(=NH)NH₂
 dd₈ R=Cl, D=C(=NH)NH₂
 dd₉ R=OMe, D=C(=NH)NH₂
 dd₁₀ R=F, D=C(O)NH₂
 dd₁₁ R=Cl, D=C(O)NH₂
 dd₁₂ R=OMe, D=C(O)NH₂



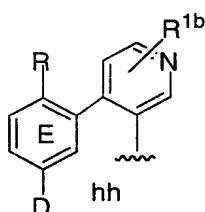
ee₁ R=F, D=CH₂NH₂
 ee₂ R=Cl, D=CH₂NH₂
 ee₃ R=OMe, D=CH₂NH₂
 ee₄ R=CH₂NH₂,
 D=CH₂NH₂



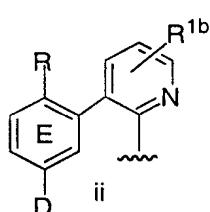
ff₁ R=F, D=CH₂NH₂
 ff₂ R=Cl, D=CH₂NH₂
 ff₃ R=OMe, D=CH₂NH₂
 ff₄ R=CH₂NH₂,
 D=CH₂NH₂



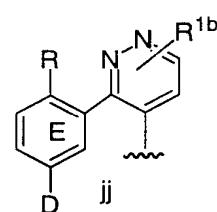
gg₁ R=F, D=CH₂NH₂
 gg₂ R=Cl, D=CH₂NH₂
 gg₃ R=OMe, D=CH₂NH₂
 gg₄ R=CH₂NH₂,
 D=CH₂NH₂



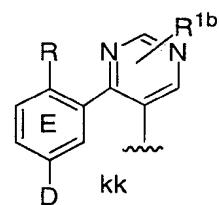
hh₁ R=F, D=CH₂NH₂
 hh₂ R=Cl, D=CH₂NH₂
 hh₃ R=OMe, D=CH₂NH₂
 hh₄ R=CH₂NH₂,
 D=CH₂NH₂



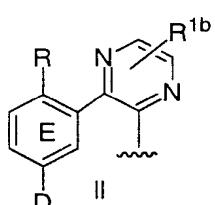
ii₁ R=F, D=CH₂NH₂
 ii₂ R=Cl, D=CH₂NH₂
 ii₃ R=OMe, D=CH₂NH₂
 ii₄ R=CH₂NH₂,
 D=CH₂NH₂



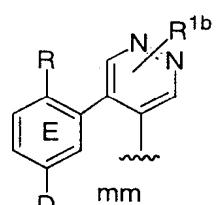
jj₁ R=F, D=CH₂NH₂
 jj₂ R=Cl, D=CH₂NH₂
 jj₃ R=OMe, D=CH₂NH₂
 jj₄ R=CH₂NH₂,
 D=CH₂NH₂



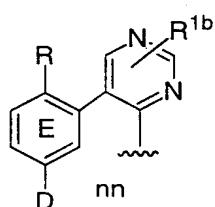
kk₁ R=F, D=CH₂NH₂
 kk₂ R=Cl, D=CH₂NH₂
 kk₃ R=OMe, D=CH₂NH₂
 kk₄ R=CH₂NH₂,
 D=CH₂NH₂



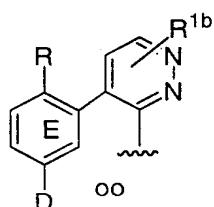
ll₁ R=F, D=CH₂NH₂
 ll₂ R=Cl, D=CH₂NH₂
 ll₃ R=OMe, D=CH₂NH₂
 ll₄ R=CH₂NH₂,
 D=CH₂NH₂



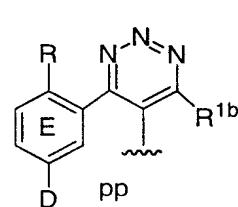
mm₁ R=F, D=CH₂NH₂
 mm₂ R=Cl, D=CH₂NH₂
 mm₃ R=OMe, D=CH₂NH₂
 mm₄ R=CH₂NH₂,
 D=CH₂NH₂



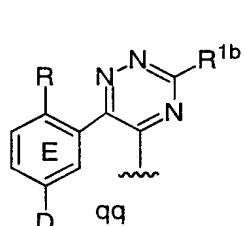
nn₁ R=F, D=CH₂NH₂
 nn₂ R=Cl, D=CH₂NH₂
 nn₃ R=OMe, D=CH₂NH₂
 nn₄ R=CH₂NH₂,
 D=CH₂NH₂



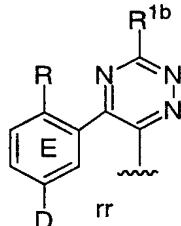
oo₁ R=F, D=CH₂NH₂
 oo₂ R=Cl, D=CH₂NH₂
 oo₃ R=OMe, D=CH₂NH₂
 oo₄ R=CH₂NH₂,
 D=CH₂NH₂



pp₁ R=F, D=CH₂NH₂
 pp₂ R=Cl, D=CH₂NH₂
 pp₃ R=OMe, D=CH₂NH₂
 pp₄ R=CH₂NH₂,
 D=CH₂NH₂



qq₁ R=F, D=CH₂NH₂
 qq₂ R=Cl, D=CH₂NH₂
 qq₃ R=OMe, D=CH₂NH₂
 qq₄ R=CH₂NH₂,
 D=CH₂NH₂



rr₁ R=F, D=CH₂NH₂
 rr₂ R=Cl, D=CH₂NH₂
 rr₃ R=OMe, D=CH₂NH₂
 rr₄ R=CH₂NH₂,
 D=CH₂NH₂



ss₁ R=F, D=CH₂NH₂
 ss₂ R=Cl, D=CH₂NH₂
 ss₃ R=OMe, D=CH₂NH₂
 ss₄ R=CH₂NH₂,
 D=CH₂NH₂

5	Ex #	R^{1b}	A	B
	1	H	phenyl	2-(aminosulfonyl)phenyl
	2	H	phenyl	2-(methylaminosulfonyl)phenyl
	3	H	phenyl	1-pyrrolidinocarbonyl
10	4	H	phenyl	2-(methylsulfonyl)phenyl
	5	H	phenyl	4-morpholino
	6	H	phenyl	2-(1'-CF₃-tetrazol-2-yl)phenyl
	7	H	phenyl	4-morpholinocarbonyl
	8	H	2-pyridyl	2-(aminosulfonyl)phenyl
	9	H	2-pyridyl	2-(methylaminosulfonyl)phenyl
15	10	H	2-pyridyl	1-pyrrolidinocarbonyl
	11	H	2-pyridyl	2-(methylsulfonyl)phenyl
	12	H	2-pyridyl	4-morpholino
	13	H	2-pyridyl	2-(1'-CF₃-tetrazol-2-yl)phenyl

14	H	2-pyridyl	4-morpholinocarbonyl
15	H	3-pyridyl	2-(aminosulfonyl)phenyl
16	H	3-pyridyl	2-(methylaminosulfonyl)phenyl
17	H	3-pyridyl	1-pyrrolidinocarbonyl
5	18	H	2-(methylsulfonyl)phenyl
	19	H	4-morpholino
	20	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	21	H	4-morpholinocarbonyl
	22	H	2-(aminosulfonyl)phenyl
10	23	H	2-(methylaminosulfonyl)phenyl
	24	H	1-pyrrolidinocarbonyl
	25	H	2-(methylsulfonyl)phenyl
	26	H	4-morpholino
	27	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
15	28	H	4-morpholinocarbonyl
	29	H	2-(aminosulfonyl)phenyl
	30	H	2-(methylaminosulfonyl)phenyl
	31	H	1-pyrrolidinocarbonyl
	32	H	2-(methylsulfonyl)phenyl
20	33	H	4-morpholino
	34	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	35	H	4-morpholinocarbonyl
	36	H	2-(aminosulfonyl)phenyl
	37	H	2-(methylaminosulfonyl)phenyl
25	38	H	1-pyrrolidinocarbonyl
	39	H	2-(methylsulfonyl)phenyl
	40	H	4-morpholino
	41	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	42	H	4-morpholinocarbonyl
30	43	H	2-F-phenyl
	44	H	2-(aminosulfonyl)phenyl
	45	H	2-(methylaminosulfonyl)phenyl
	46	H	1-pyrrolidinocarbonyl
	47	H	2-(methylsulfonyl)phenyl
35	48	H	4-morpholino
	49	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	50	H	4-morpholinocarbonyl
	51	H	2-(aminosulfonyl)phenyl
	52	H	2-(methylaminosulfonyl)phenyl
40	53	H	1-pyrrolidinocarbonyl
	54	H	2-(methylsulfonyl)phenyl
	55	H	4-morpholino
	56	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	57	H	4-morpholinocarbonyl
45	58	H	2-(N-pyrrolidinyl-methyl)phenyl
	59	H	2-(N-piperidinyl-methyl)phenyl
	60	H	2-(N-morpholino-methyl)phenyl
	61	H	2-(N,N'-methylmorpholinium-methyl)phenyl
50	62	H	2-(N-pyridinium-methyl)phenyl
	63	H	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	64	H	2-(N-azatanyl-methyl)phenyl
	65	H	2-(N-azetidinyl-methyl)phenyl
55	66	H	2-(N-piperazinyl-methyl)phenyl
			2-(N,N'-BOC-piperazinyl-methyl)phenyl

67	H	phenyl	2-(N-imidazolyl-methyl)phenyl
68	H	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
5	69	H	2-(N-pyridonyl-methyl)phenyl
	70	H	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
10	71	H	2-(amidinyl)phenyl
	72	H	2-(N-guanidinyl)phenyl
15	73	H	2-(imidazolyl)phenyl
	74	H	2-(imidazolidinyl)phenyl
20	75	H	2-(2-imidazolidinyl-sulfonyl)phenyl
	76	H	2-(2-pyrrolidinyl)phenyl
25	77	H	2-(2-piperidinyl)phenyl
	78	H	2-(amidinyl-methyl)phenyl
30	79	H	2-(2-imidazolidinyl-methyl)phenyl
	80	H	2-(N-(2-aminoimidazolyl)-methyl)phenyl
35	81	H	2-dimethylaminoimidazol-1-yl
	82	H	2-(3-aminophenyl)
40	83	H	2-(3-pyrrolidinylcarbonyl)
	84	H	2-glycinoyl
45	85	H	2-(imidazol-1-ylacetyl)
	86	H	2-(N-pyrrolidinyl-methyl)phenyl
50	87	H	2-(N-piperidinyl-methyl)phenyl
	88	H	2-(N-morpholino-methyl)phenyl
55	89	H	2-(N,N'-methylmorpholinium-methyl)phenyl
	90	H	2-(N-pyridinium-methyl)phenyl
60	91	H	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	92	H	2-(N-azatanyl-methyl)phenyl
65	93	H	2-(N-azetidinyl-methyl)phenyl
	94	H	2-(N-piperazinyl-methyl)phenyl
70	95	H	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	96	H	2-(N-imidazolyl-methyl)phenyl
75	97	H	2-(N-methoxy-N-methylamino-methyl)phenyl
	98	H	2-(N-pyridonyl-methyl)phenyl
80	99	H	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	100	H	2-(amidinyl)phenyl
85	101	H	2-(N-guanidinyl)phenyl
	102	H	2-(imidazolyl)phenyl
90	103	H	2-(imidazolidinyl)phenyl
	104	H	2-(2-imidazolidinyl-sulfonyl)phenyl
95	105	H	2-(2-pyrrolidinyl)phenyl
	106	H	2-(2-piperidinyl)phenyl
100	107	H	2-(amidinyl-methyl)phenyl
	108	H	2-(2-imidazolidinyl-methyl)phenyl
105	109	H	2-(N-(2-aminoimidazolyl)-methyl)phenyl

110	H	2-pyridyl	2-dimethylaminoimidazol-1-yl
111	H	2-pyridyl	2-(3-aminophenyl)
112	H	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
113	H	2-pyridyl	2-glycinoyl
5	114	H	2-(imidazol-1-ylacetyl)
	115	H	2-(N-pyrrolidinyl-methyl)phenyl
	116	H	2-(N-piperidinyl-methyl)phenyl
	117	H	2-(N-morpholino-methyl)phenyl
10	118	H	2-(N,N'-methylmorpholinium-methyl)phenyl
	119	H	2-(N-pyridinium-methyl)phenyl
	120	H	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
15	121	H	2-(N-azatanyl-methyl)phenyl
	122	H	2-(N-azetidinyl-methyl)phenyl
	123	H	2-(N-piperazinyl-methyl)phenyl
	124	H	2-(N,N'-BOC-piperazinyl-methyl)phenyl
20	125	H	2-(N-imidazolyl-methyl)phenyl
	126	H	2-(N-methoxy-N-methylamino-methyl)phenyl
	127	H	2-(N-pyridonyl-methyl)phenyl
	128	H	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
25	129	H	2-(amidinyl)phenyl
	130	H	2-(N-guanidinyl)phenyl
	131	H	2-(imidazolyl)phenyl
	132	H	2-(imidazolidinyl)phenyl
30	133	H	2-(2-imidazolidinyl-sulfonyl)phenyl
	134	H	2-(2-pyrrolidinyl)phenyl
	135	H	2-(2-piperidinyl)phenyl
	136	H	2-(amidinyl-methyl)phenyl
35	137	H	2-(2-imidazolidinyl-methyl)phenyl
	138	H	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	139	H	2-dimethylaminoimidazol-1-yl
40	140	H	2-(3-aminophenyl)
	141	H	2-(3-pyrrolidinylcarbonyl)
	142	H	2-glycinoyl
	143	H	2-(imidazol-1-ylacetyl)
	144	H	2-(N-pyrrolidinyl-methyl)phenyl
45	145	H	2-(N-piperidinyl-methyl)phenyl
	146	H	2-(N-morpholino-methyl)phenyl
	147	H	2-(N,N'-methylmorpholinium-methyl)phenyl
	148	H	2-(N-pyridinium-methyl)phenyl
50	149	H	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	150	H	2-(N-azatanyl-methyl)phenyl
	151	H	2-(N-azetidinyl-methyl)phenyl
	152	H	2-(N-piperazinyl-methyl)phenyl
55	153	H	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	154	H	2-(N-imidazolyl-methyl)phenyl

	155	H	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
5	156	H	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
	157	H	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	158	H	2-pyrimidyl	2-(amidinyl)phenyl
	159	H	2-pyrimidyl	2-(N-guanidinyl)phenyl
	160	H	2-pyrimidyl	2-(imidazolyl)phenyl
10	161	H	2-pyrimidyl	2-(imidazolidinyl)phenyl
	162	H	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	163	H	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	164	H	2-pyrimidyl	2-(2-piperidinyl)phenyl
15	165	H	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	166	H	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
	167	H	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
20	168	H	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	169	H	2-pyrimidyl	2-(3-aminophenyl)
	170	H	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	171	H	2-pyrimidyl	2-glycinoyl
	172	H	2-pyrimidyl	2-(imidazol-1-ylacetyl)
25	173	H	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	174	H	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
	175	H	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	176	H	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	177	H	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
30	178	H	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	179	H	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	180	H	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
35	181	H	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	182	H	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	183	H	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	184	H	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
40	185	H	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	186	H	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	187	H	2-Cl-phenyl	2-(amidinyl)phenyl
	188	H	2-Cl-phenyl	2-(N-guanidinyl)phenyl
45	189	H	2-Cl-phenyl	2-(imidazolyl)phenyl
	190	H	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	191	H	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
50	192	H	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	193	H	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	194	H	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	195	H	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
55	196	H	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	197	H	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl

198	H	2-Cl-phenyl	2-(3-aminophenyl)	
199	H	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)	
200	H	2-Cl-phenyl	2-glycinoyl	
201	H	2-Cl-phenyl	2-(imidazol-1-ylacetyl)	
5	202	H	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	203	H	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	204	H	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	205	H	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	206	H	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	207	H	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	208	H	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
10	209	H	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	210	H	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	211	H	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	212	H	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
	213	H	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
15	214	H	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	215	H	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	216	H	2-F-phenyl	2-(amidinyl)phenyl
	217	H	2-F-phenyl	2-(N-guanidinyl)phenyl
	218	H	2-F-phenyl	2-(imidazolyl)phenyl
20	219	H	2-F-phenyl	2-(imidazolidinyl)phenyl
	220	H	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	221	H	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
	222	H	2-F-phenyl	2-(2-piperidinyl)phenyl
	223	H	2-F-phenyl	2-(amidinyl-methyl)phenyl
25	224	H	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	225	H	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	226	H	2-F-phenyl	2-dimethylaminoimidazol-1-yl
	227	H	2-F-phenyl	2-(3-aminophenyl)
	228	H	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
30	229	H	2-F-phenyl	2-glycinoyl
	230	H	2-F-phenyl	2-(imidazol-1-ylacetyl)
	231	H	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	232	H	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	233	H	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
35	234	H	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	235	H	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
	236	H	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	237	H	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	238	H	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
40	239	H	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
	240	H	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	241	H	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	242	H	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-

			methyl)phenyl	
243	H	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl	
244	H	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
5	245	H	2,5-diF-phenyl	2-(amidinyl)phenyl
	246	H	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	247	H	2,5-diF-phenyl	2-(imidazolyl)phenyl
	248	H	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	249	H	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
10	250	H	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	251	H	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	252	H	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
15	253	H	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	254	H	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	255	H	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	256	H	2,5-diF-phenyl	2-(3-aminophenyl)
20	257	H	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	258	H	2,5-diF-phenyl	2-glycinoyl
	259	H	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	260	-CN	phenyl	2-(aminosulfonyl)phenyl
	261	-CN	phenyl	2-(methylaminosulfonyl)phenyl
25	262	-CN	phenyl	1-pyrrolidinocarbonyl
	263	-CN	phenyl	2-(methylsulfonyl)phenyl
	264	-CN	phenyl	4-morpholino
	265	-CN	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	266	-CN	phenyl	4-morpholinocarbonyl
30	267	-CN	2-pyridyl	2-(aminosulfonyl)phenyl
	268	-CN	2-pyridyl	2-(methylaminosulfonyl)phenyl
	269	-CN	2-pyridyl	1-pyrrolidinocarbonyl
	270	-CN	2-pyridyl	2-(methylsulfonyl)phenyl
	271	-CN	2-pyridyl	4-morpholino
35	272	-CN	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	273	-CN	2-pyridyl	4-morpholinocarbonyl
	274	-CN	3-pyridyl	2-(aminosulfonyl)phenyl
	275	-CN	3-pyridyl	2-(methylaminosulfonyl)phenyl
	276	-CN	3-pyridyl	1-pyrrolidinocarbonyl
40	277	-CN	3-pyridyl	2-(methylsulfonyl)phenyl
	278	-CN	3-pyridyl	4-morpholino
	279	-CN	3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	280	-CN	3-pyridyl	4-morpholinocarbonyl
	281	-CN	2-pyrimidyl	2-(aminosulfonyl)phenyl
45	282	-CN	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	283	-CN	2-pyrimidyl	1-pyrrolidinocarbonyl
	284	-CN	2-pyrimidyl	2-(methylsulfonyl)phenyl
	285	-CN	2-pyrimidyl	4-morpholino
	286	-CN	2-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
50	287	-CN	2-pyrimidyl	4-morpholinocarbonyl
	288	-CN	5-pyrimidyl	2-(aminosulfonyl)phenyl
	289	-CN	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	290	-CN	5-pyrimidyl	1-pyrrolidinocarbonyl
	291	-CN	5-pyrimidyl	2-(methylsulfonyl)phenyl
55	292	-CN	5-pyrimidyl	4-morpholino
	293	-CN	5-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl

294	-CN	5-pyrimidyl	4-morpholinocarbonyl
295	-CN	2-Cl-phenyl	2-(aminosulfonyl)phenyl
296	-CN	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
297	-CN	2-Cl-phenyl	1-pyrrolidinocarbonyl
5 298	-CN	2-Cl-phenyl	2-(methylsulfonyl)phenyl
299	-CN	2-Cl-phenyl	4-morpholino
300	-CN	2-Cl-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
301	-CN	2-Cl-phenyl	4-morpholinocarbonyl
10 302	-CN	2-F-phenyl	2-(aminosulfonyl)phenyl
303	-CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
304	-CN	2-F-phenyl	1-pyrrolidinocarbonyl
305	-CN	2-F-phenyl	2-(methylsulfonyl)phenyl
306	-CN	2-F-phenyl	4-morpholino
15 307	-CN	2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
308	-CN	2-F-phenyl	4-morpholinocarbonyl
309	-CN	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
310	-CN	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
311	-CN	2,5-diF-phenyl	1-pyrrolidinocarbonyl
20 312	-CN	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
313	-CN	2,5-diF-phenyl	4-morpholino
314	-CN	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
315	-CN	2,5-diF-phenyl	4-morpholinocarbonyl
316	-CN	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
317	-CN	phenyl	2-(N-piperidinyl-methyl)phenyl
25 318	-CN	phenyl	2-(N-morpholino-methyl)phenyl
319	-CN	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
320	-CN	phenyl	2-(N-pyridinium-methyl)phenyl
321	-CN	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
30 322	-CN	phenyl	2-(N-azatanyl-methyl)phenyl
323	-CN	phenyl	2-(N-azetidinyl-methyl)phenyl
324	-CN	phenyl	2-(N-piperazinyl-methyl)phenyl
325	-CN	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
35 326	-CN	phenyl	2-(N-imidazolyl-methyl)phenyl
327	-CN	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
328	-CN	phenyl	2-(N-pyridonyl-methyl)phenyl
40 329	-CN	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
330	-CN	phenyl	2-(amidinyl)phenyl
331	-CN	phenyl	2-(N-guanidinyl)phenyl
45 332	-CN	phenyl	2-(imidazolyl)phenyl
333	-CN	phenyl	2-(imidazolidinyl)phenyl
334	-CN	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
335	-CN	phenyl	2-(2-pyrrolidinyl)phenyl
336	-CN	phenyl	2-(2-piperidinyl)phenyl
50 337	-CN	phenyl	2-(amidinyl-methyl)phenyl
338	-CN	phenyl	2-(2-imidazolidinyl-methyl)phenyl
339	-CN	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
55 340	-CN	phenyl	2-dimethylaminoimidazol-1-yl
341	-CN	phenyl	2-(3-aminophenyl)

	342	-CN	phenyl	2-(3-pyrrolidinylcarbonyl)
	343	-CN	phenyl	2-glycinoyl
	344	-CN	phenyl	2-(imidazol-1-ylacetyl)
5	345	-CN	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	346	-CN	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	347	-CN	2-pyridyl	2-(N-morpholino-methyl)phenyl
	348	-CN	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
10	349	-CN	2-pyridyl	2-(N-pyridinium-methyl)phenyl
	350	-CN	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	351	-CN	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	352	-CN	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
15	353	-CN	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
	354	-CN	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	355	-CN	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
	356	-CN	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
20	357	-CN	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	358	-CN	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	359	-CN	2-pyridyl	2-(amidinyl)phenyl
	360	-CN	2-pyridyl	2-(N-guanidinyl)phenyl
25	361	-CN	2-pyridyl	2-(imidazolyl)phenyl
	362	-CN	2-pyridyl	2-(imidazolidinyl)phenyl
	363	-CN	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
30	364	-CN	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	365	-CN	2-pyridyl	2-(2-piperidinyl)phenyl
	366	-CN	2-pyridyl	2-(amidinyl-methyl)phenyl
	367	-CN	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
35	368	-CN	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	369	-CN	2-pyridyl	2-dimethylaminoimidazol-1-yl
	370	-CN	2-pyridyl	2-(3-aminophenyl)
	371	-CN	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	372	-CN	2-pyridyl	2-glycinoyl
40	373	-CN	2-pyridyl	2-(imidazol-1-ylacetyl)
	374	-CN	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	375	-CN	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	376	-CN	3-pyridyl	2-(N-morpholino-methyl)phenyl
45	377	-CN	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	378	-CN	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	379	-CN	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
50	380	-CN	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	381	-CN	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	382	-CN	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	383	-CN	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	384	-CN	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
55	385	-CN	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl

386	-CN	3-pyridyl	2-(N-pyridonyl-methyl)phenyl	
387	-CN	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
5	388	-CN	3-pyridyl	2-(amidinyl)phenyl
	389	-CN	3-pyridyl	2-(N-guanidinyl)phenyl
	390	-CN	3-pyridyl	2-(imidazolyl)phenyl
	391	-CN	3-pyridyl	2-(imidazolidinyl)phenyl
	392	-CN	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	10	393	-CN	3-pyridyl
	394	-CN	3-pyridyl	2-(2-piperidinyl)phenyl
	395	-CN	3-pyridyl	2-(amidinyl-methyl)phenyl
	396	-CN	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
15	397	-CN	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	398	-CN	3-pyridyl	2-dimethylaminoimidazol-1-yl
	399	-CN	3-pyridyl	2-(3-aminophenyl)
20	400	-CN	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
	401	-CN	3-pyridyl	2-glycinoyl
	402	-CN	3-pyridyl	2-(imidazol-1-ylacetyl)
	403	-CN	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
	404	-CN	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	405	-CN	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
25	406	-CN	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	407	-CN	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
	408	-CN	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
30	409	-CN	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
	410	-CN	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	411	-CN	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
	412	-CN	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
35	413	-CN	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
	414	-CN	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	415	-CN	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
40	416	-CN	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	417	-CN	2-pyrimidyl	2-(amidinyl)phenyl
	418	-CN	2-pyrimidyl	2-(N-guanidinyl)phenyl
	419	-CN	2-pyrimidyl	2-(imidazolyl)phenyl
	420	-CN	2-pyrimidyl	2-(imidazolidinyl)phenyl
	45	421	-CN	2-(2-imidazolidinyl-sulfonyl)phenyl
	422	-CN	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	423	-CN	2-pyrimidyl	2-(2-piperidinyl)phenyl
	424	-CN	2-pyrimidyl	2-(amidinyl-methyl)phenyl
50	425	-CN	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
	426	--CN	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
55	427	-CN	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	428	-CN	2-pyrimidyl	2-(3-aminophenyl)
	429	-CN	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)

430	-CN	2-pyrimidyl	2-glycinoyl	
431	-CN	2-pyrimidyl	2-(imidazol-1-ylacetyl)	
432	-CN	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl	
433	-CN	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl	
5	434	-CN	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	435	-CN	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
10	436	-CN	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
	437	-CN	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	438	-CN	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	439	-CN	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
15	440	-CN	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	441	-CN	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
20	442	-CN	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	443	-CN	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	444	-CN	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
25	445	-CN	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	446	-CN	2-Cl-phenyl	2-(amidinyl)phenyl
	447	-CN	2-Cl-phenyl	2-(N-guanidinyl)phenyl
30	448	-CN	2-Cl-phenyl	2-(imidazolyl)phenyl
	449	-CN	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	450	-CN	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	451	-CN	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	452	-CN	2-Cl-phenyl	2-(2-piperidinyl)phenyl
35	453	-CN	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	454	-CN	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	455	-CN	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
40	456	-CN	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	457	-CN	2-Cl-phenyl	2-(3-aminophenyl)
	458	-CN	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	459	-CN	2-Cl-phenyl	2-glycinoyl
45	460	-CN	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	461	-CN	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	462	-CN	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	463	-CN	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	464	-CN	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
45	465	-CN	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	466	-CN	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
50	467	-CN	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	468	-CN	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	469	-CN	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	470	-CN	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	471	-CN	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
55	472	-CN	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	473	-CN	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl

474	-CN	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
5	475	-CN	2-F-phenyl
	476	-CN	2-F-phenyl
	477	-CN	2-F-phenyl
	478	-CN	2-F-phenyl
	479	-CN	2-F-phenyl
10	480	-CN	2-F-phenyl
	481	-CN	2-F-phenyl
	482	-CN	2-F-phenyl
	483	-CN	2-F-phenyl
	484	-CN	2-F-phenyl
15	485	-CN	2-F-phenyl
	486	-CN	2-F-phenyl
	487	-CN	2-F-phenyl
	488	-CN	2-F-phenyl
	489	-CN	2-F-phenyl
20	490	-CN	2,5-diF-phenyl
	491	-CN	2,5-diF-phenyl
	492	-CN	2,5-diF-phenyl
	493	-CN	2,5-diF-phenyl
	494	-CN	2,5-diF-phenyl
25	495	-CN	2,5-diF-phenyl
	496	-CN	2,5-diF-phenyl
	497	-CN	2,5-diF-phenyl
	498	-CN	2,5-diF-phenyl
	499	-CN	2,5-diF-phenyl
30	500	-CN	2,5-diF-phenyl
	501	-CN	2,5-diF-phenyl
	502	-CN	2,5-diF-phenyl
	503	-CN	2,5-diF-phenyl
	504	-CN	2,5-diF-phenyl
35	505	-CN	2,5-diF-phenyl
	506	-CN	2,5-diF-phenyl
	507	-CN	2,5-diF-phenyl
	508	-CN	2,5-diF-phenyl
	509	-CN	2,5-diF-phenyl
40	510	-CN	2,5-diF-phenyl
	511	-CN	2,5-diF-phenyl
	512	-CN	2,5-diF-phenyl
	513	-CN	2,5-diF-phenyl
	514	-CN	2,5-diF-phenyl
45	515	-CN	2,5-diF-phenyl
	516	-CN	2,5-diF-phenyl
	517	-CN	2,5-diF-phenyl
	518	-CN	2,5-diF-phenyl
	519	-CN	2,5-diF-phenyl
50	520	-CN	2,5-diF-phenyl
	521	-CN	2,5-diF-phenyl
	522	-CN	2,5-diF-phenyl
	523	-CN	2,5-diF-phenyl
	524	-CN	2,5-diF-phenyl
55	525	-CN	2,5-diF-phenyl
	526	-CN	2,5-diF-phenyl
	527	-CN	2,5-diF-phenyl
	528	-CN	2,5-diF-phenyl
	529	-CN	2,5-diF-phenyl

518	-CN	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
519	CF ₃	phenyl	2-(aminosulfonyl)phenyl
520	CF ₃	phenyl	2-(methylaminosulfonyl)phenyl
521	CF ₃	phenyl	1-pyrrolidinocarbonyl
5	522	CF ₃	2-(methylsulfonyl)phenyl
	523	CF ₃	4-morpholino
	524	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	525	CF ₃	4-morpholinocarbonyl
	526	CF ₃	2-(aminosulfonyl)phenyl
10	527	CF ₃	2-(methylaminosulfonyl)phenyl
	528	CF ₃	1-pyrrolidinocarbonyl
	529	CF ₃	2-(methylsulfonyl)phenyl
	530	CF ₃	4-morpholino
	531	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
15	532	CF ₃	4-morpholinocarbonyl
	533	CF ₃	2-(aminosulfonyl)phenyl
	534	CF ₃	2-(methylaminosulfonyl)phenyl
	535	CF ₃	1-pyrrolidinocarbonyl
	536	CF ₃	2-(methylsulfonyl)phenyl
20	537	CF ₃	4-morpholino
	538	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	539	CF ₃	4-morpholinocarbonyl
	540	CF ₃	2-(aminosulfonyl)phenyl
	541	CF ₃	2-(methylaminosulfonyl)phenyl
25	542	CF ₃	1-pyrrolidinocarbonyl
	543	CF ₃	2-(methylsulfonyl)phenyl
	544	CF ₃	4-morpholino
	545	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	546	CF ₃	4-morpholinocarbonyl
30	547	CF ₃	2-(aminosulfonyl)phenyl
	548	CF ₃	2-(methylaminosulfonyl)phenyl
	549	CF ₃	1-pyrrolidinocarbonyl
	550	CF ₃	2-(methylsulfonyl)phenyl
	551	CF ₃	4-morpholino
35	552	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	553	CF ₃	4-morpholinocarbonyl
	554	CF ₃	2-Cl-phenyl
	555	CF ₃	2-(aminosulfonyl)phenyl
	556	CF ₃	2-(methylaminosulfonyl)phenyl
40	557	CF ₃	1-pyrrolidinocarbonyl
	558	CF ₃	2-(methylsulfonyl)phenyl
	559	CF ₃	4-morpholino
	560	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	561	CF ₃	4-morpholinocarbonyl
45	562	CF ₃	2-F-phenyl
	563	CF ₃	2-(aminosulfonyl)phenyl
	564	CF ₃	2-(methylaminosulfonyl)phenyl
	565	CF ₃	1-pyrrolidinocarbonyl
	566	CF ₃	2-(methylsulfonyl)phenyl
50	567	CF ₃	4-morpholino
	568	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	569	CF ₃	4-morpholinocarbonyl
		2,5-diF-phenyl	2-(aminosulfonyl)phenyl
		2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl

570	CF ₃	2,5-diF-phenyl	1-pyrrolidinocarbonyl	
571	CF ₃	2,5-diF-phenyl	2-(methylsulfonyl)phenyl	
572	CF ₃	2,5-diF-phenyl	4-morpholino	
573	CF ₃	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl	
5	574	CF ₃	2,5-diF-phenyl	4-morpholinocarbonyl
	575	CF ₃	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	576	CF ₃	phenyl	2-(N-piperidinyl-methyl)phenyl
	577	CF ₃	phenyl	2-(N-morpholino-methyl)phenyl
10	578	CF ₃	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	579	CF ₃	phenyl	2-(N-pyridinium-methyl)phenyl
	580	CF ₃	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
15	581	CF ₃	phenyl	2-(N-azatanyl-methyl)phenyl
	582	CF ₃	phenyl	2-(N-azetidinyl-methyl)phenyl
	583	CF ₃	phenyl	2-(N-piperazinyl-methyl)phenyl
	584	CF ₃	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
20	585	CF ₃	phenyl	2-(N-imidazolyl-methyl)phenyl
	586	CF ₃	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	587	CF ₃	phenyl	2-(N-pyridonyl-methyl)phenyl
	588	CF ₃	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
25	589	CF ₃	phenyl	2-(amidinyl)phenyl
	590	CF ₃	phenyl	2-(N-guanidinyl)phenyl
	591	CF ₃	phenyl	2-(imidazolyl)phenyl
	592	CF ₃	phenyl	2-(imidazolidinyl)phenyl
30	593	CF ₃	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	594	CF ₃	phenyl	2-(2-pyrrolidinyl)phenyl
	595	CF ₃	phenyl	2-(2-piperidinyl)phenyl
	596	CF ₃	phenyl	2-(amidinyl-methyl)phenyl
35	597	CF ₃	phenyl	2-(2-imidazolidinyl-methyl)phenyl
	598	CF ₃	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	599	CF ₃	phenyl	2-dimethylaminoimidazol-1-yl
40	600	CF ₃	phenyl	2-(3-aminophenyl)
	601	CF ₃	phenyl	2-(3-pyrrolidinylcarbonyl)
	602	CF ₃	phenyl	2-glycinoyl
	603	CF ₃	phenyl	2-(imidazol-1-ylacetyl)
	604	CF ₃	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	605	CF ₃	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
45	606	CF ₃	2-pyridyl	2-(N-morpholino-methyl)phenyl
	607	CF ₃	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	608	CF ₃	2-pyridyl	2-(N-pyridinium-methyl)phenyl
50	609	CF ₃	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	610	CF ₃	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	611	CF ₃	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	612	CF ₃	2-pyridyl	2-(N-piperazinyl-methyl)phenyl

	613	CF ₃	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	614	CF ₃	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
5	615	CF ₃	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	616	CF ₃	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	617	CF ₃	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
10	618	CF ₃	2-pyridyl	2-(amidinyl)phenyl
	619	CF ₃	2-pyridyl	2-(N-guanidinyl)phenyl
	620	CF ₃	2-pyridyl	2-(imidazolyl)phenyl
	621	CF ₃	2-pyridyl	2-(imidazolidinyl)phenyl
	622	CF ₃	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
15	623	CF ₃	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	624	CF ₃	2-pyridyl	2-(2-piperidinyl)phenyl
	625	CF ₃	2-pyridyl	2-(amidinyl-methyl)phenyl
	626	CF ₃	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
20	627	CF ₃	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	628	CF ₃	2-pyridyl	2-dimethylaminoimidazol-1-yl
	629	CF ₃	2-pyridyl	2-(3-aminophenyl)
25	630	CF ₃	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	631	CF ₃	2-pyridyl	2-glycinoyl
	632	CF ₃	2-pyridyl	2-(imidazol-1-ylacetyl)
	633	CF ₃	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	634	CF ₃	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	635	CF ₃	3-pyridyl	2-(N-morpholino-methyl)phenyl
30	636	CF ₃	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	637	CF ₃	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	638	CF ₃	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
35	639	CF ₃	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	640	CF ₃	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	641	CF ₃	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	642	CF ₃	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
40	643	CF ₃	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	644	CF ₃	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	645	CF ₃	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
45	646	CF ₃	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	647	CF ₃	3-pyridyl	2-(amidinyl)phenyl
	648	CF ₃	3-pyridyl	2-(N-guanidinyl)phenyl
	649	CF ₃	3-pyridyl	2-(imidazolyl)phenyl
	650	CF ₃	3-pyridyl	2-(imidazolidinyl)phenyl
50	651	CF ₃	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	652	CF ₃	3-pyridyl	2-(2-pyrrolidinyl)phenyl
	653	CF ₃	3-pyridyl	2-(2-piperidinyl)phenyl

654	CF ₃	3-pyridyl	2-(amidinyl-methyl)phenyl	
655	CF ₃	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl	
5	656	CF ₃	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	657	CF ₃	3-pyridyl	2-dimethylaminoimidazol-1-yl
	658	CF ₃	3-pyridyl	2-(3-aminophenyl)
	659	CF ₃	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
	660	CF ₃	3-pyridyl	2-glycinoyl
10	661	CF ₃	3-pyridyl	2-(imidazol-1-ylacetyl)
	662	CF ₃	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
	663	CF ₃	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	664	CF ₃	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
	665	CF ₃	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
15	666	CF ₃	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
	667	CF ₃	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	668	CF ₃	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
20	669	CF ₃	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	670	CF ₃	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
	671	CF ₃	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	672	CF ₃	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
25	673	CF ₃	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	674	CF ₃	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
	675	CF ₃	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
30	676	CF ₃	2-pyrimidyl	2-(amidinyl)phenyl
	677	CF ₃	2-pyrimidyl	2-(N-guanidinyl)phenyl
	678	CF ₃	2-pyrimidyl	2-(imidazolyl)phenyl
	679	CF ₃	2-pyrimidyl	2-(imidazolidinyl)phenyl
	680	CF ₃	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
35	681	CF ₃	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	682	CF ₃	2-pyrimidyl	2-(2-piperidinyl)phenyl
	683	CF ₃	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	684	CF ₃	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
40	685	CF ₃	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	686	CF ₃	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	687	CF ₃	2-pyrimidyl	2-(3-aminophenyl)
45	688	CF ₃	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	689	CF ₃	2-pyrimidyl	2-glycinoyl
	690	CF ₃	2-pyrimidyl	2-(imidazol-1-ylacetyl)
	691	CF ₃	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	692	CF ₃	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
50	693	CF ₃	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	694	CF ₃	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	695	CF ₃	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl

	696	CF ₃	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
5	697	CF ₃	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	698	CF ₃	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
	699	CF ₃	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	700	CF ₃	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	701	CF ₃	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	702	CF ₃	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
10	703	CF ₃	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	704	CF ₃	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
15	705	CF ₃	2-Cl-phenyl	2-(amidinyl)phenyl
	706	CF ₃	2-Cl-phenyl	2-(N-guanidinyl)phenyl
	707	CF ₃	2-Cl-phenyl	2-(imidazolyl)phenyl
	708	CF ₃	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	709	CF ₃	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	710	CF ₃	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
20	711	CF ₃	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	712	CF ₃	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	713	CF ₃	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	714	CF ₃	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
25	715	CF ₃	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	716	CF ₃	2-Cl-phenyl	2-(3-aminophenyl)
	717	CF ₃	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	718	CF ₃	2-Cl-phenyl	2-glycinoyl
	719	CF ₃	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	720	CF ₃	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
30	721	CF ₃	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	722	CF ₃	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	723	CF ₃	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	724	CF ₃	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
35	725	CF ₃	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	726	CF ₃	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	727	CF ₃	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	728	CF ₃	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	729	CF ₃	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	730	CF ₃	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
40	731	CF ₃	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	732	CF ₃	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	733	CF ₃	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	734	CF ₃	2-F-phenyl	2-(amidinyl)phenyl
	735	CF ₃	2-F-phenyl	2-(N-guanidinyl)phenyl
	736	CF ₃	2-F-phenyl	2-(imidazolyl)phenyl

737	CF ₃	2-F-phenyl	2-(imidazolidinyl)phenyl	
738	CF ₃	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl	
5	739	CF ₃	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
	740	CF ₃	2-F-phenyl	2-(2-piperidinyl)phenyl
	741	CF ₃	2-F-phenyl	2-(amidinyl-methyl)phenyl
	742	CF ₃	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
10	743	CF ₃	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	744	CF ₃	2-F-phenyl	2-dimethylaminoimidazol-1-yl
	745	CF ₃	2-F-phenyl	2-(3-aminophenyl)
	746	CF ₃	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
15	747	CF ₃	2-F-phenyl	2-glycinoyl
	748	CF ₃	2-F-phenyl	2-(imidazol-1-ylacetyl)
	749	CF ₃	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	750	CF ₃	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	751	CF ₃	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
20	752	CF ₃	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	753	CF ₃	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
	754	CF ₃	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
25	755	CF ₃	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	756	CF ₃	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
	757	CF ₃	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
	758	CF ₃	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
30	759	CF ₃	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	760	CF ₃	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	761	CF ₃	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
	762	CF ₃	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
35	763	CF ₃	2,5-diF-phenyl	2-(amidinyl)phenyl
	764	CF ₃	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	765	CF ₃	2,5-diF-phenyl	2-(imidazolyl)phenyl
	766	CF ₃	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
40	767	CF ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	768	CF ₃	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	769	CF ₃	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	770	CF ₃	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
45	771	CF ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	772	CF ₃	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	773	CF ₃	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
50	774	CF ₃	2,5-diF-phenyl	2-(3-aminophenyl)
	775	CF ₃	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	776	CF ₃	2,5-diF-phenyl	2-glycinoyl
	777	CF ₃	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	778	CONH ₂	phenyl	2-(aminosulfonyl)phenyl

779	CONH ₂	phenyl	2-(methylaminosulfonyl)phenyl	
780	CONH ₂	phenyl	1-pyrrolidinocarbonyl	
781	CONH ₂	phenyl	2-(methylsulfonyl)phenyl	
782	CONH ₂	phenyl	4-morpholino	
5	783	CONH ₂	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	784	CONH ₂	phenyl	4-morpholinocarbonyl
	785	CONH ₂	2-pyridyl	2-(aminosulfonyl)phenyl
	786	CONH ₂	2-pyridyl	2-(methylaminosulfonyl)phenyl
	787	CONH ₂	2-pyridyl	1-pyrrolidinocarbonyl
10	788	CONH ₂	2-pyridyl	2-(methylsulfonyl)phenyl
	789	CONH ₂	2-pyridyl	4-morpholino
	790	CONH ₂	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	791	CONH ₂	2-pyridyl	4-morpholinocarbonyl
	792	CONH ₂	3-pyridyl	2-(aminosulfonyl)phenyl
15	793	CONH ₂	3-pyridyl	2-(methylaminosulfonyl)phenyl
	794	CONH ₂	3-pyridyl	1-pyrrolidinocarbonyl
	795	CONH ₂	3-pyridyl	2-(methylsulfonyl)phenyl
	796	CONH ₂	3-pyridyl	4-morpholino
	797	CONH ₂	3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
20	798	CONH ₂	3-pyridyl	4-morpholinocarbonyl
	799	CONH ₂	2-pyrimidyl	2-(aminosulfonyl)phenyl
	800	CONH ₂	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	801	CONH ₂	2-pyrimidyl	1-pyrrolidinocarbonyl
	802	CONH ₂	2-pyrimidyl	2-(methylsulfonyl)phenyl
25	803	CONH ₂	2-pyrimidyl	4-morpholino
	804	CONH ₂	2-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	805	CONH ₂	2-pyrimidyl	4-morpholinocarbonyl
	806	CONH ₂	5-pyrimidyl	2-(aminosulfonyl)phenyl
	807	CONH ₂	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
30	808	CONH ₂	5-pyrimidyl	1-pyrrolidinocarbonyl
	809	CONH ₂	5-pyrimidyl	2-(methylsulfonyl)phenyl
	810	CONH ₂	5-pyrimidyl	4-morpholino
	811	CONH ₂	5-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	812	CONH ₂	5-pyrimidyl	4-morpholinocarbonyl
35	813	CONH ₂	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	814	CONH ₂	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	815	CONH ₂	2-Cl-phenyl	1-pyrrolidinocarbonyl
	816	CONH ₂	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	817	CONH ₂	2-Cl-phenyl	4-morpholino
40	818	CONH ₂	2-Cl-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	819	CONH ₂	2-Cl-phenyl	4-morpholinocarbonyl
	820	CONH ₂	2-F-phenyl	2-(aminosulfonyl)phenyl
	821	CONH ₂	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	822	CONH ₂	2-F-phenyl	1-pyrrolidinocarbonyl
45	823	CONH ₂	2-F-phenyl	2-(methylsulfonyl)phenyl
	824	CONH ₂	2-F-phenyl	4-morpholino
	825	CONH ₂	2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	826	CONH ₂	2-F-phenyl	4-morpholinocarbonyl
	827	CONH ₂	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
50	828	CONH ₂	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
	829	CONH ₂	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	830	CONH ₂	2,5-diF-phenyl	2-(methylsulfonyl)phenyl

831	CONH ₂	2,5-diF-phenyl	4-morpholino	
832	CONH ₂	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl	
833	CONH ₂	2,5-diF-phenyl	4-morpholinocarbonyl	
834	CONH ₂	phenyl	2-(N-pyrrolidinyl-methyl)phenyl	
5	835	CONH ₂	phenyl	2-(N-piperidinyl-methyl)phenyl
836	CONH ₂	phenyl	2-(N-morpholino-methyl)phenyl	
837	CONH ₂	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl	
10	838	CONH ₂	phenyl	2-(N-pyridinium-methyl)phenyl
839	CONH ₂	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl	
840	CONH ₂	phenyl	2-(N-azatanyl-methyl)phenyl	
841	CONH ₂	phenyl	2-(N-azetidinyl-methyl)phenyl	
15	842	CONH ₂	phenyl	2-(N-piperazinyl-methyl)phenyl
843	CONH ₂	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl	
844	CONH ₂	phenyl	2-(N-imidazolyl-methyl)phenyl	
845	CONH ₂	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl	
20	846	CONH ₂	phenyl	2-(N-pyridonyl-methyl)phenyl
847	CONH ₂	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
848	CONH ₂	phenyl	2-(amidinyl)phenyl	
25	849	CONH ₂	phenyl	2-(N-guanidinyl)phenyl
850	CONH ₂	phenyl	2-(imidazolyl)phenyl	
851	CONH ₂	phenyl	2-(imidazolidinyl)phenyl	
852	CONH ₂	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl	
30	853	CONH ₂	phenyl	2-(2-pyrrolidinyl)phenyl
854	CONH ₂	phenyl	2-(2-piperidinyl)phenyl	
855	CONH ₂	phenyl	2-(amidinyl-methyl)phenyl	
856	CONH ₂	phenyl	2-(2-imidazolidinyl-methyl)phenyl	
35	857	CONH ₂	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
858	CONH ₂	phenyl	2-dimethylaminoimidazol-1-yl	
859	CONH ₂	phenyl	2-(3-aminophenyl)	
860	CONH ₂	phenyl	2-(3-pyrrolidinylcarbonyl)	
40	861	CONH ₂	phenyl	2-glycinoyl
862	CONH ₂	phenyl	2-(imidazol-1-ylacetyl)	
863	CONH ₂	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl	
864	CONH ₂	2-pyridyl	2-(N-piperidinyl-methyl)phenyl	
865	CONH ₂	2-pyridyl	2-(N-morpholino-methyl)phenyl	
45	866	CONH ₂	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
867	CONH ₂	2-pyridyl	2-(N-pyridinium-methyl)phenyl	
868	CONH ₂	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl	
50	869	CONH ₂	2-pyridyl	2-(N-azatanyl-methyl)phenyl
870	CONH ₂	2-pyridyl	2-(N-azetidinyl-methyl)phenyl	
871	CONH ₂	2-pyridyl	2-(N-piperazinyl-methyl)phenyl	
872	CONH ₂	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl	

873	CONH ₂	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
874	CONH ₂	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
5	875	CONH ₂	2-(N-pyridonyl-methyl)phenyl
	876	CONH ₂	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
10	877	CONH ₂	2-(amidinyl)phenyl
	878	CONH ₂	2-(N-guanidinyl)phenyl
	879	CONH ₂	2-(imidazolyl)phenyl
	880	CONH ₂	2-(imidazolidinyl)phenyl
	881	CONH ₂	2-(2-imidazolidinyl-sulfonyl)phenyl
	882	CONH ₂	2-(2-pyrrolidinyl)phenyl
15	883	CONH ₂	2-(2-piperidinyl)phenyl
	884	CONH ₂	2-(amidinyl-methyl)phenyl
	885	CONH ₂	2-(2-imidazolidinyl-methyl)phenyl
20	886	CONH ₂	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	887	CONH ₂	2-dimethylaminoimidazol-1-yl
	888	CONH ₂	2-(3-aminophenyl)
	889	CONH ₂	2-(3-pyrrolidinylcarbonyl)
	890	CONH ₂	2-glycinoyl
	891	CONH ₂	2-(imidazol-1-ylacetyl)
25	892	CONH ₂	2-(N-pyrrolidinyl-methyl)phenyl
	893	CONH ₂	2-(N-piperidinyl-methyl)phenyl
	894	CONH ₂	2-(N-morpholino-methyl)phenyl
	895	CONH ₂	2-(N,N'-methylmorpholinium-methyl)phenyl
	896	CONH ₂	2-(N-pyridinium-methyl)phenyl
30	897	CONH ₂	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	898	CONH ₂	2-(N-azatanyl-methyl)phenyl
35	899	CONH ₂	2-(N-azetidinyl-methyl)phenyl
	900	CONH ₂	2-(N-piperazinyl-methyl)phenyl
	901	CONH ₂	2-(N,N'-BOC-piperazinyl-methyl)phenyl
40	902	CONH ₂	2-(N-imidazolyl-methyl)phenyl
	903	CONH ₂	2-(N-methoxy-N-methylamino-methyl)phenyl
	904	CONH ₂	2-(N-pyridonyl-methyl)phenyl
45	905	CONH ₂	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	906	CONH ₂	2-(amidinyl)phenyl
	907	CONH ₂	2-(N-guanidinyl)phenyl
	908	CONH ₂	2-(imidazolyl)phenyl
	909	CONH ₂	2-(imidazolidinyl)phenyl
	910	CONH ₂	2-(2-imidazolidinyl-sulfonyl)phenyl
50	911	CONH ₂	2-(2-pyrrolidinyl)phenyl
	912	CONH ₂	2-(2-piperidinyl)phenyl
	913	CONH ₂	2-(amidinyl-methyl)phenyl
	914	CONH ₂	2-(2-imidazolidinyl-

			methyl)phenyl
915	CONH ₂	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
5	916	CONH ₂	2-dimethylaminoimidazol-1-yl
	917	CONH ₂	2-(3-aminophenyl)
	918	CONH ₂	2-(3-pyrrolidinylcarbonyl)
	919	CONH ₂	2-glycinoyl
	920	CONH ₂	2-(imidazol-1-ylacetyl)
10	921	CONH ₂	2-(N-pyrrolidinyl-methyl)phenyl
	922	CONH ₂	2-(N-piperidinyl-methyl)phenyl
	923	CONH ₂	2-(N-morpholino-methyl)phenyl
	924	CONH ₂	2-(N,N'-methylmorpholinium-methyl)phenyl
15	925	CONH ₂	2-(N-pyridinium-methyl)phenyl
	926	CONH ₂	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	927	CONH ₂	2-(N-azatanyl-methyl)phenyl
	928	CONH ₂	2-(N-azetidinyl-methyl)phenyl
20	929	CONH ₂	2-(N-piperazinyl-methyl)phenyl
	930	CONH ₂	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	931	CONH ₂	2-(N-imidazolyl-methyl)phenyl
	932	CONH ₂	2-(N-methoxy-N-methylamino-methyl)phenyl
25	933	CONH ₂	2-(N-pyridonyl-methyl)phenyl
	934	CONH ₂	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	935	CONH ₂	2-(amidinyl)phenyl
30	936	CONH ₂	2-(N-guanidinyl)phenyl
	937	CONH ₂	2-(imidazolyl)phenyl
	938	CONH ₂	2-(imidazolidinyl)phenyl
	939	CONH ₂	2-(2-imidazolidinyl-sulfonyl)phenyl
35	940	CONH ₂	2-(2-pyrrolidinyl)phenyl
	941	CONH ₂	2-(2-piperidinyl)phenyl
	942	CONH ₂	2-(amidinyl-methyl)phenyl
	943	CONH ₂	2-(2-imidazolidinyl-methyl)phenyl
40	944	CONH ₂	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	945	CONH ₂	2-dimethylaminoimidazol-1-yl
	946	CONH ₂	2-(3-aminophenyl)
	947	CONH ₂	2-(3-pyrrolidinylcarbonyl)
45	948	CONH ₂	2-glycinoyl
	949	CONH ₂	2-(imidazol-1-ylacetyl)
	950	CONH ₂	2-(N-pyrrolidinyl-methyl)phenyl
	951	CONH ₂	2-(N-piperidinyl-methyl)phenyl
	952	CONH ₂	2-(N-morpholino-methyl)phenyl
50	953	CONH ₂	2-(N,N'-methylmorpholinium-methyl)phenyl
	954	CONH ₂	2-(N-pyridinium-methyl)phenyl
	955	CONH ₂	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl

5	956	CONH ₂	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	957	CONH ₂	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
	958	CONH ₂	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	959	CONH ₂	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
10	960	CONH ₂	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	961	CONH ₂	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	962	CONH ₂	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	963	CONH ₂	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
15	964	CONH ₂	2-Cl-phenyl	2-(amidinyl)phenyl
	965	CONH ₂	2-Cl-phenyl	2-(N-guanidinyl)phenyl
	966	CONH ₂	2-Cl-phenyl	2-(imidazolyl)phenyl
	967	CONH ₂	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	968	CONH ₂	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
20	969	CONH ₂	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	970	CONH ₂	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	971	CONH ₂	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	972	CONH ₂	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	973	CONH ₂	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
25	974	CONH ₂	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	975	CONH ₂	2-Cl-phenyl	2-(3-aminophenyl)
	976	CONH ₂	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	977	CONH ₂	2-Cl-phenyl	2-glycinoyl
	978	CONH ₂	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
30	979	CONH ₂	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	980	CONH ₂	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	981	CONH ₂	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	982	CONH ₂	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
35	983	CONH ₂	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	984	CONH ₂	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	985	CONH ₂	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	986	CONH ₂	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
40	987	CONH ₂	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	988	CONH ₂	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	989	CONH ₂	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
	990	CONH ₂	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
45	991	CONH ₂	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	992	CONH ₂	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
50	993	CONH ₂	2-F-phenyl	2-(amidinyl)phenyl
	994	CONH ₂	2-F-phenyl	2-(N-guanidinyl)phenyl
	995	CONH ₂	2-F-phenyl	2-(imidazolyl)phenyl
	996	CONH ₂	2-F-phenyl	2-(imidazolidinyl)phenyl
	997	CONH ₂	2-F-phenyl	2-(2-imidazolidinyl-

			sulfonyl)phenyl
998	CONH ₂	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
999	CONH ₂	2-F-phenyl	2-(2-piperidinyl)phenyl
1000	CONH ₂	2-F-phenyl	2-(amidinyl-methyl)phenyl
5	1001	CONH ₂	2-(2-imidazolidinyl- methyl)phenyl
	1002	CONH ₂	2-(N-(2-aminoimidazolyl)- methyl)phenyl
10	1003	CONH ₂	2-dimethylaminoimidazol-1-yl
	1004	CONH ₂	2-(3-aminophenyl)
	1005	CONH ₂	2-(3-pyrrolidinylcarbonyl)
	1006	CONH ₂	2-glycinoyl
	1007	CONH ₂	2-(imidazol-1-ylacetyl)
15	1008	CONH ₂	2-(N-pyrrolidinyl-methyl)phenyl
	1009	CONH ₂	2-(N-piperidinyl-methyl)phenyl
	1010	CONH ₂	2-(N-morpholino-methyl)phenyl
	1011	CONH ₂	2-(N,N'-methylmorpholinium- methyl)phenyl
20	1012	CONH ₂	2-(N-pyridinium-methyl)phenyl
	1013	CONH ₂	2-(N-4-(N,N'-dimethylamino)- pyridinium-methyl)phenyl
	1014	CONH ₂	2-(N-azatanyl-methyl)phenyl
	1015	CONH ₂	2-(N-azetidinyl-methyl)phenyl
25	1016	CONH ₂	2-(N-piperazinyl-methyl)phenyl
	1017	CONH ₂	2-(N,N'-BOC-piperazinyl- methyl)phenyl
	1018	CONH ₂	2-(N-imidazolyl-methyl)phenyl
	1019	CONH ₂	2-(N-methoxy-N-methylamino- methyl)phenyl
30	1020	CONH ₂	2-(N-pyridonyl-methyl)phenyl
	1021	CONH ₂	2-(N-(N',N'-dimethylhydrazinyl- methyl)phenyl
	1022	CONH ₂	2-(amidinyl)phenyl
35	1023	CONH ₂	2-(N-guanidinyl)phenyl
	1024	CONH ₂	2-(imidazolyl)phenyl
	1025	CONH ₂	2-(imidazolidinyl)phenyl
	1026	CONH ₂	2-(2-imidazolidinyl- sulfonyl)phenyl
40	1027	CONH ₂	2-(2-pyrrolidinyl)phenyl
	1028	CONH ₂	2-(2-piperidinyl)phenyl
	1029	CONH ₂	2-(amidinyl-methyl)phenyl
	1030	CONH ₂	2-(2-imidazolidinyl- methyl)phenyl
45	1031	CONH ₂	2-(N-(2-aminoimidazolyl)- methyl)phenyl
	1032	CONH ₂	2-dimethylaminoimidazol-1-yl
	1033	CONH ₂	2-(3-aminophenyl)
	1034	CONH ₂	2-(3-pyrrolidinylcarbonyl)
50	1035	CONH ₂	2-glycinoyl
	1036	CONH ₂	2-(imidazol-1-ylacetyl)
	1037	SCH ₃	2-(aminosulfonyl)phenyl
	1038	SCH ₃	2-(methylaminosulfonyl)phenyl
	1039	SCH ₃	1-pyrrolidinocarbonyl

1040	SCH ₃	phenyl	2-(methylsulfonyl)phenyl
1041	SCH ₃	phenyl	4-morpholino
1042	SCH ₃	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
1043	SCH ₃	phenyl	4-morpholinocarbonyl
5	1044	SCH ₃	2-pyridyl
	1045	SCH ₃	2-pyridyl
	1046	SCH ₃	2-pyridyl
	1047	SCH ₃	2-pyridyl
	1048	SCH ₃	2-pyridyl
10	1049	SCH ₃	2-pyridyl
	1050	SCH ₃	2-pyridyl
	1051	SCH ₃	3-pyridyl
	1052	SCH ₃	3-pyridyl
	1053	SCH ₃	3-pyridyl
15	1054	SCH ₃	3-pyridyl
	1055	SCH ₃	3-pyridyl
	1056	SCH ₃	3-pyridyl
	1057	SCH ₃	3-pyridyl
	1058	SCH ₃	2-pyrimidyl
20	1059	SCH ₃	2-pyrimidyl
	1060	SCH ₃	2-pyrimidyl
	1061	SCH ₃	2-pyrimidyl
	1062	SCH ₃	2-pyrimidyl
	1063	SCH ₃	2-pyrimidyl
25	1064	SCH ₃	2-pyrimidyl
	1065	SCH ₃	5-pyrimidyl
	1066	SCH ₃	5-pyrimidyl
	1067	SCH ₃	5-pyrimidyl
	1068	SCH ₃	5-pyrimidyl
30	1069	SCH ₃	5-pyrimidyl
	1070	SCH ₃	5-pyrimidyl
	1071	SCH ₃	5-pyrimidyl
	1072	SCH ₃	2-Cl-phenyl
	1073	SCH ₃	2-Cl-phenyl
35	1074	SCH ₃	2-Cl-phenyl
	1075	SCH ₃	2-Cl-phenyl
	1076	SCH ₃	2-Cl-phenyl
	1077	SCH ₃	2-Cl-phenyl
	1078	SCH ₃	2-Cl-phenyl
40	1079	SCH ₃	2-F-phenyl
	1080	SCH ₃	2-F-phenyl
	1081	SCH ₃	2-F-phenyl
	1082	SCH ₃	2-F-phenyl
	1083	SCH ₃	2-F-phenyl
45	1084	SCH ₃	2-F-phenyl
	1085	SCH ₃	2-F-phenyl
	1086	SCH ₃	2,5-diF-phenyl
	1087	SCH ₃	2,5-diF-phenyl
	1088	SCH ₃	2,5-diF-phenyl
50	1089	SCH ₃	2,5-diF-phenyl
	1090	SCH ₃	2,5-diF-phenyl
	1091	SCH ₃	2,5-diF-phenyl

1092	SCH ₃	2,5-diF-phenyl	4-morpholinocarbonyl
1093	SCH ₃	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1094	SCH ₃	phenyl	2-(N-piperidinyl-methyl)phenyl
1095	SCH ₃	phenyl	2-(N-morpholino-methyl)phenyl
5	1096	SCH ₃	2-(N,N'-methylmorpholinium-methyl)phenyl
	1097	SCH ₃	phenyl
	1098	SCH ₃	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
10	1099	SCH ₃	phenyl
	1100	SCH ₃	2-(N-azatanyl-methyl)phenyl
	1101	SCH ₃	2-(N-azetidinyl-methyl)phenyl
	1102	SCH ₃	2-(N,N'-BOC-piperazinyl-methyl)phenyl
15	1103	SCH ₃	phenyl
	1104	SCH ₃	2-(N-methoxy-N-methylamino-methyl)phenyl
	1105	SCH ₃	2-(N-pyridonyl-methyl)phenyl
20	1106	SCH ₃	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1107	SCH ₃	2-(amidinyl)phenyl
	1108	SCH ₃	2-(N-guanidinyl)phenyl
	1109	SCH ₃	2-(imidazolyl)phenyl
25	1110	SCH ₃	2-(imidazolidinyl)phenyl
	1111	SCH ₃	2-(2-imidazolidinyl-sulfonyl)phenyl
	1112	SCH ₃	2-(2-pyrrolidinyl)phenyl
	1113	SCH ₃	2-(2-piperidinyl)phenyl
	1114	SCH ₃	2-(amidinyl-methyl)phenyl
30	1115	SCH ₃	2-(2-imidazolidinyl-methyl)phenyl
	1116	SCH ₃	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1117	SCH ₃	2-dimethylaminoimidazol-1-yl
35	1118	SCH ₃	2-(3-aminophenyl)
	1119	SCH ₃	2-(3-pyrrolidinylcarbonyl)
	1120	SCH ₃	2-glycinoyl
	1121	SCH ₃	2-(imidazol-1-ylacetyl)
40	1122	SCH ₃	2-(N-pyrrolidinyl-methyl)phenyl
	1123	SCH ₃	2-(N-piperidinyl-methyl)phenyl
	1124	SCH ₃	2-(N-morpholino-methyl)phenyl
	1125	SCH ₃	2-(N,N'-methylmorpholinium-methyl)phenyl
45	1126	SCH ₃	2-(N-pyridinium-methyl)phenyl
	1127	SCH ₃	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1128	SCH ₃	2-(N-azatanyl-methyl)phenyl
	1129	SCH ₃	2-(N-azetidinyl-methyl)phenyl
50	1130	SCH ₃	2-(N-piperazinyl-methyl)phenyl
	1131	SCH ₃	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1132	SCH ₃	2-(N-imidazolyl-methyl)phenyl
	1133	SCH ₃	2-(N-methoxy-N-methylamino-

			methyl)phenyl	
1134	SCH ₃	2-pyridyl	2-(N-pyridonyl-methyl)phenyl	
1135	SCH ₃	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
5	1136	SCH ₃	2-pyridyl	2-(amidinyl)phenyl
	1137	SCH ₃	2-pyridyl	2-(N-guanidinyl)phenyl
	1138	SCH ₃	2-pyridyl	2-(imidazolyl)phenyl
	1139	SCH ₃	2-pyridyl	2-(imidazolidinyl)phenyl
10	1140	SCH ₃	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1141	SCH ₃	2-pyridyl	2-(2-pyrroolidinyl)phenyl
	1142	SCH ₃	2-pyridyl	2-(2-piperidinyl)phenyl
	1143	SCH ₃	2-pyridyl	2-(amidinyl-methyl)phenyl
15	1144	SCH ₃	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1145	SCH ₃	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1146	SCH ₃	2-pyridyl	2-dimethylaminoimidazol-1-yl
20	1147	SCH ₃	2-pyridyl	2-(3-aminophenyl)
	1148	SCH ₃	2-pyridyl	2-(3-pyrroolidinylcarbonyl)
	1149	SCH ₃	2-pyridyl	2-glycinoyl
	1150	SCH ₃	2-pyridyl	2-(imidazol-1-ylacetyl)
	1151	SCH ₃	3-pyridyl	2-(N-pyrroolidinyl-methyl)phenyl
	1152	SCH ₃	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
25	1153	SCH ₃	3-pyridyl	2-(N-morpholino-methyl)phenyl
	1154	SCH ₃	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1155	SCH ₃	3-pyridyl	2-(N-pyridinium-methyl)phenyl
30	1156	SCH ₃	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1157	SCH ₃	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	1158	SCH ₃	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1159	SCH ₃	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
35	1160	SCH ₃	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1161	SCH ₃	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1162	SCH ₃	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1163	SCH ₃	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
40	1164	SCH ₃	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1165	SCH ₃	3-pyridyl	2-(amidinyl)phenyl
	1166	SCH ₃	3-pyridyl	2-(N-guanidinyl)phenyl
	1167	SCH ₃	3-pyridyl	2-(imidazolyl)phenyl
45	1168	SCH ₃	3-pyridyl	2-(imidazolidinyl)phenyl
	1169	SCH ₃	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1170	SCH ₃	3-pyridyl	2-(2-pyrroolidinyl)phenyl
	1171	SCH ₃	3-pyridyl	2-(2-piperidinyl)phenyl
50	1172	SCH ₃	3-pyridyl	2-(amidinyl-methyl)phenyl
	1173	SCH ₃	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1174	SCH ₃	3-pyridyl	2-(N-(2-aminoimidazolyl)-

			methyl)phenyl	
1175	SCH ₃	3-pyridyl	2-dimethylaminoimidazol-1-yl	
1176	SCH ₃	3-pyridyl	2-(3-aminophenyl)	
1177	SCH ₃	3-pyridyl	2-(3-pyrrolidinylcarbonyl)	
5	1178	SCH ₃	3-pyridyl	2-glycinoyl
	1179	SCH ₃	3-pyridyl	2-(imidazol-1-ylacetyl)
	1180	SCH ₃	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
	1181	SCH ₃	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	1182	SCH ₃	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
10	1183	SCH ₃	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1184	SCH ₃	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
	1185	SCH ₃	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1186	SCH ₃	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
	1187	SCH ₃	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
15	1188	SCH ₃	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
	1189	SCH ₃	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1190	SCH ₃	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
	1191	SCH ₃	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1192	SCH ₃	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
20	1193	SCH ₃	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1194	SCH ₃	2-pyrimidyl	2-(amidinyl)phenyl
	1195	SCH ₃	2-pyrimidyl	2-(N-guanidinyl)phenyl
	1196	SCH ₃	2-pyrimidyl	2-(imidazolyl)phenyl
	1197	SCH ₃	2-pyrimidyl	2-(imidazolidinyl)phenyl
25	1198	SCH ₃	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1199	SCH ₃	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	1200	SCH ₃	2-pyrimidyl	2-(2-piperidinyl)phenyl
	1201	SCH ₃	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	1202	SCH ₃	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
30	1203	SCH ₃	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1204	SCH ₃	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	1205	SCH ₃	2-pyrimidyl	2-(3-aminophenyl)
	1206	SCH ₃	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	1207	SCH ₃	2-pyrimidyl	2-glycinoyl
35	1208	SCH ₃	2-pyrimidyl	2-(imidazol-1-ylacetyl)
	1209	SCH ₃	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1210	SCH ₃	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
	1211	SCH ₃	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	1212	SCH ₃	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
40	1213	SCH ₃	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
	1214	SCH ₃	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1215	SCH ₃	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	1216	SCH ₃	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl

1217	SCH ₃	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl	
1218	SCH ₃	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl	
5	1219	SCH ₃	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	1220	SCH ₃	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
10	1221	SCH ₃	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	1222	SCH ₃	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
15	1223	SCH ₃	2-Cl-phenyl	2-(amidinyl)phenyl
	1224	SCH ₃	2-Cl-phenyl	2-(N-guanidinyl)phenyl
	1225	SCH ₃	2-Cl-phenyl	2-(imidazolyl)phenyl
	1226	SCH ₃	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	1227	SCH ₃	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
20	1228	SCH ₃	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	1229	SCH ₃	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	1230	SCH ₃	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	1231	SCH ₃	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
25	1232	SCH ₃	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1233	SCH ₃	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	1234	SCH ₃	2-Cl-phenyl	2-(3-aminophenyl)
30	1235	SCH ₃	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	1236	SCH ₃	2-Cl-phenyl	2-glycinoyl
	1237	SCH ₃	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	1238	SCH ₃	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1239	SCH ₃	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
35	1240	SCH ₃	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	1241	SCH ₃	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1242	SCH ₃	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	1243	SCH ₃	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
40	1244	SCH ₃	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	1245	SCH ₃	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	1246	SCH ₃	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	1247	SCH ₃	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
45	1248	SCH ₃	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
	1249	SCH ₃	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1250	SCH ₃	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
50	1251	SCH ₃	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1252	SCH ₃	2-F-phenyl	2-(amidinyl)phenyl
	1253	SCH ₃	2-F-phenyl	2-(N-guanidinyl)phenyl
	1254	SCH ₃	2-F-phenyl	2-(imidazolyl)phenyl
	1255	SCH ₃	2-F-phenyl	2-(imidazolidinyl)phenyl
	1256	SCH ₃	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1257	SCH ₃	2-F-phenyl	2-(2-pyrrolidinyl)phenyl

1258	SCH ₃	2-F-phenyl	2-(2-piperidinyl)phenyl	
1259	SCH ₃	2-F-phenyl	2-(amidinyl-methyl)phenyl	
1260	SCH ₃	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl	
5	1261	SCH ₃	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1262	SCH ₃	2-F-phenyl	2-dimethylaminoimidazol-1-yl
	1263	SCH ₃	2-F-phenyl	2-(3-aminophenyl)
10	1264	SCH ₃	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
	1265	SCH ₃	2-F-phenyl	2-glycinoyl
	1266	SCH ₃	2-F-phenyl	2-(imidazol-1-ylacetyl)
	1267	SCH ₃	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1268	SCH ₃	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
15	1269	SCH ₃	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
	1270	SCH ₃	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1271	SCH ₃	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
	1272	SCH ₃	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
20	1273	SCH ₃	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	1274	SCH ₃	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
	1275	SCH ₃	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
	1276	SCH ₃	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
25	1277	SCH ₃	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	1278	SCH ₃	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1279	SCH ₃	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
30	1280	SCH ₃	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1281	SCH ₃	2,5-diF-phenyl	2-(amidinyl)phenyl
	1282	SCH ₃	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	1283	SCH ₃	2,5-diF-phenyl	2-(imidazolyl)phenyl
35	1284	SCH ₃	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	1285	SCH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1286	SCH ₃	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	1287	SCH ₃	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
40	1288	SCH ₃	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	1289	SCH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1290	SCH ₃	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1291	SCH ₃	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
45	1292	SCH ₃	2,5-diF-phenyl	2-(3-aminophenyl)
	1293	SCH ₃	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	1294	SCH ₃	2,5-diF-phenyl	2-glycinoyl
	1295	SCH ₃	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	1296	SO ₂ CH ₃	phenyl	2-(aminosulfonyl)phenyl
50	1297	SO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	1298	SO ₂ CH ₃	phenyl	1-pyrrolidinocarbonyl
	1299	SO ₂ CH ₃	phenyl	2-(methylsulfonyl)phenyl
	1300	SO ₂ CH ₃	phenyl	4-morpholino

1301	SO ₂ CH ₃	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl	
1302	SO ₂ CH ₃	phenyl	4-morpholinocarbonyl	
1303	SO ₂ CH ₃	2-pyridyl	2-(aminosulfonyl)phenyl	
1304	SO ₂ CH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl	
5	1305	SO ₂ CH ₃	2-pyridyl	1-pyrrolidinocarbonyl
	1306	SO ₂ CH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
	1307	SO ₂ CH ₃	2-pyridyl	4-morpholino
	1308	SO ₂ CH ₃	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
10	1309	SO ₂ CH ₃	2-pyridyl	4-morpholinocarbonyl
	1310	SO ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
	1311	SO ₂ CH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
	1312	SO ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
	1313	SO ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
15	1314	SO ₂ CH ₃	3-pyridyl	4-morpholino
	1315	SO ₂ CH ₃	3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1316	SO ₂ CH ₃	3-pyridyl	4-morpholinocarbonyl
	1317	SO ₂ CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1318	SO ₂ CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
20	1319	SO ₂ CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	1320	SO ₂ CH ₃	2-pyrimidyl	2-(methylsulfonyl)phenyl
	1321	SO ₂ CH ₃	2-pyrimidyl	4-morpholino
	1322	SO ₂ CH ₃	2-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1323	SO ₂ CH ₃	2-pyrimidyl	4-morpholinocarbonyl
25	1324	SO ₂ CH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	1325	SO ₂ CH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1326	SO ₂ CH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	1327	SO ₂ CH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	1328	SO ₂ CH ₃	5-pyrimidyl	4-morpholino
30	1329	SO ₂ CH ₃	5-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1330	SO ₂ CH ₃	5-pyrimidyl	4-morpholinocarbonyl
	1331	SO ₂ CH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	1332	SO ₂ CH ₃	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	1333	SO ₂ CH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
35	1334	SO ₂ CH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	1335	SO ₂ CH ₃	2-Cl-phenyl	4-morpholino
	1336	SO ₂ CH ₃	2-Cl-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1337	SO ₂ CH ₃	2-Cl-phenyl	4-morpholinocarbonyl
	1338	SO ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
40	1339	SO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1340	SO ₂ CH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	1341	SO ₂ CH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	1342	SO ₂ CH ₃	2-F-phenyl	4-morpholino
	1343	SO ₂ CH ₃	2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
45	1344	SO ₂ CH ₃	2-F-phenyl	4-morpholinocarbonyl
	1345	SO ₂ CH ₃	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
	1346	SO ₂ CH ₃	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
	1347	SO ₂ CH ₃	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	1348	SO ₂ CH ₃	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
50	1349	SO ₂ CH ₃	2,5-diF-phenyl	4-morpholino
	1350	SO ₂ CH ₃	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1351	SO ₂ CH ₃	2,5-diF-phenyl	4-morpholinocarbonyl
	1352	SO ₂ CH ₃	phenyl	2-(N-pyrrolidinyl-methyl)phenyl

1353	SO ₂ CH ₃	phenyl	2-(N-piperidinyl-methyl)phenyl	
1354	SO ₂ CH ₃	phenyl	2-(N-morpholino-methyl)phenyl	
1355	SO ₂ CH ₃	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl	
5	1356	SO ₂ CH ₃	phenyl	2-(N-pyridinium-methyl)phenyl
	1357	SO ₂ CH ₃	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
10	1358	SO ₂ CH ₃	phenyl	2-(N-azatanyl-methyl)phenyl
	1359	SO ₂ CH ₃	phenyl	2-(N-azetidinyl-methyl)phenyl
15	1360	SO ₂ CH ₃	phenyl	2-(N-piperazinyl-methyl)phenyl
	1361	SO ₂ CH ₃	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
20	1362	SO ₂ CH ₃	phenyl	2-(N-imidazolyl-methyl)phenyl
	1363	SO ₂ CH ₃	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
25	1364	SO ₂ CH ₃	phenyl	2-(N-pyridonyl-methyl)phenyl
	1365	SO ₂ CH ₃	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
30	1366	SO ₂ CH ₃	phenyl	2-(amidinyl)phenyl
	1367	SO ₂ CH ₃	phenyl	2-(N-guanidinyl)phenyl
35	1368	SO ₂ CH ₃	phenyl	2-(imidazolyl)phenyl
	1369	SO ₂ CH ₃	phenyl	2-(imidazolidinyl)phenyl
40	1370	SO ₂ CH ₃	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1371	SO ₂ CH ₃	phenyl	2-(2-pyrrolidinyl)phenyl
45	1372	SO ₂ CH ₃	phenyl	2-(2-piperidinyl)phenyl
	1373	SO ₂ CH ₃	phenyl	2-(amidinyl-methyl)phenyl
50	1374	SO ₂ CH ₃	phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1375	SO ₂ CH ₃	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
55	1376	SO ₂ CH ₃	phenyl	2-dimethylaminoimidazol-1-yl
	1377	SO ₂ CH ₃	phenyl	2-(3-aminophenyl)
60	1378	SO ₂ CH ₃	phenyl	2-(3-pyrrolidinylcarbonyl)
	1379	SO ₂ CH ₃	phenyl	2-glycinoyl
65	1380	SO ₂ CH ₃	phenyl	2-(imidazol-1-ylacetyl)
	1381	SO ₂ CH ₃	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
70	1382	SO ₂ CH ₃	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1383	SO ₂ CH ₃	2-pyridyl	2-(N-morpholino-methyl)phenyl
75	1384	SO ₂ CH ₃	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1385	SO ₂ CH ₃	2-pyridyl	2-(N-pyridinium-methyl)phenyl
80	1386	SO ₂ CH ₃	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1387	SO ₂ CH ₃	2-pyridyl	2-(N-azatanyl-methyl)phenyl
85	1388	SO ₂ CH ₃	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1389	SO ₂ CH ₃	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
90	1390	SO ₂ CH ₃	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1391	SO ₂ CH ₃	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
95	1392	SO ₂ CH ₃	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1393	SO ₂ CH ₃	2-pyridyl	2-(N-pyridonyl-methyl)phenyl

1394	SO ₂ CH ₃	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
1395	SO ₂ CH ₃	2-pyridyl	2-(amidinyl)phenyl	
1396	SO ₂ CH ₃	2-pyridyl	2-(N-guanidinyl)phenyl	
5	1397	SO ₂ CH ₃	2-pyridyl	2-(imidazolyl)phenyl
	1398	SO ₂ CH ₃	2-pyridyl	2-(imidazolidinyl)phenyl
	1399	SO ₂ CH ₃	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
10	1400	SO ₂ CH ₃	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	1401	SO ₂ CH ₃	2-pyridyl	2-(2-piperidinyl)phenyl
	1402	SO ₂ CH ₃	2-pyridyl	2-(amidinyl-methyl)phenyl
	1403	SO ₂ CH ₃	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
15	1404	SO ₂ CH ₃	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1405	SO ₂ CH ₃	2-pyridyl	2-dimethylaminoimidazol-1-yl
	1406	SO ₂ CH ₃	2-pyridyl	2-(3-aminophenyl)
	1407	SO ₂ CH ₃	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
20	1408	SO ₂ CH ₃	2-pyridyl	2-glycinoyl
	1409	SO ₂ CH ₃	2-pyridyl	2-(imidazol-1-ylacetyl)
	1410	SO ₂ CH ₃	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	1411	SO ₂ CH ₃	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1412	SO ₂ CH ₃	3-pyridyl	2-(N-morpholino-methyl)phenyl
25	1413	SO ₂ CH ₃	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1414	SO ₂ CH ₃	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	1415	SO ₂ CH ₃	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
30	1416	SO ₂ CH ₃	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	1417	SO ₂ CH ₃	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1418	SO ₂ CH ₃	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	1419	SO ₂ CH ₃	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
35	1420	SO ₂ CH ₃	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1421	SO ₂ CH ₃	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1422	SO ₂ CH ₃	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1423	SO ₂ CH ₃	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
40	1424	SO ₂ CH ₃	3-pyridyl	2-(amidinyl)phenyl
	1425	SO ₂ CH ₃	3-pyridyl	2-(N-guanidinyl)phenyl
	1426	SO ₂ CH ₃	3-pyridyl	2-(imidazolyl)phenyl
	1427	SO ₂ CH ₃	3-pyridyl	2-(imidazolidinyl)phenyl
45	1428	SO ₂ CH ₃	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1429	SO ₂ CH ₃	3-pyridyl	2-(2-pyrrolidinyl)phenyl
	1430	SO ₂ CH ₃	3-pyridyl	2-(2-piperidinyl)phenyl
	1431	SO ₂ CH ₃	3-pyridyl	2-(amidinyl-methyl)phenyl
50	1432	SO ₂ CH ₃	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1433	SO ₂ CH ₃	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1434	SO ₂ CH ₃	3-pyridyl	2-dimethylaminoimidazol-1-yl

1435	SO ₂ CH ₃	3-pyridyl	2-(3-aminophenyl)	
1436	SO ₂ CH ₃	3-pyridyl	2-(3-pyrrolidinylcarbonyl)	
1437	SO ₂ CH ₃	3-pyridyl	2-glycinoyl	
1438	SO ₂ CH ₃	3-pyridyl	2-(imidazol-1-ylacetyl)	
5	1439	SO ₂ CH ₃	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
	1440	SO ₂ CH ₃	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	1441	SO ₂ CH ₃	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
	1442	SO ₂ CH ₃	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
10	1443	SO ₂ CH ₃	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
	1444	SO ₂ CH ₃	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1445	SO ₂ CH ₃	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
15	1446	SO ₂ CH ₃	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	1447	SO ₂ CH ₃	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
	1448	SO ₂ CH ₃	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1449	SO ₂ CH ₃	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
20	1450	SO ₂ CH ₃	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1451	SO ₂ CH ₃	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
	1452	SO ₂ CH ₃	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
25	1453	SO ₂ CH ₃	2-pyrimidyl	2-(amidinyl)phenyl
	1454	SO ₂ CH ₃	2-pyrimidyl	2-(N-guanidinyl)phenyl
	1455	SO ₂ CH ₃	2-pyrimidyl	2-(imidazolyl)phenyl
	1456	SO ₂ CH ₃	2-pyrimidyl	2-(imidazolidinyl)phenyl
	1457	SO ₂ CH ₃	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
30	1458	SO ₂ CH ₃	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	1459	SO ₂ CH ₃	2-pyrimidyl	2-(2-piperidinyl)phenyl
	1460	SO ₂ CH ₃	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	1461	SO ₂ CH ₃	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
35	1462	SO ₂ CH ₃	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1463	SO ₂ CH ₃	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	1464	SO ₂ CH ₃	2-pyrimidyl	2-(3-aminophenyl)
40	1465	SO ₂ CH ₃	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	1466	SO ₂ CH ₃	2-pyrimidyl	2-glycinoyl
	1467	SO ₂ CH ₃	2-pyrimidyl	2-(imidazol-1-ylacetyl)
	1468	SO ₂ CH ₃	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1469	SO ₂ CH ₃	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
45	1470	SO ₂ CH ₃	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	1471	SO ₂ CH ₃	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1472	SO ₂ CH ₃	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
	1473	SO ₂ CH ₃	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
50	1474	SO ₂ CH ₃	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	1475	SO ₂ CH ₃	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
	1476	SO ₂ CH ₃	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	1477	SO ₂ CH ₃	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-

			methyl)phenyl	
1478	SO ₂ CH ₃	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl	
1479	SO ₂ CH ₃	2-Cl-phenyl	2-(N-methoxy-N-methylamino- methyl)phenyl	
5	1480	SO ₂ CH ₃	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	1481	SO ₂ CH ₃	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl- methyl)phenyl
	1482	SO ₂ CH ₃	2-Cl-phenyl	2-(amidinyl)phenyl
	1483	SO ₂ CH ₃	2-Cl-phenyl	2-(N-guanidinyl)phenyl
10	1484	SO ₂ CH ₃	2-Cl-phenyl	2-(imidazolyl)phenyl
	1485	SO ₂ CH ₃	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	1486	SO ₂ CH ₃	2-Cl-phenyl	2-(2-imidazolidinyl- sulfonyl)phenyl
15	1487	SO ₂ CH ₃	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	1488	SO ₂ CH ₃	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	1489	SO ₂ CH ₃	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	1490	SO ₂ CH ₃	2-Cl-phenyl	2-(2-imidazolidinyl- methyl)phenyl
20	1491	SO ₂ CH ₃	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)- methyl)phenyl
	1492	SO ₂ CH ₃	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	1493	SO ₂ CH ₃	2-Cl-phenyl	2-(3-aminophenyl)
	1494	SO ₂ CH ₃	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
25	1495	SO ₂ CH ₃	2-Cl-phenyl	2-glycinoyl
	1496	SO ₂ CH ₃	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	1497	SO ₂ CH ₃	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1498	SO ₂ CH ₃	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	1499	SO ₂ CH ₃	2-F-phenyl	2-(N-morpholino-methyl)phenyl
30	1500	SO ₂ CH ₃	2-F-phenyl	2-(N,N'-methylmorpholinium- methyl)phenyl
	1501	SO ₂ CH ₃	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	1502	SO ₂ CH ₃	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)- pyridinium-methyl)phenyl
35	1503	SO ₂ CH ₃	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	1504	SO ₂ CH ₃	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	1505	SO ₂ CH ₃	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	1506	SO ₂ CH ₃	2-F-phenyl	2-(N,N'-BOC-piperazinyl- methyl)phenyl
40	1507	SO ₂ CH ₃	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
	1508	SO ₂ CH ₃	2-F-phenyl	2-(N-methoxy-N-methylamino- methyl)phenyl
	1509	SO ₂ CH ₃	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	1510	SO ₂ CH ₃	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl- methyl)phenyl
45	1511	SO ₂ CH ₃	2-F-phenyl	2-(amidinyl)phenyl
	1512	SO ₂ CH ₃	2-F-phenyl	2-(N-guanidinyl)phenyl
	1513	SO ₂ CH ₃	2-F-phenyl	2-(imidazolyl)phenyl
	1514	SO ₂ CH ₃	2-F-phenyl	2-(imidazolidinyl)phenyl
50	1515	SO ₂ CH ₃	2-F-phenyl	2-(2-imidazolidinyl- sulfonyl)phenyl
	1516	SO ₂ CH ₃	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
	1517	SO ₂ CH ₃	2-F-phenyl	2-(2-piperidinyl)phenyl
	1518	SO ₂ CH ₃	2-F-phenyl	2-(amidinyl-methyl)phenyl

1519	SO ₂ CH ₃	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl	
1520	SO ₂ CH ₃	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl	
5	1521	SO ₂ CH ₃	2-F-phenyl	2-dimethylaminoimidazol-1-yl
	1522	SO ₂ CH ₃	2-F-phenyl	2-(3-aminophenyl)
	1523	SO ₂ CH ₃	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
	1524	SO ₂ CH ₃	2-F-phenyl	2-glycinoyl
	1525	SO ₂ CH ₃	2-F-phenyl	2-(imidazol-1-ylacetyl)
10	1526	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1527	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	1528	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
	1529	SO ₂ CH ₃	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
15	1530	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
	1531	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1532	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	1533	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
20	1534	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
	1535	SO ₂ CH ₃	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1536	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	1537	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
25	1538	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1539	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1540	SO ₂ CH ₃	2,5-diF-phenyl	2-(amidinyl)phenyl
30	1541	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	1542	SO ₂ CH ₃	2,5-diF-phenyl	2-(imidazolyl)phenyl
	1543	SO ₂ CH ₃	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	1544	SO ₂ CH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
35	1545	SO ₂ CH ₃	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	1546	SO ₂ CH ₃	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	1547	SO ₂ CH ₃	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	1548	SO ₂ CH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
40	1549	SO ₂ CH ₃	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1550	SO ₂ CH ₃	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	1551	SO ₂ CH ₃	2,5-diF-phenyl	2-(3-aminophenyl)
	1552	SO ₂ CH ₃	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
45	1553	SO ₂ CH ₃	2,5-diF-phenyl	2-glycinoyl
	1554	SO ₂ CH ₃	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	1555	NHSO ₂ CH ₃	phenyl	2-(aminosulfonyl)phenyl
	1556	NHSO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	1557	NHSO ₂ CH ₃	phenyl	1-pyrrolidinocarbonyl
50	1558	NHSO ₂ CH ₃	phenyl	2-(methylsulfonyl)phenyl
	1559	NHSO ₂ CH ₃	phenyl	4-morpholino
	1560	NHSO ₂ CH ₃	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1561	NHSO ₂ CH ₃	phenyl	4-morpholinocarbonyl

1562	NHSO ₂ CH ₃	2-pyridyl	2-(aminosulfonyl)phenyl	
1563	NHSO ₂ CH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl	
1564	NHSO ₂ CH ₃	2-pyridyl	1-pyrrolidinocarbonyl	
1565	NHSO ₂ CH ₃	2-pyridyl	2-(methylsulfonyl)phenyl	
5	1566	NHSO ₂ CH ₃	2-pyridyl	4-morpholino
	1567	NHSO ₂ CH ₃	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1568	NHSO ₂ CH ₃	2-pyridyl	4-morpholinocarbonyl
	1569	NHSO ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
10	1570	NHSO ₂ CH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
	1571	NHSO ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
	1572	NHSO ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
	1573	NHSO ₂ CH ₃	3-pyridyl	4-morpholino
	1574	NHSO ₂ CH ₃	3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
15	1575	NHSO ₂ CH ₃	3-pyridyl	4-morpholinocarbonyl
	1576	NHSO ₂ CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1577	NHSO ₂ CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1578	NHSO ₂ CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	1579	NHSO ₂ CH ₃	2-pyrimidyl	2-(methylsulfonyl)phenyl
20	1580	NHSO ₂ CH ₃	2-pyrimidyl	4-morpholino
	1581	NHSO ₂ CH ₃	2-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1582	NHSO ₂ CH ₃	2-pyrimidyl	4-morpholinocarbonyl
	1583	NHSO ₂ CH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	1584	NHSO ₂ CH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
25	1585	NHSO ₂ CH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	1586	NHSO ₂ CH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	1587	NHSO ₂ CH ₃	5-pyrimidyl	4-morpholino
	1588	NHSO ₂ CH ₃	5-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1589	NHSO ₂ CH ₃	5-pyrimidyl	4-morpholinocarbonyl
	1590	NHSO ₂ CH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
30	1591	NHSO ₂ CH ₃	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	1592	NHSO ₂ CH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	1593	NHSO ₂ CH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	1594	NHSO ₂ CH ₃	2-Cl-phenyl	4-morpholino
	1595	NHSO ₂ CH ₃	2-Cl-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
35	1596	NHSO ₂ CH ₃	2-Cl-phenyl	4-morpholinocarbonyl
	1597	NHSO ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	1598	NHSO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1599	NHSO ₂ CH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	1600	NHSO ₂ CH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
40	1601	NHSO ₂ CH ₃	2-F-phenyl	4-morpholino
	1602	NHSO ₂ CH ₃	2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1603	NHSO ₂ CH ₃	2-F-phenyl	4-morpholinocarbonyl
	1604	NHSO ₂ CH ₃	2,5-dif-phenyl	2-(aminosulfonyl)phenyl
	1605	NHSO ₂ CH ₃	2,5-dif-phenyl	2-(methylaminosulfonyl)phenyl
45	1606	NHSO ₂ CH ₃	2,5-dif-phenyl	1-pyrrolidinocarbonyl
	1607	NHSO ₂ CH ₃	2,5-dif-phenyl	2-(methylsulfonyl)phenyl
	1608	NHSO ₂ CH ₃	2,5-dif-phenyl	4-morpholino
	1609	NHSO ₂ CH ₃	2,5-dif-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	1610	NHSO ₂ CH ₃	2,5-dif-phenyl	4-morpholinocarbonyl
50	1611	NHSO ₂ CH ₃	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1612	NHSO ₂ CH ₃	phenyl	2-(N-piperidinyl-methyl)phenyl
	1613	NHSO ₂ CH ₃	phenyl	2-(N-morpholino-methyl)phenyl

1614	NHSO ₂ CH ₃	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl	
1615	NHSO ₂ CH ₃	phenyl	2-(N-pyridinium-methyl)phenyl	
1616	NHSO ₂ CH ₃	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl	
5	1617	NHSO ₂ CH ₃	2-(N-azatanyl-methyl)phenyl	
1618	NHSO ₂ CH ₃	phenyl	2-(N-azetidinyl-methyl)phenyl	
1619	NHSO ₂ CH ₃	phenyl	2-(N-piperazinyl-methyl)phenyl	
10	1620	NHSO ₂ CH ₃	2-(N,N'-BOC-piperazinyl-methyl)phenyl	
1621	NHSO ₂ CH ₃	phenyl	2-(N-imidazolyl-methyl)phenyl	
1622	NHSO ₂ CH ₃	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl	
15	1623	NHSO ₂ CH ₃	2-(N-pyridonyl-methyl)phenyl	
1624	NHSO ₂ CH ₃	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
1625	NHSO ₂ CH ₃	phenyl	2-(amidinyl)phenyl	
1626	NHSO ₂ CH ₃	phenyl	2-(N-guanidinyl)phenyl	
20	1627	NHSO ₂ CH ₃	2-(imidazolyl)phenyl	
1628	NHSO ₂ CH ₃	phenyl	2-(imidazolidinyl)phenyl	
1629	NHSO ₂ CH ₃	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl	
25	1630	NHSO ₂ CH ₃	2-(2-pyrroolidinyl)phenyl	
1631	NHSO ₂ CH ₃	phenyl	2-(2-piperidinyl)phenyl	
1632	NHSO ₂ CH ₃	phenyl	2-(amidinyl-methyl)phenyl	
1633	NHSO ₂ CH ₃	phenyl	2-(2-imidazolidinyl-methyl)phenyl	
1634	NHSO ₂ CH ₃	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl	
30	1635	NHSO ₂ CH ₃	2-dimethylaminoimidazol-1-yl	
1636	NHSO ₂ CH ₃	phenyl	2-(3-aminophenyl)	
1637	NHSO ₂ CH ₃	phenyl	2-(3-pyrroolidinylcarbonyl)	
1638	NHSO ₂ CH ₃	phenyl	2-glycinoyl	
35	1639	NHSO ₂ CH ₃	2-(imidazol-1-ylacetyl)	
1640	NHSO ₂ CH ₃	2-pyridyl	2-(N-pyrroolidinyl-methyl)phenyl	
1641	NHSO ₂ CH ₃	2-pyridyl	2-(N-piperidinyl-methyl)phenyl	
1642	NHSO ₂ CH ₃	2-pyridyl	2-(N-morpholino-methyl)phenyl	
1643	NHSO ₂ CH ₃	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl	
40	1644	NHSO ₂ CH ₃	2-pyridyl	2-(N-pyridinium-methyl)phenyl
1645	NHSO ₂ CH ₃	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl	
1646	NHSO ₂ CH ₃	2-pyridyl	2-(N-azatanyl-methyl)phenyl	
45	1647	NHSO ₂ CH ₃	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
1648	NHSO ₂ CH ₃	2-pyridyl	2-(N-piperazinyl-methyl)phenyl	
1649	NHSO ₂ CH ₃	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl	
50	1650	NHSO ₂ CH ₃	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
1651	NHSO ₂ CH ₃	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl	
1652	NHSO ₂ CH ₃	2-pyridyl	2-(N-pyridonyl-methyl)phenyl	
1653	NHSO ₂ CH ₃	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	

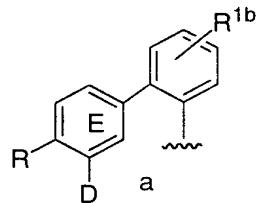
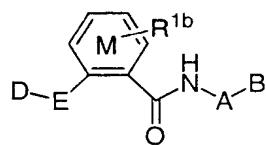
1654	NHSO ₂ CH ₃	2-pyridyl	2-(amidinyl)phenyl	
1655	NHSO ₂ CH ₃	2-pyridyl	2-(N-guanidinyl)phenyl	
1656	NHSO ₂ CH ₃	2-pyridyl	2-(imidazolyl)phenyl	
1657	NHSO ₂ CH ₃	2-pyridyl	2-(imidazolidinyl)phenyl	
5	1658	NHSO ₂ CH ₃	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1659	NHSO ₂ CH ₃	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	1660	NHSO ₂ CH ₃	2-pyridyl	2-(2-piperidinyl)phenyl
	1661	NHSO ₂ CH ₃	2-pyridyl	2-(amidinyl-methyl)phenyl
10	1662	NHSO ₂ CH ₃	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1663	NHSO ₂ CH ₃	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1664	NHSO ₂ CH ₃	2-pyridyl	2-dimethylaminoimidazol-1-yl
15	1665	NHSO ₂ CH ₃	2-pyridyl	2-(3-aminophenyl)
	1666	NHSO ₂ CH ₃	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	1667	NHSO ₂ CH ₃	2-pyridyl	2-glycinoyl
	1668	NHSO ₂ CH ₃	2-pyridyl	2-(imidazol-1-ylacetyl)
20	1669	NHSO ₂ CH ₃	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	1670	NHSO ₂ CH ₃	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1671	NHSO ₂ CH ₃	3-pyridyl	2-(N-morpholino-methyl)phenyl
	1672	NHSO ₂ CH ₃	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1673	NHSO ₂ CH ₃	3-pyridyl	2-(N-pyridinium-methyl)phenyl
25	1674	NHSO ₂ CH ₃	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1675	NHSO ₂ CH ₃	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	1676	NHSO ₂ CH ₃	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1677	NHSO ₂ CH ₃	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
30	1678	NHSO ₂ CH ₃	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1679	NHSO ₂ CH ₃	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1680	NHSO ₂ CH ₃	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
35	1681	NHSO ₂ CH ₃	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1682	NHSO ₂ CH ₃	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1683	NHSO ₂ CH ₃	3-pyridyl	2-(amidinyl)phenyl
	1684	NHSO ₂ CH ₃	3-pyridyl	2-(N-guanidinyl)phenyl
40	1685	NHSO ₂ CH ₃	3-pyridyl	2-(imidazolyl)phenyl
	1686	NHSO ₂ CH ₃	3-pyridyl	2-(imidazolidinyl)phenyl
	1687	NHSO ₂ CH ₃	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1688	NHSO ₂ CH ₃	3-pyridyl	2-(2-pyrrolidinyl)phenyl
45	1689	NHSO ₂ CH ₃	3-pyridyl	2-(2-piperidinyl)phenyl
	1690	NHSO ₂ CH ₃	3-pyridyl	2-(amidinyl-methyl)phenyl
	1691	NHSO ₂ CH ₃	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1692	NHSO ₂ CH ₃	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
50	1693	NHSO ₂ CH ₃	3-pyridyl	2-dimethylaminoimidazol-1-yl
	1694	NHSO ₂ CH ₃	3-pyridyl	2-(3-aminophenyl)
	1695	NHSO ₂ CH ₃	3-pyridyl	2-(3-pyrrolidinylcarbonyl)

1696	NHSO ₂ CH ₃	3-pyridyl	2-glycinoyl	
1697	NHSO ₂ CH ₃	3-pyridyl	2-(imidazol-1-ylacetyl)	
1698	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl	
1699	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl	
5	1700	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
	1701	NHSO ₂ CH ₃	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1702	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
10	1703	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1704	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
	1705	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	1706	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
15	1707	NHSO ₂ CH ₃	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1708	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
	1709	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1710	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
20	1711	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1712	NHSO ₂ CH ₃	2-pyrimidyl	2-(amidinyl)phenyl
	1713	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-guanidinyl)phenyl
	1714	NHSO ₂ CH ₃	2-pyrimidyl	2-(imidazolyl)phenyl
25	1715	NHSO ₂ CH ₃	2-pyrimidyl	2-(imidazolidinyl)phenyl
	1716	NHSO ₂ CH ₃	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1717	NHSO ₂ CH ₃	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	1718	NHSO ₂ CH ₃	2-pyrimidyl	2-(2-piperidinyl)phenyl
30	1719	NHSO ₂ CH ₃	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	1720	NHSO ₂ CH ₃	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
	1721	NHSO ₂ CH ₃	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
35	1722	NHSO ₂ CH ₃	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	1723	NHSO ₂ CH ₃	2-pyrimidyl	2-(3-aminophenyl)
	1724	NHSO ₂ CH ₃	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	1725	NHSO ₂ CH ₃	2-pyrimidyl	2-glycinoyl
	1726	NHSO ₂ CH ₃	2-pyrimidyl	2-(imidazol-1-ylacetyl)
40	1727	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1728	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
	1729	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	1730	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
45	1731	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
	1732	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1733	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	1734	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
50	1735	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	1736	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1737	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl

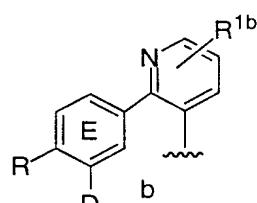
1738	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl	
1739	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl	
5	1740	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1741	NHSO ₂ CH ₃	2-Cl-phenyl	2-(amidinyl)phenyl	
1742	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-guanidinyl)phenyl	
1743	NHSO ₂ CH ₃	2-Cl-phenyl	2-(imidazolyl)phenyl	
10	1744	NHSO ₂ CH ₃	2-Cl-phenyl	2-(imidazolidinyl)phenyl
1745	NHSO ₂ CH ₃	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl	
1746	NHSO ₂ CH ₃	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl	
1747	NHSO ₂ CH ₃	2-Cl-phenyl	2-(2-piperidinyl)phenyl	
15	1748	NHSO ₂ CH ₃	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
1749	NHSO ₂ CH ₃	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl	
1750	NHSO ₂ CH ₃	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl	
20	1751	NHSO ₂ CH ₃	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
1752	NHSO ₂ CH ₃	2-Cl-phenyl	2-(3-aminophenyl)	
1753	NHSO ₂ CH ₃	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)	
1754	NHSO ₂ CH ₃	2-Cl-phenyl	2-glycinoyl	
25	1755	NHSO ₂ CH ₃	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
1756	NHSO ₂ CH ₃	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl	
1757	NHSO ₂ CH ₃	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl	
1758	NHSO ₂ CH ₃	2-F-phenyl	2-(N-morpholino-methyl)phenyl	
30	1759	NHSO ₂ CH ₃	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1760	NHSO ₂ CH ₃	2-F-phenyl	2-(N-pyridinium-methyl)phenyl	
1761	NHSO ₂ CH ₃	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl	
35	1762	NHSO ₂ CH ₃	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
1763	NHSO ₂ CH ₃	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl	
1764	NHSO ₂ CH ₃	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl	
40	1765	NHSO ₂ CH ₃	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1766	NHSO ₂ CH ₃	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl	
1767	NHSO ₂ CH ₃	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl	
45	1768	NHSO ₂ CH ₃	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
1769	NHSO ₂ CH ₃	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl	
1770	NHSO ₂ CH ₃	2-F-phenyl	2-(amidinyl)phenyl	
1771	NHSO ₂ CH ₃	2-F-phenyl	2-(N-guanidinyl)phenyl	
45	1772	NHSO ₂ CH ₃	2-F-phenyl	2-(imidazolyl)phenyl
1773	NHSO ₂ CH ₃	2-F-phenyl	2-(imidazolidinyl)phenyl	
50	1774	NHSO ₂ CH ₃	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1775	NHSO ₂ CH ₃	2-F-phenyl	2-(2-pyrrolidinyl)phenyl	
1776	NHSO ₂ CH ₃	2-F-phenyl	2-(2-piperidinyl)phenyl	
1777	NHSO ₂ CH ₃	2-F-phenyl	2-(amidinyl-methyl)phenyl	
1778	NHSO ₂ CH ₃	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl	

1779	NHSO ₂ CH ₃	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl	
1780	NHSO ₂ CH ₃	2-F-phenyl	2-dimethylaminoimidazol-1-yl	
1781	NHSO ₂ CH ₃	2-F-phenyl	2-(3-aminophenyl)	
5	1782	NHSO ₂ CH ₃	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
	1783	NHSO ₂ CH ₃	2-F-phenyl	2-glycinoyl
	1784	NHSO ₂ CH ₃	2-F-phenyl	2-(imidazol-1-ylacetyl)
	1785	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
10	1786	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	1787	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
	1788	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1789	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
15	1790	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1791	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	1792	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
	1793	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
20	1794	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1795	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	1796	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
25	1797	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
	1798	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1799	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(amidinyl)phenyl
	1800	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
30	1801	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(imidazolyl)phenyl
	1802	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	1803	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1804	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
35	1805	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	1806	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	1807	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1808	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
40	1809	NHSO ₂ CH ₃	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	1810	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(3-aminophenyl)
	1811	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	1812	NHSO ₂ CH ₃	2,5-diF-phenyl	2-glycinoyl
	1813	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)

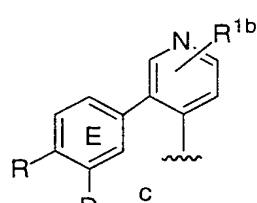
Table 3



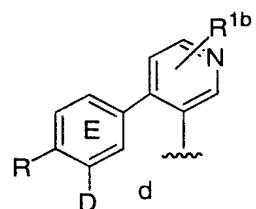
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 a_2 R=H, D=NH₂
 a_3 R=F, D=CH₂NH₂
 a_4 R=H, D=CH₂NH₂
 a_5 R=F, D=C(=NH)NH₂
 a_6 R=H, D=C(=NH)NH₂
 a_7 R=F, D=C(O)NH₂
 a_8 R=H, D=C(O)NH₂



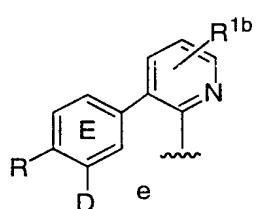
b_1 R=F, D=NH₂
 b_2 R=H, D=NH₂
 b_3 R=F, D=CH₂NH₂
 b_4 R=H, D=CH₂NH₂
 b_5 R=F, D=C(=NH)NH₂
 b_6 R=H, D=C(=NH)NH₂
 b_7 R=F, D=C(O)NH₂
 b_8 R=H, D=C(O)NH₂



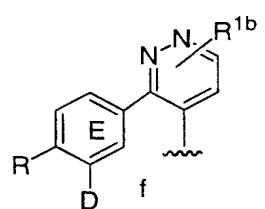
c_1 R=F, D=NH₂
 c_2 R=H, D=NH₂
 c_3 R=F, D=CH₂NH₂
 c_4 R=H, D=CH₂NH₂
 c_5 R=F, D=C(=NH)NH₂
 c_6 R=H, D=C(=NH)NH₂
 c_7 R=F, D=C(O)NH₂
 c_8 R=H, D=C(O)NH₂



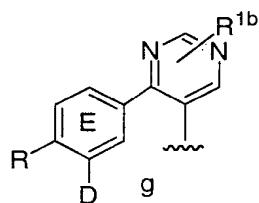
d_1 R=F, D=NH₂
 d_2 R=H, D=NH₂
 d_3 R=F, D=CH₂NH₂
 d_4 R=H, D=CH₂NH₂
 d_5 R=F, D=C(=NH)NH₂
 d_6 R=H, D=C(=NH)NH₂
 d_7 R=F, D=C(O)NH₂
 d_8 R=H, D=C(O)NH₂



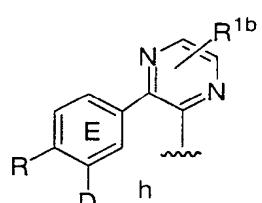
e_1 R=F, D=NH₂
 e_2 R=H, D=NH₂
 e_3 R=F, D=CH₂NH₂
 e_4 R=H, D=CH₂NH₂
 e_5 R=F, D=C(=NH)NH₂
 e_6 R=H, D=C(=NH)NH₂
 e_7 R=F, D=C(O)NH₂
 e_8 R=H, D=C(O)NH₂



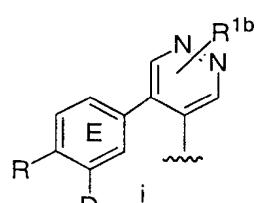
f_1 R=F, D=NH₂
 f_2 R=H, D=NH₂
 f_3 R=F, D=CH₂NH₂
 f_4 R=H, D=CH₂NH₂
 f_5 R=F, D=C(=NH)NH₂
 f_6 R=H, D=C(=NH)NH₂
 f_7 R=F, D=C(O)NH₂
 f_8 R=H, D=C(O)NH₂



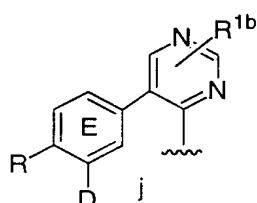
g₁ R=F, D=NH₂
 g₂ R=H, D=NH₂
 g₃ R=F, D=CH₂NH₂
 g₄ R=H, D=CH₂NH₂
 g₅ R=F, D=C(=NH)NH₂
 g₆ R=H, D=C(=NH)NH₂
 g₇ R=F, D=C(O)NH₂
 g₈ R=H, D=C(O)NH₂



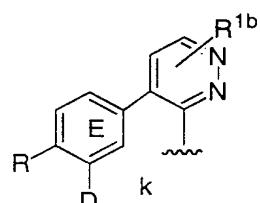
h₁ R=F, D=NH₂
 h₂ R=H, D=NH₂
 h₃ R=F, D=CH₂NH₂
 h₄ R=H, D=CH₂NH₂
 h₅ R=F, D=C(=NH)NH₂
 h₆ R=H, D=C(=NH)NH₂
 h₇ R=F, D=C(O)NH₂
 h₈ R=H, D=C(O)NH₂



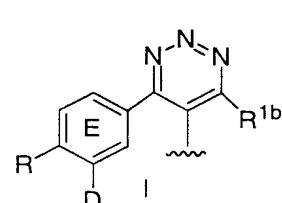
i₁ R=F, D=NH₂
 i₂ R=H, D=NH₂
 i₃ R=F, D=CH₂NH₂
 i₄ R=H, D=CH₂NH₂
 i₅ R=F, D=C(=NH)NH₂
 i₆ R=H, D=C(=NH)NH₂
 i₇ R=F, D=C(O)NH₂
 i₈ R=H, D=C(O)NH₂



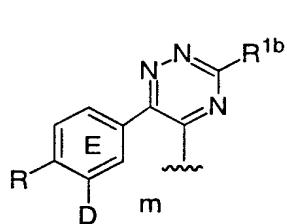
j₁ R=F, D=NH₂
 j₂ R=H, D=NH₂
 j₃ R=F, D=CH₂NH₂
 j₄ R=H, D=CH₂NH₂
 j₅ R=F, D=C(=NH)NH₂
 j₆ R=H, D=C(=NH)NH₂
 j₇ R=F, D=C(O)NH₂
 j₈ R=H, D=C(O)NH₂



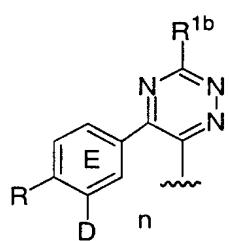
k₁ R=F, D=NH₂
 k₂ R=H, D=NH₂
 k₃ R=F, D=CH₂NH₂
 k₄ R=H, D=CH₂NH₂
 k₅ R=F, D=C(=NH)NH₂
 k₆ R=H, D=C(=NH)NH₂
 k₇ R=F, D=C(O)NH₂
 k₈ R=H, D=C(O)NH₂



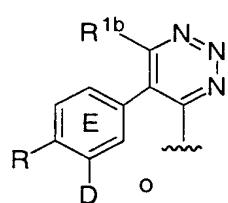
l₁ R=F, D=NH₂
 l₂ R=H, D=NH₂
 l₃ R=F, D=CH₂NH₂
 l₄ R=H, D=CH₂NH₂
 l₅ R=F, D=C(=NH)NH₂
 l₆ R=H, D=C(=NH)NH₂
 l₇ R=F, D=C(O)NH₂
 l₈ R=H, D=C(O)NH₂



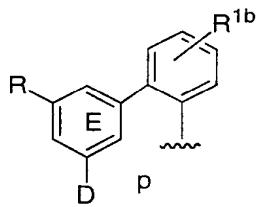
m₁ R=F, D=NH₂
 m₂ R=H, D=NH₂
 m₃ R=F, D=CH₂NH₂
 m₄ R=H, D=CH₂NH₂
 m₅ R=F, D=C(=NH)NH₂
 m₆ R=H, D=C(=NH)NH₂
 m₇ R=F, D=C(O)NH₂
 m₈ R=H, D=C(O)NH₂



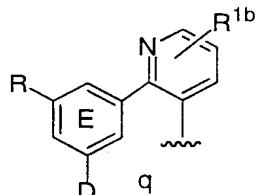
n₁ R=F, D=NH₂
 n₂ R=H, D=NH₂
 n₃ R=F, D=CH₂NH₂
 n₄ R=H, D=CH₂NH₂
 n₅ R=F, D=C(=NH)NH₂
 n₆ R=H, D=C(=NH)NH₂
 n₇ R=F, D=C(O)NH₂
 n₈ R=H, D=C(O)NH₂



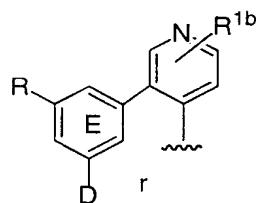
o₁ R=F, D=NH₂
 o₂ R=H, D=NH₂
 o₃ R=F, D=CH₂NH₂
 o₄ R=H, D=CH₂NH₂
 o₅ R=F, D=C(=NH)NH₂
 o₆ R=H, D=C(=NH)NH₂
 o₇ R=F, D=C(O)NH₂
 o₈ R=H, D=C(O)NH₂



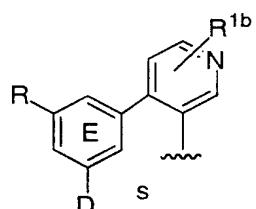
p_1 R=F, D=NH₂
 p_2 R=Cl, D=NH₂
 p_3 R=OMe, D=NH₂
 p_4 R=F, D=CH₂NH₂
 p_5 R=Cl, D=CH₂NH₂
 p_6 R=OMe, D=CH₂NH₂
 p_7 R=F, D=C(=NH)NH₂
 p_8 R=Cl, D=C(=NH)NH₂
 p_9 R=OMe, D=C(=NH)NH₂
 p_{10} R=F, D=C(O)NH₂
 p_{11} R=Cl, D=C(O)NH₂
 p_{12} R=OMe, D=C(O)NH₂



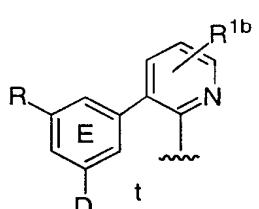
q_1 R=F, D=NH₂
 q_2 R=Cl, D=NH₂
 q_3 R=OMe, D=NH₂
 q_4 R=F, D=CH₂NH₂
 q_5 R=Cl, D=CH₂NH₂
 q_6 R=OMe, D=CH₂NH₂
 q_7 R=F, D=C(=NH)NH₂
 q_8 R=Cl, D=C(=NH)NH₂
 q_9 R=OMe, D=C(=NH)NH₂
 q_{10} R=F, D=C(O)NH₂
 q_{11} R=Cl, D=C(O)NH₂
 q_{12} R=OMe, D=C(O)NH₂



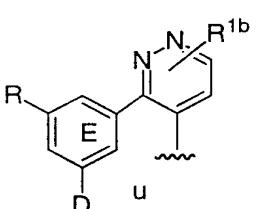
r_1 R=F, D=NH₂
 r_2 R=Cl, D=NH₂
 r_3 R=OMe, D=NH₂
 r_4 R=F, D=CH₂NH₂
 r_5 R=Cl, D=CH₂NH₂
 r_6 R=OMe, D=CH₂NH₂
 r_7 R=F, D=C(=NH)NH₂
 r_8 R=Cl, D=C(=NH)NH₂
 r_9 R=OMe, D=C(=NH)NH₂
 r_{10} R=F, D=C(O)NH₂
 r_{11} R=Cl, D=C(O)NH₂
 r_{12} R=OMe, D=C(O)NH₂



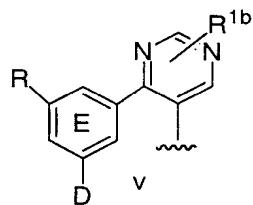
s_1 R=F, D=NH₂
 s_2 R=Cl, D=NH₂
 s_3 R=OMe, D=NH₂
 s_4 R=F, D=CH₂NH₂
 s_5 R=Cl, D=CH₂NH₂
 s_6 R=OMe, D=CH₂NH₂
 s_7 R=F, D=C(=NH)NH₂
 s_8 R=Cl, D=C(=NH)NH₂
 s_9 R=OMe, D=C(=NH)NH₂
 s_{10} R=F, D=C(O)NH₂
 s_{11} R=Cl, D=C(O)NH₂
 s_{12} R=OMe, D=C(O)NH₂



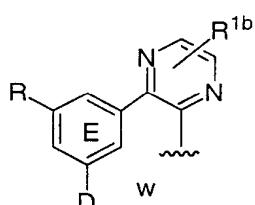
t_1 R=F, D=NH₂
 t_2 R=Cl, D=NH₂
 t_3 R=OMe, D=NH₂
 t_4 R=F, D=CH₂NH₂
 t_5 R=Cl, D=CH₂NH₂
 t_6 R=OMe, D=CH₂NH₂
 t_7 R=F, D=C(=NH)NH₂
 t_8 R=Cl, D=C(=NH)NH₂
 t_9 R=OMe, D=C(=NH)NH₂
 t_{10} R=F, D=C(O)NH₂
 t_{11} R=Cl, D=C(O)NH₂
 t_{12} R=OMe, D=C(O)NH₂



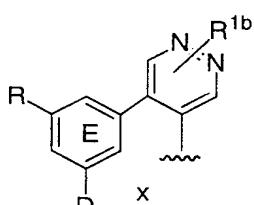
u_1 R=F, D=NH₂
 u_2 R=Cl, D=NH₂
 u_3 R=OMe, D=NH₂
 u_4 R=F, D=CH₂NH₂
 u_5 R=Cl, D=CH₂NH₂
 u_6 R=OMe, D=CH₂NH₂
 u_7 R=F, D=C(=NH)NH₂
 u_8 R=Cl, D=C(=NH)NH₂
 u_9 R=OMe, D=C(=NH)NH₂
 u_{10} R=F, D=C(O)NH₂
 u_{11} R=Cl, D=C(O)NH₂
 u_{12} R=OMe, D=C(O)NH₂



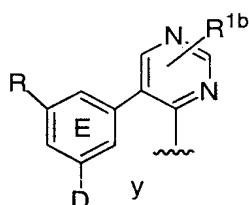
v_1 R=F, D=NH₂
 v_2 R=Cl, D=NH₂
 v_3 R=OMe, D=NH₂
 v_4 R=F, D=CH₂NH₂
 v_5 R=Cl, D=CH₂NH₂
 v_6 R=OMe, D=CH₂NH₂
 v_7 R=F, D=C(=NH)NH₂
 v_8 R=Cl, D=C(=NH)NH₂
 v_9 R=OMe, D=C(=NH)NH₂
 v_{10} R=F, D=C(O)NH₂
 v_{11} R=Cl, D=C(O)NH₂
 v_{12} R=OMe, D=C(O)NH₂



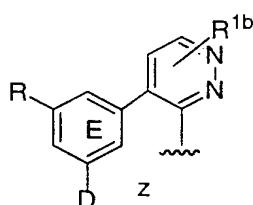
w_1 R=F, D=NH₂
 w_2 R=Cl, D=NH₂
 w_3 R=OMe, D=NH₂
 w_4 R=F, D=CH₂NH₂
 w_5 R=Cl, D=CH₂NH₂
 w_6 R=OMe, D=CH₂NH₂
 w_7 R=F, D=C(=NH)NH₂
 w_8 R=Cl, D=C(=NH)NH₂
 w_9 R=OMe, D=C(=NH)NH₂
 w_{10} R=F, D=C(O)NH₂
 w_{11} R=Cl, D=C(O)NH₂
 w_{12} R=OMe, D=C(O)NH₂



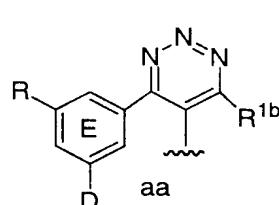
x_1 R=F, D=NH₂
 x_2 R=Cl, D=NH₂
 x_3 R=OMe, D=NH₂
 x_4 R=F, D=CH₂NH₂
 x_5 R=Cl, D=CH₂NH₂
 x_6 R=OMe, D=CH₂NH₂
 x_7 R=F, D=C(=NH)NH₂
 x_8 R=Cl, D=C(=NH)NH₂
 x_9 R=OMe, D=C(=NH)NH₂
 x_{10} R=F, D=C(O)NH₂
 x_{11} R=Cl, D=C(O)NH₂
 x_{12} R=OMe, D=C(O)NH₂



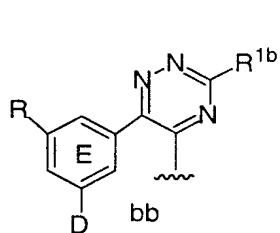
y_1 R=F, D=NH₂
 y_2 R=Cl, D=NH₂
 y_3 R=OMe, D=NH₂
 y_4 R=F, D=CH₂NH₂
 y_5 R=Cl, D=CH₂NH₂
 y_6 R=OMe, D=CH₂NH₂
 y_7 R=F, D=C(=NH)NH₂
 y_8 R=Cl, D=C(=NH)NH₂
 y_9 R=OMe, D=C(=NH)NH₂
 y_{10} R=F, D=C(O)NH₂
 y_{11} R=Cl, D=C(O)NH₂
 y_{12} R=OMe, D=C(O)NH₂



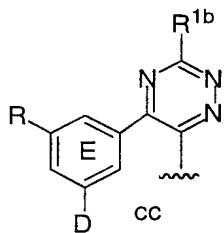
z_1 R=F, D=NH₂
 z_2 R=Cl, D=NH₂
 z_3 R=OMe, D=NH₂
 z_4 R=F, D=CH₂NH₂
 z_5 R=Cl, D=CH₂NH₂
 z_6 R=OMe, D=CH₂NH₂
 z_7 R=F, D=C(=NH)NH₂
 z_8 R=Cl, D=C(=NH)NH₂
 z_9 R=OMe, D=C(=NH)NH₂
 z_{10} R=F, D=C(O)NH₂
 z_{11} R=Cl, D=C(O)NH₂
 z_{12} R=OMe, D=C(O)NH₂



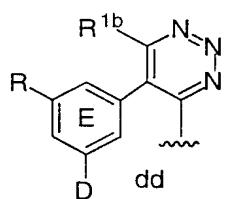
aa_1 R=F, D=NH₂
 aa_2 R=Cl, D=NH₂
 aa_3 R=OMe, D=NH₂
 aa_4 R=F, D=CH₂NH₂
 aa_5 R=Cl, D=CH₂NH₂
 aa_6 R=OMe, D=CH₂NH₂
 aa_7 R=F, D=C(=NH)NH₂
 aa_8 R=Cl, D=C(=NH)NH₂
 aa_9 R=OMe, D=C(=NH)NH₂
 aa_{10} R=F, D=C(O)NH₂
 aa_{11} R=Cl, D=C(O)NH₂
 aa_{12} R=OMe, D=C(O)NH₂



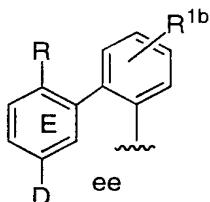
bb₁ R=F, D=NH₂
 bb₂ R=Cl, D=NH₂
 bb₃ R=OMe, D=NH₂
 bb₄ R=F, D=CH₂NH₂
 bb₅ R=Cl, D=CH₂NH₂
 bb₆ R=OMe, D=CH₂NH₂
 bb₇ R=F, D=C(=NH)NH₂
 bb₈ R=Cl, D=C(=NH)NH₂
 bb₉ R=OMe, D=C(=NH)NH₂
 bb₁₀ R=F, D=C(O)NH₂
 bb₁₁ R=Cl, D=C(O)NH₂
 bb₁₂ R=OMe, D=C(O)NH₂



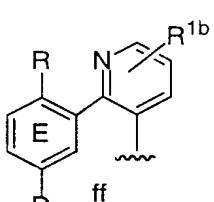
cc₁ R=F, D=NH₂
 cc₂ R=Cl, D=NH₂
 cc₃ R=OMe, D=NH₂
 cc₄ R=F, D=CH₂NH₂
 cc₅ R=Cl, D=CH₂NH₂
 cc₆ R=OMe, D=CH₂NH₂
 cc₇ R=F, D=C(=NH)NH₂
 cc₈ R=Cl, D=C(=NH)NH₂
 cc₉ R=OMe, D=C(=NH)NH₂
 cc₁₀ R=F, D=C(O)NH₂
 cc₁₁ R=Cl, D=C(O)NH₂
 cc₁₂ R=OMe, D=C(O)NH₂



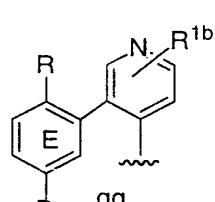
dd₁ R=F, D=NH₂
 dd₂ R=Cl, D=NH₂
 dd₃ R=OMe, D=NH₂
 dd₄ R=F, D=CH₂NH₂
 dd₅ R=Cl, D=CH₂NH₂
 dd₆ R=OMe, D=CH₂NH₂
 dd₇ R=F, D=C(=NH)NH₂
 dd₈ R=Cl, D=C(=NH)NH₂
 dd₉ R=OMe, D=C(=NH)NH₂
 dd₁₀ R=F, D=C(O)NH₂
 dd₁₁ R=Cl, D=C(O)NH₂
 dd₁₂ R=OMe, D=C(O)NH₂



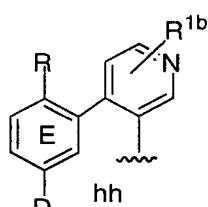
ee₁ R=F, D=CH₂NH₂
 ee₂ R=Cl, D=CH₂NH₂
 ee₃ R=OMe, D=CH₂NH₂
 ee₄ R=CH₂NH₂,
 D=CH₂NH₂



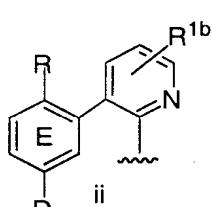
ff₁ R=F, D=CH₂NH₂
 ff₂ R=Cl, D=CH₂NH₂
 ff₃ R=OMe, D=CH₂NH₂
 ff₄ R=CH₂NH₂,
 D=CH₂NH₂



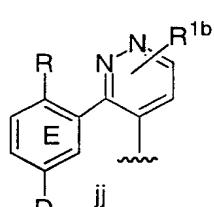
gg₁ R=F, D=CH₂NH₂
 gg₂ R=Cl, D=CH₂NH₂
 gg₃ R=OMe, D=CH₂NH₂
 gg₄ R=CH₂NH₂,
 D=CH₂NH₂



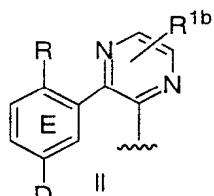
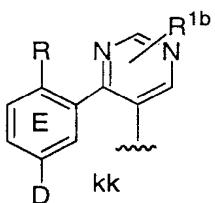
hh₁ R=F, D=CH₂NH₂
 hh₂ R=Cl, D=CH₂NH₂
 hh₃ R=OMe, D=CH₂NH₂
 hh₄ R=CH₂NH₂,
 D=CH₂NH₂



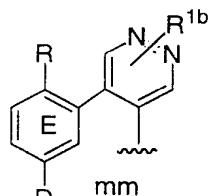
ii₁ R=F, D=CH₂NH₂
 ii₂ R=Cl, D=CH₂NH₂
 ii₃ R=OMe, D=CH₂NH₂
 ii₄ R=CH₂NH₂,
 D=CH₂NH₂



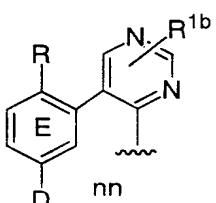
jj₁ R=F, D=CH₂NH₂
 jj₂ R=Cl, D=CH₂NH₂
 jj₃ R=OMe, D=CH₂NH₂
 jj₄ R=CH₂NH₂,
 D=CH₂NH₂



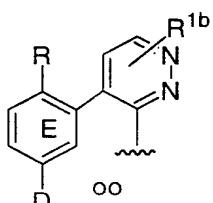
II₁ R=F, D=CH₂NH₂
 II₂ R=Cl, D=CH₂NH₂
 II₃ R=OMe, D=CH₂NH₂
 II₄ R=CH₂NH₂,
 D=CH₂NH₂



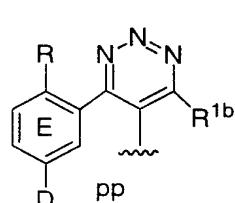
mm₁ R=F, D=CH₂NH₂
 mm₂ R=Cl, D=CH₂NH₂
 mm₃ R=OMe, D=CH₂NH₂
 mm₄ R=CH₂NH₂,
 D=CH₂NH₂



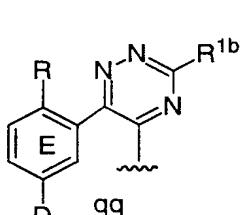
nn₁ R=F, D=CH₂NH₂
 nn₂ R=Cl, D=CH₂NH₂
 nn₃ R=OMe, D=CH₂NH₂
 nn₄ R=CH₂NH₂,
 D=CH₂NH₂



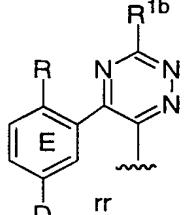
001 R=F, D=CH₂NH₂
 002 R=Cl, D=CH₂NH₂
 003 R=OMe, D=CH₂NH₂
 004 R=CH₂NH₂,
 D=CH₂NH₂



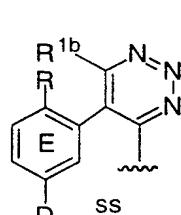
pp₁ R=F, D=CH₂NH₂
 pp₂ R=Cl, D=CH₂NH₂
 pp₃ R=OMe, D=CH₂NH₂
 pp₄ R=CH₂NH₂,
 D=CH₂NH₂



qq1 R=F, D=CH₂NH₂
 qq2 R=Cl, D=CH₂NH₂
 qq3 R=OMe, D=CH₂NH₂
 qq4 R=CH₂NH₂,
 D=CH₂NH₂



rr_1 R=F, D=CH₂NH₂
 rr_2 R=Cl, D=CH₂NH₂
 rr_3 R=OMe, D=CH₂NH₂
 rr_4 R=CH₂NH₂,
 D=CH₂NH₂



ss_1 R=F, D=CH₂NH₂
 ss_2 R=Cl, D=CH₂NH₂
 ss_3 R=OMe, D=CH₂NH₂
 ss_4 R=CH₂NH₂,
 D=CH₂NH₂

5	Ex#	R ^{1b}	A	B
	1	H	phenyl	2-((Me) ₂ N-methyl)phenyl
	2	H	phenyl	2-((Me)NH-methyl)phenyl
	3	H	phenyl	2-(H ₂ N-methyl)phenyl
	4	H	phenyl	2-HOCH ₂ -phenyl
10	5	H	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
	6	H	2-F-phenyl	2-((Me)NH-methyl)phenyl
	7	H	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	8	H	2-F-phenyl	2-HOCH ₂ -phenyl
	9	H	phenyl	2-methylimidazol-1-yl
15	10	H	phenyl	2-ethylimidazol-1-yl
	11	H	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	12	H	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl

13	H	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
14	H	2-F-phenyl	2-methylimidazol-1-yl
15	H	2-F-phenyl	2-ethylimidazol-1-yl
16	H	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
5	17	H	2-F-phenyl
	18	H	2-F-phenyl
10	19	H	2-C1-phenyl
	20	H	2-C1-phenyl
15	21	H	2-C1-phenyl
	22	H	2-C1-phenyl
20	23	H	2-C1-phenyl
	24	H	2-(Me) ₂ N-phenyl
25	25	H	2-(Me) ₂ N-phenyl
	26	H	2-(Me) ₂ N-phenyl
30	27	H	2-(Me) ₂ N-phenyl
	28	H	2-(Me) ₂ N-phenyl
35	29	H	phenyl
	30	H	phenyl
40	31	H	phenyl
	32	H	2-F-phenyl
45	33	H	2-F-phenyl
	34	H	2-F-phenyl
50	35	H	phenyl
	36	H	phenyl
55	37	H	phenyl
	38	H	phenyl
60	39	H	phenyl
	40	H	phenyl
65	41	H	phenyl
	42	H	phenyl
70	43	H	2-F-phenyl
	44	H	2-F-phenyl
75	45	H	2-F-phenyl
	46	H	2-F-phenyl
80	47	H	2-F-phenyl
	48	H	2-F-phenyl
85	49	H	2-F-phenyl
	50	H	2-F-phenyl
90	51	H	2-CH ₃ O-phenyl
	52	H	2-CH ₃ O-phenyl
95	53	-CN	phenyl
	54	-CN	phenyl
100	55	-CN	phenyl
	56	-CN	phenyl
105	57	-CN	2-F-phenyl
	58	-CN	2-F-phenyl
110	59	-CN	2-F-phenyl
	60	-CN	2-F-phenyl
115	61	-CN	phenyl
	62	-CN	phenyl

63	-CN	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
64	-CN	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl	
65	-CN	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl	
66	-CN	2-F-phenyl	2-methylimidazol-1-yl	
5	67	-CN	2-F-phenyl	2-ethylimidazol-1-yl
	68	-CN	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	69	-CN	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	70	-CN	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	71	-CN	2-C1-phenyl	2-methylimidazol-1-yl
10	72	-CN	2-C1-phenyl	2-ethylimidazol-1-yl
	73	-CN	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	74	-CN	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	75	-CN	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	76	-CN	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
15	77	-CN	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
	78	-CN	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	79	-CN	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	80	-CN	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	81	-CN	phenyl	N-methylimidazol-2-yl
20	82	-CN	phenyl	4-methylimidazol-5-yl
	83	-CN	phenyl	5-CF ₃ -pyrazol-1-yl
	84	-CN	2-F-phenyl	N-methylimidazol-2-yl
	85	-CN	2-F-phenyl	4-methylimidazol-5-yl
	86	-CN	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
25	87	-CN	phenyl	guanidino
	88	-CN	phenyl	2-thiazolin-2-ylamine
	89	-CN	phenyl	N-methyl-2-imidazolin-2-yl
	90	-CN	phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	91	-CN	phenyl	N-methylimidazol-2-ylthiol
30	92	-CN	phenyl	t-butoxycarbonylamine
	93	-CN	phenyl	(N-pyrrolidino)formylimino
	94	-CN	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	95	-CN	2-F-phenyl	guanidino
	96	-CN	2-F-phenyl	2-thiazolin-2-ylamine
35	97	-CN	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	98	-CN	2-F-phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	99	-CN	2-F-phenyl	N-methylimidazol-2-ylthio
	100	-CN	2-F-phenyl	t-butoxycarbonylamine
	101	-CN	2-F-phenyl	(N-pyrrolidino)formylimino
40	102	-CN	2-F-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	103	-CN	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
	104	-CN	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	105	CF ₃	phenyl	2-((Me) ₂ N-methyl)phenyl
	106	CF ₃	phenyl	2-((Me)NH-methyl)phenyl
50	107	CF ₃	phenyl	2-(H ₂ N-methyl)phenyl
	108	CF ₃	phenyl	2-HOCH ₂ -phenyl
	109	CF ₃	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
	110	CF ₃	2-F-phenyl	2-((Me)NH-methyl)phenyl
	111	CF ₃	2-F-phenyl	2-(H ₂ N-methyl)phenyl

112	CF ₃	2-F-phenyl	2-HOCH ₂ -phenyl	
113	CF ₃	phenyl	2-methylimidazol-1-yl	
114	CF ₃	phenyl	2-ethylimidazol-1-yl	
115	CF ₃	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
5	116	CF ₃	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	117	CF ₃	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
118	CF ₃	2-F-phenyl	2-methylimidazol-1-yl	
119	CF ₃	2-F-phenyl	2-ethylimidazol-1-yl	
120	CF ₃	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
10	121	CF ₃	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	122	CF ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
123	CF ₃	2-C1-phenyl	2-methylimidazol-1-yl	
124	CF ₃	2-C1-phenyl	2-ethylimidazol-1-yl	
125	CF ₃	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
15	126	CF ₃	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	127	CF ₃	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
128	CF ₃	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl	
129	CF ₃	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl	
130	CF ₃	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
20	131	CF ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	132	CF ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
133	CF ₃	phenyl	N-methylimidazol-2-yl	
134	CF ₃	phenyl	4-methylimidazol-5-yl	
135	CF ₃	phenyl	5-CF ₃ -pyrazol-1-yl	
25	136	CF ₃	2-F-phenyl	N-methylimidazol-2-yl
	137	CF ₃	2-F-phenyl	4-methylimidazol-5-yl
138	CF ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl	
139	CF ₃	phenyl	guanidino	
140	CF ₃	phenyl	2-thiazolin-2-ylamine	
30	141	CF ₃	phenyl	N-methyl-2-imidazolin-2-yl
	142	CF ₃	phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
143	CF ₃	phenyl	N-methylimidazol-2-ylthiol	
144	CF ₃	phenyl	t-butoxycarbonylamine	
35	145	CF ₃	phenyl	(N-pyrrolidino)formylimino
	146	CF ₃	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
147	CF ₃	2-F-phenyl	guanidino	
148	CF ₃	2-F-phenyl	2-thiazolin-2-ylamine	
40	149	CF ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	150	CF ₃	2-F-phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
151	CF ₃	2-F-phenyl	N-methylimidazol-2-ylthio	
152	CF ₃	2-F-phenyl	t-butoxycarbonylamine	
45	153	CF ₃	2-F-phenyl	(N-pyrrolidino)formylimino
	154	CF ₃	2-F-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
155	CF ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino	
156	CF ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino	
50	157	CONH ₂	phenyl	2-((Me) ₂ N-methyl)phenyl
	158	CONH ₂	phenyl	2-((Me)NH-methyl)phenyl

159	CONH ₂	phenyl	2-(H ₂ N-methyl)phenyl	
160	CONH ₂	phenyl	2-HOCH ₂ -phenyl	
161	CONH ₂	2-F-phenyl	2-(Me) ₂ N-methyl)phenyl	
162	CONH ₂	2-F-phenyl	2-(Me)NH-methyl)phenyl	
5	163	CONH ₂	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	164	CONH ₂	2-F-phenyl	2-HOCH ₂ -phenyl
	165	CONH ₂	phenyl	2-methylimidazol-1-yl
	166	CONH ₂	phenyl	2-ethylimidazol-1-yl
10	167	CONH ₂	phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	168	CONH ₂	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	169	CONH ₂	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	170	CONH ₂	2-F-phenyl	2-methylimidazol-1-yl
	171	CONH ₂	2-F-phenyl	2-ethylimidazol-1-yl
15	172	CONH ₂	2-F-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	173	CONH ₂	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	174	CONH ₂	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	175	CONH ₂	2-Cl-phenyl	2-methylimidazol-1-yl
	176	CONH ₂	2-Cl-phenyl	2-ethylimidazol-1-yl
20	177	CONH ₂	2-Cl-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	178	CONH ₂	2-Cl-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	179	CONH ₂	2-Cl-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	180	CONH ₂	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
	181	CONH ₂	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
25	182	CONH ₂	2-(Me) ₂ N-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	183	CONH ₂	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	184	CONH ₂	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	185	CONH ₂	phenyl	N-methylimidazol-2-yl
	186	CONH ₂	phenyl	4-methylimidazol-5-yl
	187	CONH ₂	phenyl	5-CF ₃ -pyrazol-1-yl
30	188	CONH ₂	2-F-phenyl	N-methylimidazol-2-yl
	189	CONH ₂	2-F-phenyl	4-methylimidazol-5-yl
	190	CONH ₂	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
	191	CONH ₂	phenyl	guanidino
	192	CONH ₂	phenyl	2-thiazolin-2-ylamine
35	193	CONH ₂	phenyl	N-methyl-2-imidazolin-2-yl
	194	CONH ₂	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	195	CONH ₂	phenyl	N-methylimidazol-2-ylthiol
	196	CONH ₂	phenyl	t-butoxycarbonylamine
40	197	CONH ₂	phenyl	(N-pyrrolidino)formylimino
	198	CONH ₂	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	199	CONH ₂	2-F-phenyl	guanidino
	200	CONH ₂	2-F-phenyl	2-thiazolin-2-ylamine
45	201	CONH ₂	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	202	CONH ₂	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	203	CONH ₂	2-F-phenyl	N-methylimidazol-2-ylthio
	204	CONH ₂	2-F-phenyl	t-butoxycarbonylamine
50	205	CONH ₂	2-F-phenyl	(N-pyrrolidino)formylimino
	206	CONH ₂	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

207	CONH ₂	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino	
208	CONH ₂	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino	
5	209	SCH ₃	phenyl	2-((Me) ₂ N-methyl)phenyl
	210	SCH ₃	phenyl	2-((Me)NH-methyl)phenyl
	211	SCH ₃	phenyl	2-(H ₂ N-methyl)phenyl
	212	SCH ₃	phenyl	2-HOCH ₂ -phenyl
	213	SCH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
	214	SCH ₃	2-F-phenyl	2-((Me)NH-methyl)phenyl
10	215	SCH ₃	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	216	SCH ₃	2-F-phenyl	2-HOCH ₂ -phenyl
	217	SCH ₃	phenyl	2-methylimidazol-1-yl
	218	SCH ₃	phenyl	2-ethylimidazol-1-yl
15	219	SCH ₃	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	220	SCH ₃	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	221	SCH ₃	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	222	SCH ₃	2-F-phenyl	2-methylimidazol-1-yl
	223	SCH ₃	2-F-phenyl	2-ethylimidazol-1-yl
	224	SCH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
20	225	SCH ₃	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	226	SCH ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	227	SCH ₃	2-Cl-phenyl	2-methylimidazol-1-yl
	228	SCH ₃	2-Cl-phenyl	2-ethylimidazol-1-yl
25	229	SCH ₃	2-Cl-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	230	SCH ₃	2-Cl-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	231	SCH ₃	2-Cl-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	232	SCH ₃	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
	233	SCH ₃	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
	234	SCH ₃	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
30	235	SCH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	236	SCH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	237	SCH ₃	phenyl	N-methylimidazol-2-yl
	238	SCH ₃	phenyl	4-methylimidazol-5-yl
35	239	SCH ₃	phenyl	5-CF ₃ -pyrazol-1-yl
	240	SCH ₃	2-F-phenyl	N-methylimidazol-2-yl
	241	SCH ₃	2-F-phenyl	4-methylimidazol-5-yl
	242	SCH ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
	243	SCH ₃	phenyl	guanidino
	244	SCH ₃	phenyl	2-thiazolin-2-ylamine
40	245	SCH ₃	phenyl	N-methyl-2-imidazolin-2-yl
	246	SCH ₃	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	247	SCH ₃	phenyl	N-methylimidazol-2-ylthiol
	248	SCH ₃	phenyl	t-butoxycarbonylamine
45	249	SCH ₃	phenyl	(N-pyrrolidino)formylimino
	250	SCH ₃	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	251	SCH ₃	2-F-phenyl	guanidino
	252	SCH ₃	2-F-phenyl	2-thiazolin-2-ylamine
50	253	SCH ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	254	SCH ₃	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl

255	SCH ₃	2-F-phenyl	N-methylimidazol-2-ylthio
256	SCH ₃	2-F-phenyl	t-butoxycarbonylamine
257	SCH ₃	2-F-phenyl	(N-pyrrolidino)formylimino
258	SCH ₃	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
5			
259	SCH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
260	SCH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
10	261	SO ₂ CH ₃	phenyl
262	SO ₂ CH ₃	phenyl	2-((Me) ₂ N-methyl)phenyl
263	SO ₂ CH ₃	phenyl	2-((Me)NH-methyl)phenyl
264	SO ₂ CH ₃	phenyl	2-(H ₂ N-methyl)phenyl
265	SO ₂ CH ₃	2-F-phenyl	2-HOCH ₂ -phenyl
266	SO ₂ CH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
15	267	SO ₂ CH ₃	2-F-phenyl
268	SO ₂ CH ₃	2-F-phenyl	2-((Me)NH-methyl)phenyl
269	SO ₂ CH ₃	phenyl	2-(H ₂ N-methyl)phenyl
270	SO ₂ CH ₃	phenyl	2-HOCH ₂ -phenyl
271	SO ₂ CH ₃	phenyl	2-methylimidazol-1-yl
20	272	SO ₂ CH ₃	phenyl
273	SO ₂ CH ₃	phenyl	2-ethylimidazol-1-yl
274	SO ₂ CH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
275	SO ₂ CH ₃	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
276	SO ₂ CH ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
25	277	SO ₂ CH ₃	2-F-phenyl
278	SO ₂ CH ₃	2-F-phenyl	2-methylimidazol-1-yl
279	SO ₂ CH ₃	2-C1-phenyl	2-ethylimidazol-1-yl
280	SO ₂ CH ₃	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
281	SO ₂ CH ₃	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
30	282	SO ₂ CH ₃	2-C1-phenyl
283	SO ₂ CH ₃	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
284	SO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
285	SO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
35	286	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
287	SO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
288	SO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
289	SO ₂ CH ₃	phenyl	N-methylimidazol-2-yl
290	SO ₂ CH ₃	phenyl	4-methylimidazol-5-yl
40	291	SO ₂ CH ₃	phenyl
292	SO ₂ CH ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
293	SO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-yl
294	SO ₂ CH ₃	2-F-phenyl	4-methylimidazol-5-yl
295	SO ₂ CH ₃	phenyl	5-CF ₃ -pyrazol-1-yl
296	SO ₂ CH ₃	phenyl	guanidino
45	297	SO ₂ CH ₃	phenyl
298	SO ₂ CH ₃	phenyl	2-thiazolin-2-ylamine
299	SO ₂ CH ₃	phenyl	N-methyl-2-imidazolin-2-yl
300	SO ₂ CH ₃	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
50	301	SO ₂ CH ₃	phenyl
302	SO ₂ CH ₃	phenyl	N-methylimidazol-2-ylthiol
			t-butoxycarbonylamine
			(N-pyrrolidino)formylimino
			(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

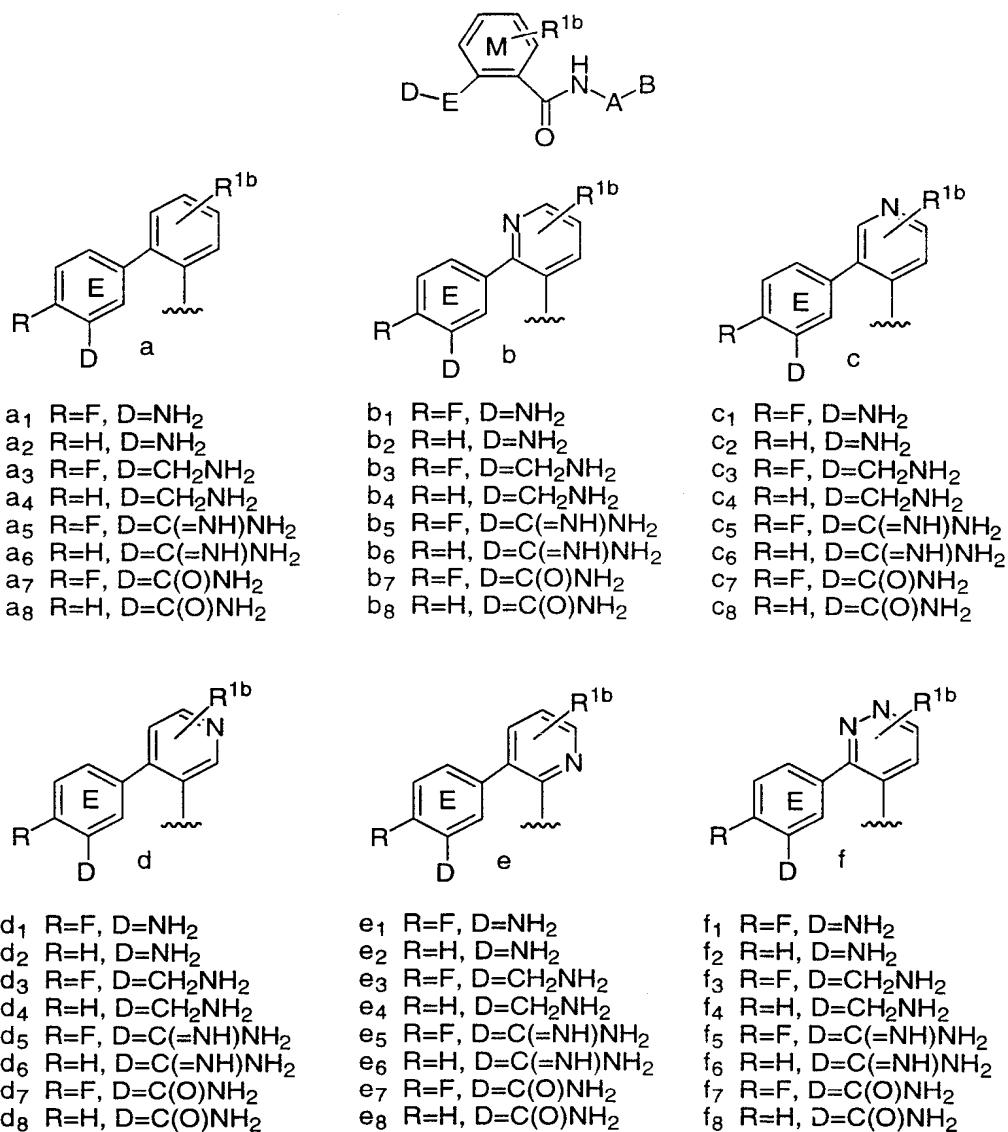
303	SO ₂ CH ₃	2-F-phenyl	guanidino
304	SO ₂ CH ₃	2-F-phenyl	2-thiazolin-2-ylamine
305	SO ₂ CH ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
306	SO ₂ CH ₃	2-F-phenyl	N-methyl-1,4,5,6-
5			tetrahydropyrimid-2-yl
307	SO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-ylthio
308	SO ₂ CH ₃	2-F-phenyl	t-butoxycarbonylamine
309	SO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formylimino
310	SO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formyl-N-
10			(methanesulfamoyl)imino
311	SO ₂ CH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
312	SO ₂ CH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-
			(methanesulfamoyl)imino
15	313	NHSO ₂ CH ₃	phenyl
314	NHSO ₂ CH ₃	phenyl	2-(Me) ₂ N-methyl)phenyl
315	NHSO ₂ CH ₃	phenyl	2-(Me)NH-methyl)phenyl
316	NHSO ₂ CH ₃	phenyl	2-(H ₂ N-methyl)phenyl
317	NHSO ₂ CH ₃	2-F-phenyl	2-HOCH ₂ -phenyl
318	NHSO ₂ CH ₃	2-F-phenyl	2-(Me) ₂ N-methyl)phenyl
20	319	NHSO ₂ CH ₃	2-F-phenyl
320	NHSO ₂ CH ₃	2-F-phenyl	2-(Me)NH-methyl)phenyl
321	NHSO ₂ CH ₃	phenyl	2-(H ₂ N-methyl)phenyl
322	NHSO ₂ CH ₃	phenyl	2-HOCH ₂ -phenyl
25	323	NHSO ₂ CH ₃	phenyl
324	NHSO ₂ CH ₃	phenyl	2-methylimidazol-1-yl
325	NHSO ₂ CH ₃	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
326	NHSO ₂ CH ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
327	NHSO ₂ CH ₃	2-F-phenyl	2-methylimidazol-1-yl
328	NHSO ₂ CH ₃	2-F-phenyl	2-ethylimidazol-1-yl
30	329	NHSO ₂ CH ₃	2-F-phenyl
330	NHSO ₂ CH ₃	2-F-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
331	NHSO ₂ CH ₃	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
332	NHSO ₂ CH ₃	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
333	NHSO ₂ CH ₃	2-C1-phenyl	2-methylimidazol-1-yl
35	334	NHSO ₂ CH ₃	2-C1-phenyl
335	NHSO ₂ CH ₃	2-C1-phenyl	2-ethylimidazol-1-yl
336	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
337	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
338	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
40	339	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl
340	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
341	NHSO ₂ CH ₃	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
342	NHSO ₂ CH ₃	phenyl	N-methylimidazol-2-yl
343	NHSO ₂ CH ₃	phenyl	4-methylimidazol-5-yl
45	344	NHSO ₂ CH ₃	2-F-phenyl
345	NHSO ₂ CH ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
346	NHSO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-yl
347	NHSO ₂ CH ₃	phenyl	4-methylimidazol-5-yl
348	NHSO ₂ CH ₃	phenyl	5-CF ₃ -pyrazol-1-yl
50	349	NHSO ₂ CH ₃	phenyl
	350	NHSO ₂ CH ₃	phenyl

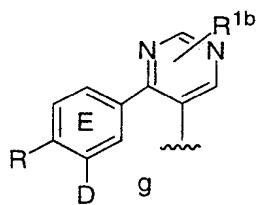
guanidino
2-thiazolin-2-ylamine
N-methyl-2-imidazolin-2-yl
N-methyl-1,4,5,6-

tetrahydropyrimid-2-yl

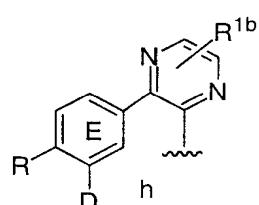
351	NHSO ₂ CH ₃	phenyl	N-methylimidazol-2-ylthiol	
352	NHSO ₂ CH ₃	phenyl	t-butoxycarbonylamine	
353	NHSO ₂ CH ₃	phenyl	(N-pyrrolidino)formylimino	
354	NHSO ₂ CH ₃	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino	
5			guanidino	
355	NHSO ₂ CH ₃	2-F-phenyl	2-thiazolin-2-ylamine	
356	NHSO ₂ CH ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl	
357	NHSO ₂ CH ₃	2-F-phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl	
10	358	NHSO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-ylthio
359	NHSO ₂ CH ₃	2-F-phenyl	t-butoxycarbonylamine	
360	NHSO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formylimino	
361	NHSO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino	
15	362	NHSO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formylimino
363	NHSO ₂ CH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino	
364	NHSO ₂ CH ₃	2-CH ₃ O-phenyl		

Table 4

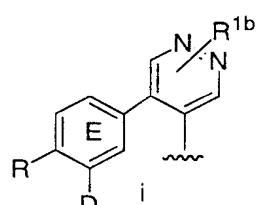




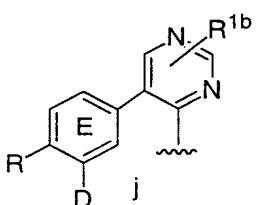
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 g₂ R=H, D=NH₂
 g₃ R=F, D=CH₂NH₂
 g₄ R=H, D=CH₂NH₂
 g₅ R=F, D=C(=NH)NH₂
 g₆ R=H, D=C(=NH)NH₂
 g₇ R=F, D=C(O)NH₂
 g₈ R=H, D=C(O)NH₂



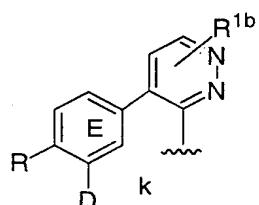
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 h₂ R=H, D=NH₂
 h₃ R=F, D=CH₂NH₂
 h₄ R=H, D=CH₂NH₂
 h₅ R=F, D=C(=NH)NH₂
 h₆ R=H, D=C(=NH)NH₂
 h₇ R=F, D=C(O)NH₂
 h₈ R=H, D=C(O)NH₂



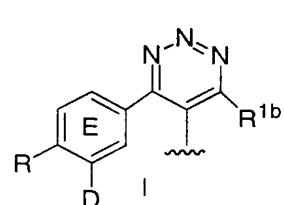
i₁ R=F, D=NH₂
 i₂ R=H, D=NH₂
 i₃ R=F, D=CH₂NH₂
 i₄ R=H, D=CH₂NH₂
 i₅ R=F, D=C(=NH)NH₂
 i₆ R=H, D=C(=NH)NH₂
 i₇ R=F, D=C(O)NH₂
 i₈ R=H, D=C(O)NH₂



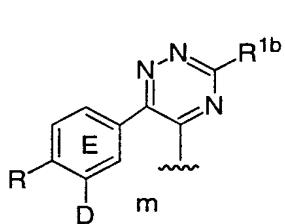
j₁ R=F, D=NH₂
 j₂ R=H, D=NH₂
 j₃ R=F, D=CH₂NH₂
 j₄ R=H, D=CH₂NH₂
 j₅ R=F, D=C(=NH)NH₂
 j₆ R=H, D=C(=NH)NH₂
 j₇ R=F, D=C(O)NH₂
 j₈ R=H, D=C(O)NH₂



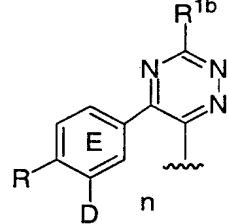
k₁ R=F, D=NH₂
 k₂ R=H, D=NH₂
 k₃ R=F, D=CH₂NH₂
 k₄ R=H, D=CH₂NH₂
 k₅ R=F, D=C(=NH)NH₂
 k₆ R=H, D=C(=NH)NH₂
 k₇ R=F, D=C(O)NH₂
 k₈ R=H, D=C(O)NH₂



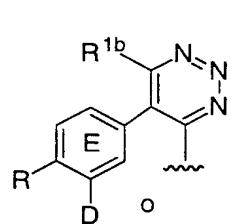
l₁ R=F, D=NH₂
 l₂ R=H, D=NH₂
 l₃ R=F, D=CH₂NH₂
 l₄ R=H, D=CH₂NH₂
 l₅ R=F, D=C(=NH)NH₂
 l₆ R=H, D=C(=NH)NH₂
 l₇ R=F, D=C(O)NH₂
 l₈ R=H, D=C(O)NH₂



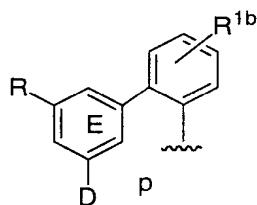
m₁ R=F, D=NH₂
 m₂ R=H, D=NH₂
 m₃ R=F, D=CH₂NH₂
 m₄ R=H, D=CH₂NH₂
 m₅ R=F, D=C(=NH)NH₂
 m₆ R=H, D=C(=NH)NH₂
 m₇ R=F, D=C(O)NH₂
 m₈ R=H, D=C(O)NH₂



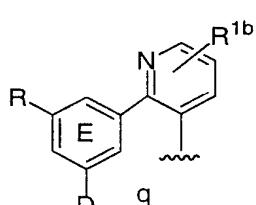
n₁ R=F, D=NH₂
 n₂ R=H, D=NH₂
 n₃ R=F, D=CH₂NH₂
 n₄ R=H, D=CH₂NH₂
 n₅ R=F, D=C(=NH)NH₂
 n₆ R=H, D=C(=NH)NH₂
 n₇ R=F, D=C(O)NH₂
 n₈ R=H, D=C(O)NH₂



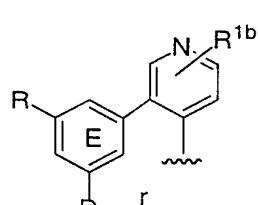
o₁ R=F, D=NH₂
 o₂ R=H, D=NH₂
 o₃ R=F, D=CH₂NH₂
 o₄ R=H, D=CH₂NH₂
 o₅ R=F, D=C(=NH)NH₂
 o₆ R=H, D=C(=NH)NH₂
 o₇ R=F, D=C(O)NH₂
 o₈ R=H, D=C(O)NH₂



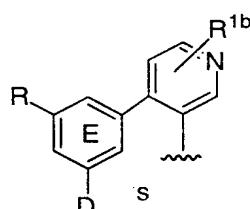
p_1 R=F, D=NH₂
 p_2 R=Cl, D=NH₂
 p_3 R=OMe, D=NH₂
 p_4 R=F, D=CH₂NH₂
 p_5 R=Cl, D=CH₂NH₂
 p_6 R=OMe, D=CH₂NH₂
 p_7 R=F, D=C(=NH)NH₂
 p_8 R=Cl, D=C(=NH)NH₂
 p_9 R=OMe, D=C(=NH)NH₂
 p_{10} R=F, D=C(O)NH₂
 p_{11} R=Cl, D=C(O)NH₂
 p_{12} R=OMe, D=C(O)NH₂



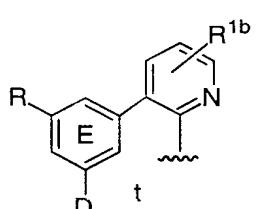
q_1 R=F, D=NH₂
 q_2 R=Cl, D=NH₂
 q_3 R=OMe, D=NH₂
 q_4 R=F, D=CH₂NH₂
 q_5 R=Cl, D=CH₂NH₂
 q_6 R=OMe, D=CH₂NH₂
 q_7 R=F, D=C(=NH)NH₂
 q_8 R=Cl, D=C(=NH)NH₂
 q_9 R=OMe, D=C(=NH)NH₂
 q_{10} R=F, D=C(O)NH₂
 q_{11} R=Cl, D=C(O)NH₂
 q_{12} R=OMe, D=C(O)NH₂



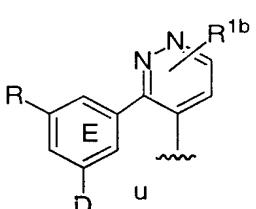
r_1 R=F, D=NH₂
 r_2 R=Cl, D=NH₂
 r_3 R=OMe, D=NH₂
 r_4 R=F, D=CH₂NH₂
 r_5 R=Cl, D=CH₂NH₂
 r_6 R=OMe, D=CH₂NH₂
 r_7 R=F, D=C(=NH)NH₂
 r_8 R=Cl, D=C(=NH)NH₂
 r_9 R=OMe, D=C(=NH)NH₂
 r_{10} R=F, D=C(O)NH₂
 r_{11} R=Cl, D=C(O)NH₂
 r_{12} R=OMe, D=C(O)NH₂



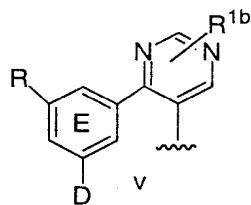
s_1 R=F, D=NH₂
 s_2 R=Cl, D=NH₂
 s_3 R=OMe, D=NH₂
 s_4 R=F, D=CH₂NH₂
 s_5 R=Cl, D=CH₂NH₂
 s_6 R=OMe, D=CH₂NH₂
 s_7 R=F, D=C(=NH)NH₂
 s_8 R=Cl, D=C(=NH)NH₂
 s_9 R=OMe, D=C(=NH)NH₂
 s_{10} R=F, D=C(O)NH₂
 s_{11} R=Cl, D=C(O)NH₂
 s_{12} R=OMe, D=C(O)NH₂



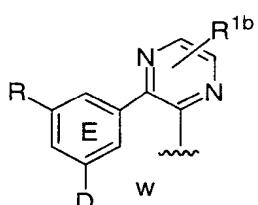
t_1 R=F, D=NH₂
 t_2 R=Cl, D=NH₂
 t_3 R=OMe, D=NH₂
 t_4 R=F, D=CH₂NH₂
 t_5 R=Cl, D=CH₂NH₂
 t_6 R=OMe, D=CH₂NH₂
 t_7 R=F, D=C(=NH)NH₂
 t_8 R=Cl, D=C(=NH)NH₂
 t_9 R=OMe, D=C(=NH)NH₂
 t_{10} R=F, D=C(O)NH₂
 t_{11} R=Cl, D=C(O)NH₂
 t_{12} R=OMe, D=C(O)NH₂



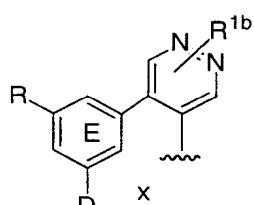
u_1 R=F, D=NH₂
 u_2 R=Cl, D=NH₂
 u_3 R=OMe, D=NH₂
 u_4 R=F, D=CH₂NH₂
 u_5 R=Cl, D=CH₂NH₂
 u_6 R=OMe, D=CH₂NH₂
 u_7 R=F, D=C(=NH)NH₂
 u_8 R=Cl, D=C(=NH)NH₂
 u_9 R=OMe, D=C(=NH)NH₂
 u_{10} R=F, D=C(O)NH₂
 u_{11} R=Cl, D=C(O)NH₂
 u_{12} R=OMe, D=C(O)NH₂



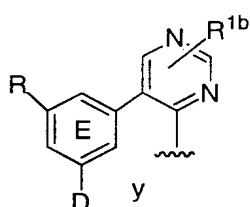
v_1 R=F, D=NH₂
 v_2 R=Cl, D=NH₂
 v_3 R=OMe, D=NH₂
 v_4 R=F, D=CH₂NH₂
 v_5 R=Cl, D=CH₂NH₂
 v_6 R=OMe, D=CH₂NH₂
 v_7 R=F, D=C(=NH)NH₂
 v_8 R=Cl, D=C(=NH)NH₂
 v_9 R=OMe, D=C(=NH)NH₂
 v_{10} R=F, D=C(O)NH₂
 v_{11} R=Cl, D=C(O)NH₂
 v_{12} R=OMe, D=C(O)NH₂



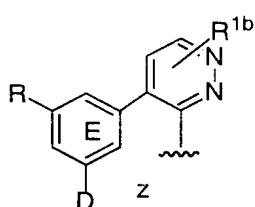
w_1 R=F, D=NH₂
 w_2 R=Cl, D=NH₂
 w_3 R=OMe, D=NH₂
 w_4 R=F, D=CH₂NH₂
 w_5 R=Cl, D=CH₂NH₂
 w_6 R=OMe, D=CH₂NH₂
 w_7 R=F, D=C(=NH)NH₂
 w_8 R=Cl, D=C(=NH)NH₂
 w_9 R=OMe, D=C(=NH)NH₂
 w_{10} R=F, D=C(O)NH₂
 w_{11} R=Cl, D=C(O)NH₂
 w_{12} R=OMe, D=C(O)NH₂



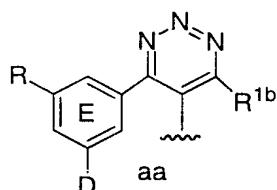
x_1 R=F, D=NH₂
 x_2 R=Cl, D=NH₂
 x_3 R=OMe, D=NH₂
 x_4 R=F, D=CH₂NH₂
 x_5 R=Cl, D=CH₂NH₂
 x_6 R=OMe, D=CH₂NH₂
 x_7 R=F, D=C(=NH)NH₂
 x_8 R=Cl, D=C(=NH)NH₂
 x_9 R=OMe, D=C(=NH)NH₂
 x_{10} R=F, D=C(O)NH₂
 x_{11} R=Cl, D=C(O)NH₂
 x_{12} R=OMe, D=C(O)NH₂



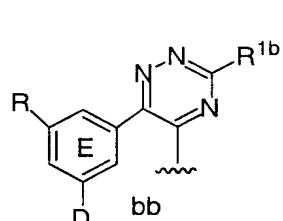
y_1 R=F, D=NH₂
 y_2 R=Cl, D=NH₂
 y_3 R=OMe, D=NH₂
 y_4 R=F, D=CH₂NH₂
 y_5 R=Cl, D=CH₂NH₂
 y_6 R=OMe, D=CH₂NH₂
 y_7 R=F, D=C(=NH)NH₂
 y_8 R=Cl, D=C(=NH)NH₂
 y_9 R=OMe, D=C(=NH)NH₂
 y_{10} R=F, D=C(O)NH₂
 y_{11} R=Cl, D=C(O)NH₂
 y_{12} R=OMe, D=C(O)NH₂



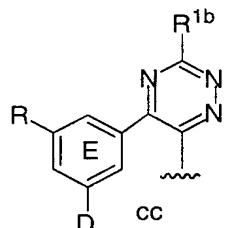
z_1 R=F, D=NH₂
 z_2 R=Cl, D=NH₂
 z_3 R=OMe, D=NH₂
 z_4 R=F, D=CH₂NH₂
 z_5 R=Cl, D=CH₂NH₂
 z_6 R=OMe, D=CH₂NH₂
 z_7 R=F, D=C(=NH)NH₂
 z_8 R=Cl, D=C(=NH)NH₂
 z_9 R=OMe, D=C(=NH)NH₂
 z_{10} R=F, D=C(O)NH₂
 z_{11} R=Cl, D=C(O)NH₂
 z_{12} R=OMe, D=C(O)NH₂



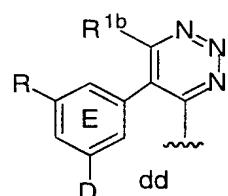
aa_1 R=F, D=NH₂
 aa_2 R=Cl, D=NH₂
 aa_3 R=OMe, D=NH₂
 aa_4 R=F, D=CH₂NH₂
 aa_5 R=Cl, D=CH₂NH₂
 aa_6 R=OMe, D=CH₂NH₂
 aa_7 R=F, D=C(=NH)NH₂
 aa_8 R=Cl, D=C(=NH)NH₂
 aa_9 R=OMe, D=C(=NH)NH₂
 aa_{10} R=F, D=C(O)NH₂
 aa_{11} R=Cl, D=C(O)NH₂
 aa_{12} R=OMe, D=C(O)NH₂



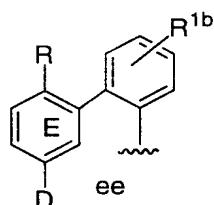
bb₁ R=F, D=NH₂
 bb₂ R=Cl, D=NH₂
 bb₃ R=OMe, D=NH₂
 bb₄ R=F, D=CH₂NH₂
 bb₅ R=Cl, D=CH₂NH₂
 bb₆ R=OMe, D=CH₂NH₂
 bb₇ R=F, D=C(=NH)NH₂
 bb₈ R=Cl, D=C(=NH)NH₂
 bb₉ R=OMe, D=C(=NH)NH₂
 bb₁₀ R=F, D=C(O)NH₂
 bb₁₁ R=Cl, D=C(O)NH₂
 bb₁₂ R=OMe, D=C(O)NH₂



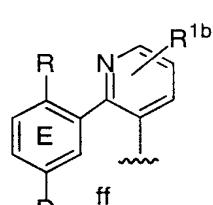
cc₁ R=F, D=NH₂
 cc₂ R=Cl, D=NH₂
 cc₃ R=OMe, D=NH₂
 cc₄ R=F, D=CH₂NH₂
 cc₅ R=Cl, D=CH₂NH₂
 cc₆ R=OMe, D=CH₂NH₂
 cc₇ R=F, D=C(=NH)NH₂
 cc₈ R=Cl, D=C(=NH)NH₂
 cc₉ R=OMe, D=C(=NH)NH₂
 cc₁₀ R=F, D=C(O)NH₂
 cc₁₁ R=Cl, D=C(O)NH₂
 cc₁₂ R=OMe, D=C(O)NH₂



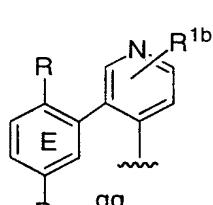
dd₁ R=F, D=NH₂
 dd₂ R=Cl, D=NH₂
 dd₃ R=OMe, D=NH₂
 dd₄ R=F, D=CH₂NH₂
 dd₅ R=Cl, D=CH₂NH₂
 dd₆ R=OMe, D=CH₂NH₂
 dd₇ R=F, D=C(=NH)NH₂
 dd₈ R=Cl, D=C(=NH)NH₂
 dd₉ R=OMe, D=C(=NH)NH₂
 dd₁₀ R=F, D=C(O)NH₂
 dd₁₁ R=Cl, D=C(O)NH₂
 dd₁₂ R=OMe, D=C(O)NH₂



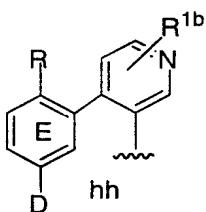
ee₁ R=F, D=CH₂NH₂
 ee₂ R=Cl, D=CH₂NH₂
 ee₃ R=OMe, D=CH₂NH₂
 ee₄ R=CH₂NH₂,
 D=CH₂NH₂



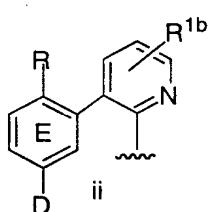
ff₁ R=F, D=CH₂NH₂
 ff₂ R=Cl, D=CH₂NH₂
 ff₃ R=OMe, D=CH₂NH₂
 ff₄ R=CH₂NH₂,
 D=CH₂NH₂



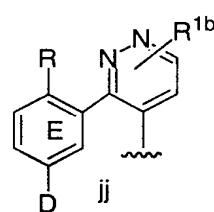
gg₁ R=F, D=CH₂NH₂
 gg₂ R=Cl, D=CH₂NH₂
 gg₃ R=OMe, D=CH₂NH₂
 gg₄ R=CH₂NH₂,
 D=CH₂NH₂



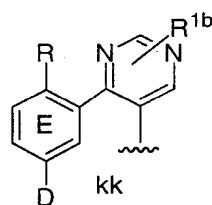
hh₁ R=F, D=CH₂NH₂
 hh₂ R=Cl, D=CH₂NH₂
 hh₃ R=OMe, D=CH₂NH₂
 hh₄ R=CH₂NH₂,
 D=CH₂NH₂



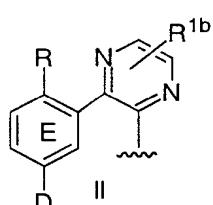
ii₁ R=F, D=CH₂NH₂
 ii₂ R=Cl, D=CH₂NH₂
 ii₃ R=OMe, D=CH₂NH₂
 ii₄ R=CH₂NH₂,
 D=CH₂NH₂



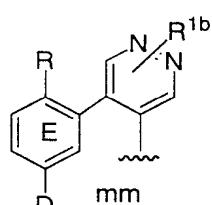
jj₁ R=F, D=CH₂NH₂
 jj₂ R=Cl, D=CH₂NH₂
 jj₃ R=OMe, D=CH₂NH₂
 jj₄ R=CH₂NH₂,
 D=CH₂NH₂



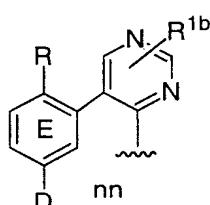
kk₁ R=F, D=CH₂NH₂
 kk₂ R=Cl, D=CH₂NH₂
 kk₃ R=OMe, D=CH₂NH₂
 kk₄ R=CH₂NH₂,
 D=CH₂NH₂



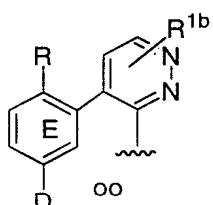
ll₁ R=F, D=CH₂NH₂
 ll₂ R=Cl, D=CH₂NH₂
 ll₃ R=OMe, D=CH₂NH₂
 ll₄ R=CH₂NH₂,
 D=CH₂NH₂



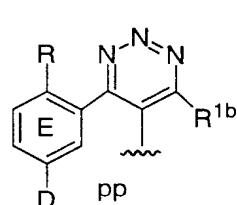
mm₁ R=F, D=CH₂NH₂
 mm₂ R=Cl, D=CH₂NH₂
 mm₃ R=OMe, D=CH₂NH₂
 mm₄ R=CH₂NH₂,
 D=CH₂NH₂



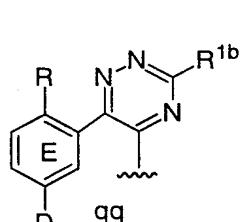
nn₁ R=F, D=CH₂NH₂
 nn₂ R=Cl, D=CH₂NH₂
 nn₃ R=OMe, D=CH₂NH₂
 nn₄ R=CH₂NH₂,
 D=CH₂NH₂



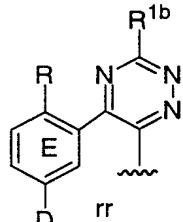
oo₁ R=F, D=CH₂NH₂
 oo₂ R=Cl, D=CH₂NH₂
 oo₃ R=OMe, D=CH₂NH₂
 oo₄ R=CH₂NH₂,
 D=CH₂NH₂



pp₁ R=F, D=CH₂NH₂
 pp₂ R=Cl, D=CH₂NH₂
 pp₃ R=OMe, D=CH₂NH₂
 pp₄ R=CH₂NH₂,
 D=CH₂NH₂



qq₁ R=F, D=CH₂NH₂
 qq₂ R=Cl, D=CH₂NH₂
 qq₃ R=OMe, D=CH₂NH₂
 qq₄ R=CH₂NH₂,
 D=CH₂NH₂



rr₁ R=F, D=CH₂NH₂
 rr₂ R=Cl, D=CH₂NH₂
 rr₃ R=OMe, D=CH₂NH₂
 rr₄ R=CH₂NH₂,
 D=CH₂NH₂



ss₁ R=F, D=CH₂NH₂
 ss₂ R=Cl, D=CH₂NH₂
 ss₃ R=OMe, D=CH₂NH₂
 ss₄ R=CH₂NH₂,
 D=CH₂NH₂

5	Ex#	R ^{1b}	A	B
	1	H	phenyl	2-(aminosulfonyl)phenyl
	2	H	phenyl	2-(methylaminosulfonyl)phenyl
	3	H	phenyl	1-pyrrolidinocarbonyl
	4	H	phenyl	2-(methylsulfonyl)phenyl
10	5	H	phenyl	4-morpholino
	6	H	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	7	H	phenyl	4-morpholinocarbonyl
	8	H	2-pyridyl	2-(aminosulfonyl)phenyl
	9	H	2-pyridyl	2-(methylaminosulfonyl)phenyl
15	10	H	2-pyridyl	1-pyrrolidinocarbonyl
	11	H	2-pyridyl	2-(methylsulfonyl)phenyl
	12	H	2-pyridyl	4-morpholino

13	H	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
14	H	2-pyridyl	4-morpholinocarbonyl
15	H	3-pyridyl	2-(aminosulfonyl)phenyl
16	H	3-pyridyl	2-(methylaminosulfonyl)phenyl
5	17	H	1-pyrrolidinocarbonyl
	18	H	2-(methylsulfonyl)phenyl
	19	H	4-morpholino
	20	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
10	21	H	4-morpholinocarbonyl
	22	H	2-(aminosulfonyl)phenyl
	23	H	2-(methylaminosulfonyl)phenyl
	24	H	1-pyrrolidinocarbonyl
	25	H	2-(methylsulfonyl)phenyl
15	26	H	4-morpholino
	27	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	28	H	4-morpholinocarbonyl
	29	H	2-(aminosulfonyl)phenyl
	30	H	2-(methylaminosulfonyl)phenyl
20	31	H	1-pyrrolidinocarbonyl
	32	H	2-(methylsulfonyl)phenyl
	33	H	4-morpholino
	34	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	35	H	4-morpholinocarbonyl
	36	H	2-(aminosulfonyl)phenyl
25	37	H	2-(methylaminosulfonyl)phenyl
	38	H	1-pyrrolidinocarbonyl
	39	H	2-(methylsulfonyl)phenyl
	40	H	4-morpholino
	41	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
30	42	H	4-morpholinocarbonyl
	43	H	2-(aminosulfonyl)phenyl
	44	H	2-(methylaminosulfonyl)phenyl
	45	H	1-pyrrolidinocarbonyl
	46	H	2-(methylsulfonyl)phenyl
35	47	H	4-morpholino
	48	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	49	H	4-morpholinocarbonyl
	50	H	2,5-diF-phenyl
	51	H	2,5-diF-phenyl
40	52	H	2,5-diF-phenyl
	53	H	1-pyrrolidinocarbonyl
	54	H	2-(methylsulfonyl)phenyl
	55	H	4-morpholino
	56	H	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
45	57	-CN	4-morpholinocarbonyl
	58	-CN	2-(aminosulfonyl)phenyl
	59	-CN	2-(methylaminosulfonyl)phenyl
	60	-CN	1-pyrrolidinocarbonyl
	61	-CN	2-(methylsulfonyl)phenyl
50	62	-CN	4-morpholino
	63	-CN	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	64	-CN	4-morpholinocarbonyl
	65	-CN	2-(aminosulfonyl)phenyl
	66	-CN	2-(methylaminosulfonyl)phenyl
55	67	-CN	1-pyrrolidinocarbonyl
	68	-CN	2-(methylsulfonyl)phenyl
			4-morpholino

69	-CN	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
70	-CN	2-pyridyl	4-morpholinocarbonyl
71	-CN	3-pyridyl	2-(aminosulfonyl)phenyl
72	-CN	3-pyridyl	2-(methylaminosulfonyl)phenyl
5	73	-CN	1-pyrrolidinocarbonyl
	74	-CN	2-(methylsulfonyl)phenyl
10	75	-CN	4-morpholino
	76	-CN	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
15	77	-CN	4-morpholinocarbonyl
	78	-CN	2-(aminosulfonyl)phenyl
20	79	-CN	2-(methylaminosulfonyl)phenyl
	80	-CN	1-pyrrolidinocarbonyl
25	81	-CN	2-(methylsulfonyl)phenyl
	82	-CN	4-morpholino
30	83	-CN	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	84	-CN	4-morpholinocarbonyl
35	85	-CN	2-(aminosulfonyl)phenyl
	86	-CN	2-(methylaminosulfonyl)phenyl
40	87	-CN	1-pyrrolidinocarbonyl
	88	-CN	2-(methylsulfonyl)phenyl
45	89	-CN	4-morpholino
	90	-CN	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
50	91	-CN	4-morpholinocarbonyl
	92	-CN	2-(aminosulfonyl)phenyl
55	93	-CN	2-Cl-phenyl
	94	-CN	2-Cl-phenyl
60	95	-CN	2-Cl-phenyl
	96	-CN	2-Cl-phenyl
65	97	-CN	2-Cl-phenyl
	98	-CN	2-Cl-phenyl
70	99	-CN	2-F-phenyl
	100	-CN	2-F-phenyl
75	101	-CN	2-F-phenyl
	102	-CN	2-F-phenyl
80	103	-CN	2-F-phenyl
	104	-CN	2-F-phenyl
85	105	-CN	2-F-phenyl
	106	-CN	2,5-diF-phenyl
90	107	-CN	2,5-diF-phenyl
	108	-CN	2,5-diF-phenyl
95	109	-CN	2,5-diF-phenyl
	110	-CN	2,5-diF-phenyl
100	111	-CN	2,5-diF-phenyl
	112	-CN	2,5-diF-phenyl
105	113	CF ₃	phenyl
	114	CF ₃	phenyl
110	115	CF ₃	phenyl
	116	CF ₃	phenyl
115	117	CF ₃	phenyl
	118	CF ₃	phenyl
120	119	CF ₃	phenyl
	120	CF ₃	2-pyridyl
125	121	CF ₃	2-pyridyl
	122	CF ₃	2-pyridyl
130	123	CF ₃	2-pyridyl
			2-(methylsulfonyl)phenyl

124	CF ₃	2-pyridyl	4-morpholino
125	CF ₃	2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
126	CF ₃	2-pyridyl	4-morpholinocarbonyl
127	CF ₃	3-pyridyl	2-(aminosulfonyl)phenyl
5	128	CF ₃	2-(methylaminosulfonyl)phenyl
	129	CF ₃	3-pyridyl
	130	CF ₃	1-pyrrolidinocarbonyl
	131	CF ₃	2-(methylsulfonyl)phenyl
10	132	CF ₃	4-morpholino
	133	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	134	CF ₃	4-morpholinocarbonyl
	135	CF ₃	2-(aminosulfonyl)phenyl
	136	CF ₃	2-(methylaminosulfonyl)phenyl
15	137	CF ₃	1-pyrrolidinocarbonyl
	138	CF ₃	2-(methylsulfonyl)phenyl
	139	CF ₃	4-morpholino
	140	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	141	CF ₃	4-morpholinocarbonyl
	142	CF ₃	2-(aminosulfonyl)phenyl
20	143	CF ₃	2-(methylaminosulfonyl)phenyl
	144	CF ₃	1-pyrrolidinocarbonyl
	145	CF ₃	2-(methylsulfonyl)phenyl
	146	CF ₃	4-morpholino
25	147	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	148	CF ₃	4-morpholinocarbonyl
	149	CF ₃	2-(aminosulfonyl)phenyl
	150	CF ₃	2-(methylaminosulfonyl)phenyl
	151	CF ₃	1-pyrrolidinocarbonyl
30	152	CF ₃	2-(methylsulfonyl)phenyl
	153	CF ₃	4-morpholino
	154	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	155	CF ₃	4-morpholinocarbonyl
	156	CF ₃	2-(aminosulfonyl)phenyl
	157	CF ₃	2-(methylaminosulfonyl)phenyl
35	158	CF ₃	1-pyrrolidinocarbonyl
	159	CF ₃	2-(methylsulfonyl)phenyl
	160	CF ₃	4-morpholino
	161	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	162	CF ₃	4-morpholinocarbonyl
40	163	CF ₃	2-(aminosulfonyl)phenyl
	164	CF ₃	2-(methylaminosulfonyl)phenyl
	165	CF ₃	1-pyrrolidinocarbonyl
	166	CF ₃	2-(methylsulfonyl)phenyl
	167	CF ₃	4-morpholino
45	168	CF ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	169	CONH ₂	4-morpholinocarbonyl
	170	CONH ₂	2-(aminosulfonyl)phenyl
	171	CONH ₂	2-(methylaminosulfonyl)phenyl
	172	CONH ₂	1-pyrrolidinocarbonyl
50	173	CONH ₂	2-(methylsulfonyl)phenyl
	174	CONH ₂	4-morpholino
	175	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
			4-morpholinocarbonyl

176	CONH ₂	2-pyridyl	2-(aminosulfonyl)phenyl
177	CONH ₂	2-pyridyl	2-(methylaminosulfonyl)phenyl
178	CONH ₂	2-pyridyl	1-pyrrolidinocarbonyl
179	CONH ₂	2-pyridyl	2-(methylsulfonyl)phenyl
5	180	CONH ₂	4-morpholino
	181	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	182	CONH ₂	4-morpholinocarbonyl
	183	CONH ₂	2-(aminosulfonyl)phenyl
	184	CONH ₂	2-(methylaminosulfonyl)phenyl
10	185	CONH ₂	1-pyrrolidinocarbonyl
	186	CONH ₂	2-(methylsulfonyl)phenyl
	187	CONH ₂	4-morpholino
	188	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	189	CONH ₂	4-morpholinocarbonyl
15	190	CONH ₂	2-(aminosulfonyl)phenyl
	191	CONH ₂	2-(methylaminosulfonyl)phenyl
	192	CONH ₂	1-pyrrolidinocarbonyl
	193	CONH ₂	2-(methylsulfonyl)phenyl
	194	CONH ₂	4-morpholino
20	195	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	196	CONH ₂	4-morpholinocarbonyl
	197	CONH ₂	2-(aminosulfonyl)phenyl
	198	CONH ₂	2-(methylaminosulfonyl)phenyl
	199	CONH ₂	1-pyrrolidinocarbonyl
25	200	CONH ₂	2-(methylsulfonyl)phenyl
	201	CONH ₂	4-morpholino
	202	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	203	CONH ₂	4-morpholinocarbonyl
	204	CONH ₂	2-Cl-phenyl
30	205	CONH ₂	2-Cl-phenyl
	206	CONH ₂	2-Cl-phenyl
	207	CONH ₂	2-Cl-phenyl
	208	CONH ₂	2-Cl-phenyl
	209	CONH ₂	2-Cl-phenyl
35	210	CONH ₂	2-Cl-phenyl
	211	CONH ₂	2-F-phenyl
	212	CONH ₂	2-F-phenyl
	213	CONH ₂	2-F-phenyl
	214	CONH ₂	2-F-phenyl
40	215	CONH ₂	2-F-phenyl
	216	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	217	CONH ₂	4-morpholinocarbonyl
	218	CONH ₂	2-(aminosulfonyl)phenyl
	219	CONH ₂	2-(methylaminosulfonyl)phenyl
45	220	CONH ₂	1-pyrrolidinocarbonyl
	221	CONH ₂	2-(methylsulfonyl)phenyl
	222	CONH ₂	4-morpholino
	223	CONH ₂	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	224	CONH ₂	4-morpholinocarbonyl
50	225	SCH ₃	2-(aminosulfonyl)phenyl
	226	SCH ₃	2-(methylaminosulfonyl)phenyl
	227	SCH ₃	1-pyrrolidinocarbonyl

228	SCH ₃	phenyl	2-(methylsulfonyl)phenyl
229	SCH ₃	phenyl	4-morpholino
230	SCH ₃	phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
231	SCH ₃	phenyl	4-morpholinocarbonyl
5	232	SCH ₃ 2-pyridyl	2-(aminosulfonyl)phenyl
	233	SCH ₃ 2-pyridyl	2-(methylaminosulfonyl)phenyl
	234	SCH ₃ 2-pyridyl	1-pyrrolidinocarbonyl
	235	SCH ₃ 2-pyridyl	2-(methylsulfonyl)phenyl
	236	SCH ₃ 2-pyridyl	4-morpholino
10	237	SCH ₃ 2-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	238	SCH ₃ 2-pyridyl	4-morpholinocarbonyl
	239	SCH ₃ 3-pyridyl	2-(aminosulfonyl)phenyl
	240	SCH ₃ 3-pyridyl	2-(methylaminosulfonyl)phenyl
	241	SCH ₃ 3-pyridyl	1-pyrrolidinocarbonyl
15	242	SCH ₃ 3-pyridyl	2-(methylsulfonyl)phenyl
	243	SCH ₃ 3-pyridyl	4-morpholino
	244	SCH ₃ 3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	245	SCH ₃ 3-pyridyl	4-morpholinocarbonyl
	246	SCH ₃ 2-pyrimidyl	2-(aminosulfonyl)phenyl
20	247	SCH ₃ 2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	248	SCH ₃ 2-pyrimidyl	1-pyrrolidinocarbonyl
	249	SCH ₃ 2-pyrimidyl	2-(methylsulfonyl)phenyl
	250	SCH ₃ 2-pyrimidyl	4-morpholino
	251	SCH ₃ 2-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
25	252	SCH ₃ 2-pyrimidyl	4-morpholinocarbonyl
	253	SCH ₃ 5-pyrimidyl	2-(aminosulfonyl)phenyl
	254	SCH ₃ 5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	255	SCH ₃ 5-pyrimidyl	1-pyrrolidinocarbonyl
	256	SCH ₃ 5-pyrimidyl	2-(methylsulfonyl)phenyl
30	257	SCH ₃ 5-pyrimidyl	4-morpholino
	258	SCH ₃ 5-pyrimidyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	259	SCH ₃ 5-pyrimidyl	4-morpholinocarbonyl
	260	SCH ₃ 2-Cl-phenyl	2-(aminosulfonyl)phenyl
	261	SCH ₃ 2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
35	262	SCH ₃ 2-Cl-phenyl	1-pyrrolidinocarbonyl
	263	SCH ₃ 2-Cl-phenyl	2-(methylsulfonyl)phenyl
	264	SCH ₃ 2-Cl-phenyl	4-morpholino
	265	SCH ₃ 2-Cl-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	266	SCH ₃ 2-Cl-phenyl	4-morpholinocarbonyl
40	267	SCH ₃ 2-F-phenyl	2-(aminosulfonyl)phenyl
	268	SCH ₃ 2-F-phenyl	2-(methylaminosulfonyl)phenyl
	269	SCH ₃ 2-F-phenyl	1-pyrrolidinocarbonyl
	270	SCH ₃ 2-F-phenyl	2-(methylsulfonyl)phenyl
	271	SCH ₃ 2-F-phenyl	4-morpholino
45	272	SCH ₃ 2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	273	SCH ₃ 2-F-phenyl	4-morpholinocarbonyl
	274	SCH ₃ 2,5-diF-phenyl	2-(aminosulfonyl)phenyl
	275	SCH ₃ 2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
	276	SCH ₃ 2,5-diF-phenyl	1-pyrrolidinocarbonyl
50	277	SCH ₃ 2,5-diF-phenyl	2-(methylsulfonyl)phenyl
	278	SCH ₃ 2,5-diF-phenyl	4-morpholino
	279	SCH ₃ 2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl

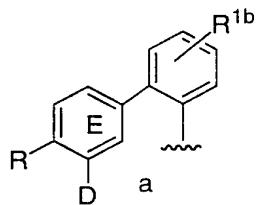
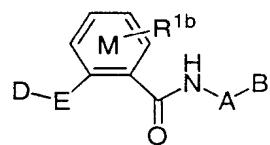
280	SCH ₃	2,5-diF-phenyl	4-morpholinocarbonyl
281	SO ₂ CH ₃	phenyl	2-(aminosulfonyl)phenyl
282	SO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
283	SO ₂ CH ₃	phenyl	1-pyrrolidinocarbonyl
5	284	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	285	SO ₂ CH ₃	4-morpholino
	286	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	287	SO ₂ CH ₃	4-morpholinocarbonyl
	288	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
10	289	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	290	SO ₂ CH ₃	1-pyrrolidinocarbonyl
	291	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	292	SO ₂ CH ₃	4-morpholino
	293	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
15	294	SO ₂ CH ₃	4-morpholinocarbonyl
	295	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
	296	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	297	SO ₂ CH ₃	1-pyrrolidinocarbonyl
	298	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
20	299	SO ₂ CH ₃	4-morpholino
	300	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	301	SO ₂ CH ₃	4-morpholinocarbonyl
	302	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
	303	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
25	304	SO ₂ CH ₃	1-pyrrolidinocarbonyl
	305	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	306	SO ₂ CH ₃	4-morpholino
	307	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	308	SO ₂ CH ₃	4-morpholinocarbonyl
30	309	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
	310	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	311	SO ₂ CH ₃	1-pyrrolidinocarbonyl
	312	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	313	SO ₂ CH ₃	4-morpholino
35	314	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	315	SO ₂ CH ₃	4-morpholinocarbonyl
	316	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
	317	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	318	SO ₂ CH ₃	1-pyrrolidinocarbonyl
40	319	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	320	SO ₂ CH ₃	4-morpholino
	321	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	322	SO ₂ CH ₃	4-morpholinocarbonyl
	323	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
45	324	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	325	SO ₂ CH ₃	1-pyrrolidinocarbonyl
	326	SO ₂ CH ₃	2-(methylsulfonyl)phenyl
	327	SO ₂ CH ₃	4-morpholino
	328	SO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
50	329	SO ₂ CH ₃	4-morpholinocarbonyl
	330	SO ₂ CH ₃	2-(aminosulfonyl)phenyl
	331	SO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
		2,5-diF-phenyl	
		2,5-diF-phenyl	

332	SO ₂ CH ₃	2,5-diF-phenyl	1-pyrrolidinocarbonyl
333	SO ₂ CH ₃	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
334	SO ₂ CH ₃	2,5-diF-phenyl	4-morpholino
335	SO ₂ CH ₃	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
5	336	SO ₂ CH ₃	2,5-diF-phenyl
		4-morpholinocarbonyl	4-morpholinocarbonyl
		phenyl	2-(aminosulfonyl)phenyl
		phenyl	2-(methylaminosulfonyl)phenyl
		phenyl	1-pyrrolidinocarbonyl
		phenyl	2-(methylsulfonyl)phenyl
10	340	NHSO ₂ CH ₃	phenyl
	341	NHSO ₂ CH ₃	4-morpholino
	342	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	343	NHSO ₂ CH ₃	4-morpholinocarbonyl
	344	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
15	345	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	346	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
	347	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
	348	NHSO ₂ CH ₃	4-morpholino
	349	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
20	350	NHSO ₂ CH ₃	4-morpholinocarbonyl
	351	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
	352	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	353	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
	354	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
25	355	NHSO ₂ CH ₃	4-morpholino
	356	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	357	NHSO ₂ CH ₃	4-morpholinocarbonyl
	358	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
	359	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	360	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
30	361	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
	362	NHSO ₂ CH ₃	4-morpholino
	363	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	364	NHSO ₂ CH ₃	4-morpholinocarbonyl
	365	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
35	366	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	367	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
	368	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
	369	NHSO ₂ CH ₃	4-morpholino
	370	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
40	371	NHSO ₂ CH ₃	4-morpholinocarbonyl
	372	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
	373	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
	374	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
	375	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
45	376	NHSO ₂ CH ₃	4-morpholino
	377	NHSO ₂ CH ₃	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	378	NHSO ₂ CH ₃	4-morpholinocarbonyl
	379	NHSO ₂ CH ₃	2-(aminosulfonyl)phenyl
	380	NHSO ₂ CH ₃	2-(methylaminosulfonyl)phenyl
50	381	NHSO ₂ CH ₃	1-pyrrolidinocarbonyl
	382	NHSO ₂ CH ₃	2-(methylsulfonyl)phenyl
	383	NHSO ₂ CH ₃	4-morpholino
		2-F-phenyl	

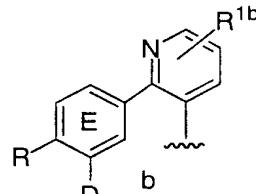
384	NHSO ₂ CH ₃	2-F-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl	
385	NHSO ₂ CH ₃	2-F-phenyl	4-morpholinocarbonyl	
386	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(aminosulfonyl)phenyl	
387	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl	
5	388	NHSO ₂ CH ₃	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	389	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
	390	NHSO ₂ CH ₃	2,5-diF-phenyl	4-morpholino
	391	NHSO ₂ CH ₃	2,5-diF-phenyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl
	392	NHSO ₂ CH ₃	2,5-diF-phenyl	4-morpholinocarbonyl

10

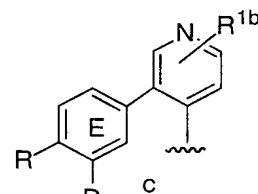
Table 5



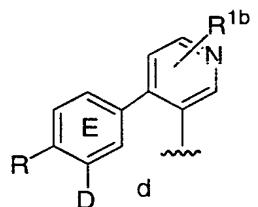
a_1 R=F, D=NH₂
 a_2 R=H, D=NH₂
 a_3 R=F, D=CH₂NH₂
 a_4 R=H, D=CH₂NH₂
 a_5 R=F, D=C(=NH)NH₂
 a_6 R=H, D=C(=NH)NH₂
 a_7 R=F, D=C(O)NH₂
 a_8 R=H, D=C(O)NH₂



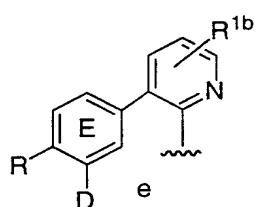
b_1 R=F, D=NH₂
 b_2 R=H, D=NH₂
 b_3 R=F, D=CH₂NH₂
 b_4 R=H, D=CH₂NH₂
 b_5 R=F, D=C(=NH)NH₂
 b_6 R=H, D=C(=NH)NH₂
 b_7 R=F, D=C(O)NH₂
 b_8 R=H, D=C(O)NH₂



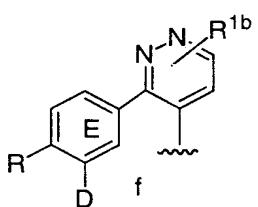
c_1 R=F, D=NH₂
 c_2 R=H, D=NH₂
 c_3 R=F, D=CH₂NH₂
 c_4 R=H, D=CH₂NH₂
 c_5 R=F, D=C(=NH)NH₂
 c_6 R=H, D=C(=NH)NH₂
 c_7 R=F, D=C(O)NH₂
 c_8 R=H, D=C(O)NH₂



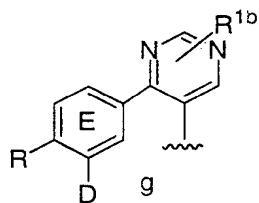
d_1 R=F, D=NH₂
 d_2 R=H, D=NH₂
 d_3 R=F, D=CH₂NH₂
 d_4 R=H, D=CH₂NH₂
 d_5 R=F, D=C(=NH)NH₂
 d_6 R=H, D=C(=NH)NH₂
 d_7 R=F, D=C(O)NH₂
 d_8 R=H, D=C(O)NH₂



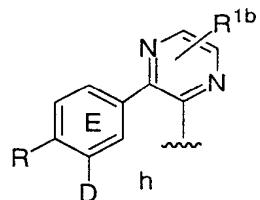
e_1 R=F, D=NH₂
 e_2 R=H, D=NH₂
 e_3 R=F, D=CH₂NH₂
 e_4 R=H, D=CH₂NH₂
 e_5 R=F, D=C(=NH)NH₂
 e_6 R=H, D=C(=NH)NH₂
 e_7 R=F, D=C(O)NH₂
 e_8 R=H, D=C(O)NH₂



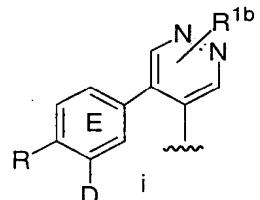
f_1 R=F, D=NH₂
 f_2 R=H, D=NH₂
 f_3 R=F, D=CH₂NH₂
 f_4 R=H, D=CH₂NH₂
 f_5 R=F, D=C(=NH)NH₂
 f_6 R=H, D=C(=NH)NH₂
 f_7 R=F, D=C(O)NH₂
 f_8 R=H, D=C(O)NH₂



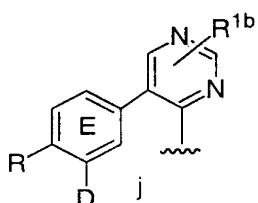
g₁ R=F, D=NH₂
 g₂ R=H, D=NH₂
 g₃ R=F, D=CH₂NH₂
 g₄ R=H, D=CH₂NH₂
 g₅ R=F, D=C(=NH)NH₂
 g₆ R=H, D=C(=NH)NH₂
 g₇ R=F, D=C(O)NH₂
 g₈ R=H, D=C(O)NH₂



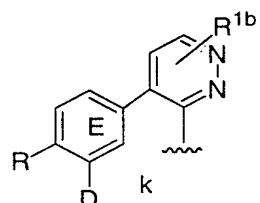
h₁ R=F, D=NH₂
 h₂ R=H, D=NH₂
 h₃ R=F, D=CH₂NH₂
 h₄ R=H, D=CH₂NH₂
 h₅ R=F, D=C(=NH)NH₂
 h₆ R=H, D=C(=NH)NH₂
 h₇ R=F, D=C(O)NH₂
 h₈ R=H, D=C(O)NH₂



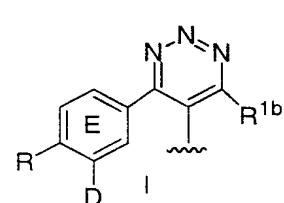
i₁ R=F, D=NH₂
 i₂ R=H, D=NH₂
 i₃ R=F, D=CH₂NH₂
 i₄ R=H, D=CH₂NH₂
 i₅ R=F, D=C(=NH)NH₂
 i₆ R=H, D=C(=NH)NH₂
 i₇ R=F, D=C(O)NH₂
 i₈ R=H, D=C(O)NH₂



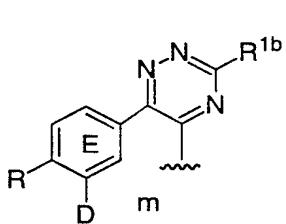
j₁ R=F, D=NH₂
 j₂ R=H, D=NH₂
 j₃ R=F, D=CH₂NH₂
 j₄ R=H, D=CH₂NH₂
 j₅ R=F, D=C(=NH)NH₂
 j₆ R=H, D=C(=NH)NH₂
 j₇ R=F, D=C(O)NH₂
 j₈ R=H, D=C(O)NH₂



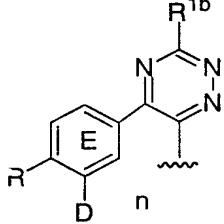
k₁ R=F, D=NH₂
 k₂ R=H, D=NH₂
 k₃ R=F, D=CH₂NH₂
 k₄ R=H, D=CH₂NH₂
 k₅ R=F, D=C(=NH)NH₂
 k₆ R=H, D=C(=NH)NH₂
 k₇ R=F, D=C(O)NH₂
 k₈ R=H, D=C(O)NH₂



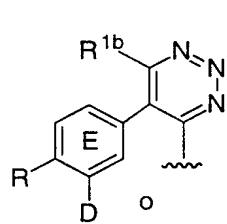
l₁ R=F, D=NH₂
 l₂ R=H, D=NH₂
 l₃ R=F, D=CH₂NH₂
 l₄ R=H, D=CH₂NH₂
 l₅ R=F, D=C(=NH)NH₂
 l₆ R=H, D=C(=NH)NH₂
 l₇ R=F, D=C(O)NH₂
 l₈ R=H, D=C(O)NH₂



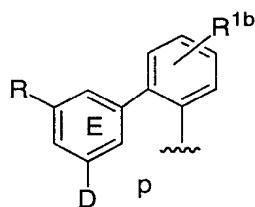
m₁ R=F, D=NH₂
 m₂ R=H, D=NH₂
 m₃ R=F, D=CH₂NH₂
 m₄ R=H, D=CH₂NH₂
 m₅ R=F, D=C(=NH)NH₂
 m₆ R=H, D=C(=NH)NH₂
 m₇ R=F, D=C(O)NH₂
 m₈ R=H, D=C(O)NH₂



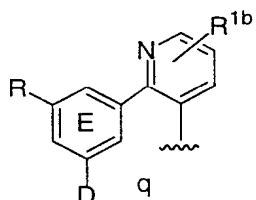
n₁ R=F, D=NH₂
 n₂ R=H, D=NH₂
 n₃ R=F, D=CH₂NH₂
 n₄ R=H, D=CH₂NH₂
 n₅ R=F, D=C(=NH)NH₂
 n₆ R=H, D=C(=NH)NH₂
 n₇ R=F, D=C(O)NH₂
 n₈ R=H, D=C(O)NH₂



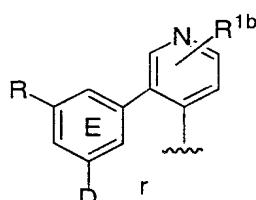
o₁ R=F, D=NH₂
 o₂ R=H, D=NH₂
 o₃ R=F, D=CH₂NH₂
 o₄ R=H, D=CH₂NH₂
 o₅ R=F, D=C(=NH)NH₂
 o₆ R=H, D=C(=NH)NH₂
 o₇ R=F, D=C(O)NH₂
 o₈ R=H, D=C(O)NH₂



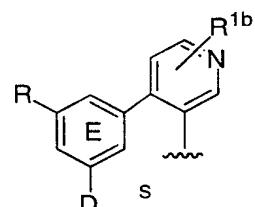
p_1 R=F, D=NH₂
 p_2 R=Cl, D=NH₂
 p_3 R=OMe, D=NH₂
 p_4 R=F, D=CH₂NH₂
 p_5 R=Cl, D=CH₂NH₂
 p_6 R=OMe, D=CH₂NH₂
 p_7 R=F, D=C(=NH)NH₂
 p_8 R=Cl, D=C(=NH)NH₂
 p_9 R=OMe, D=C(=NH)NH₂
 p_{10} R=F, D=C(O)NH₂
 p_{11} R=Cl, D=C(O)NH₂
 p_{12} R=OMe, D=C(O)NH₂



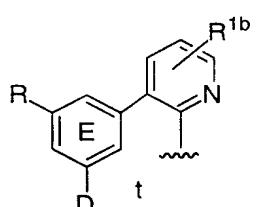
q_1 R=F, D=NH₂
 q_2 R=Cl, D=NH₂
 q_3 R=OMe, D=NH₂
 q_4 R=F, D=CH₂NH₂
 q_5 R=Cl, D=CH₂NH₂
 q_6 R=OMe, D=CH₂NH₂
 q_7 R=F, D=C(=NH)NH₂
 q_8 R=Cl, D=C(=NH)NH₂
 q_9 R=OMe, D=C(=NH)NH₂
 q_{10} R=F, D=C(O)NH₂
 q_{11} R=Cl, D=C(O)NH₂
 q_{12} R=OMe, D=C(O)NH₂



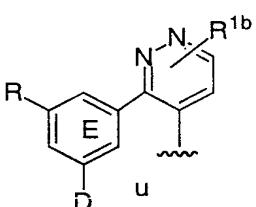
r_1 R=F, D=NH₂
 r_2 R=Cl, D=NH₂
 r_3 R=OMe, D=NH₂
 r_4 R=F, D=CH₂NH₂
 r_5 R=Cl, D=CH₂NH₂
 r_6 R=OMe, D=CH₂NH₂
 r_7 R=F, D=C(=NH)NH₂
 r_8 R=Cl, D=C(=NH)NH₂
 r_9 R=OMe, D=C(=NH)NH₂
 r_{10} R=F, D=C(O)NH₂
 r_{11} R=Cl, D=C(O)NH₂
 r_{12} R=OMe, D=C(O)NH₂



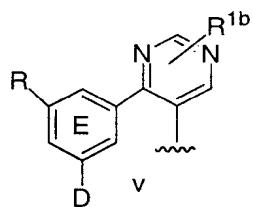
s_1 R=F, D=NH₂
 s_2 R=Cl, D=NH₂
 s_3 R=OMe, D=NH₂
 s_4 R=F, D=CH₂NH₂
 s_5 R=Cl, D=CH₂NH₂
 s_6 R=OMe, D=CH₂NH₂
 s_7 R=F, D=C(=NH)NH₂
 s_8 R=Cl, D=C(=NH)NH₂
 s_9 R=OMe, D=C(=NH)NH₂
 s_{10} R=F, D=C(O)NH₂
 s_{11} R=Cl, D=C(O)NH₂
 s_{12} R=OMe, D=C(O)NH₂



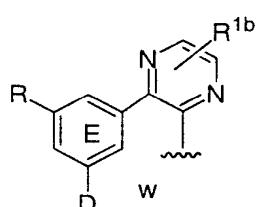
t_1 R=F, D=NH₂
 t_2 R=Cl, D=NH₂
 t_3 R=OMe, D=NH₂
 t_4 R=F, D=CH₂NH₂
 t_5 R=Cl, D=CH₂NH₂
 t_6 R=OMe, D=CH₂NH₂
 t_7 R=F, D=C(=NH)NH₂
 t_8 R=Cl, D=C(=NH)NH₂
 t_9 R=OMe, D=C(=NH)NH₂
 t_{10} R=F, D=C(O)NH₂
 t_{11} R=Cl, D=C(O)NH₂
 t_{12} R=OMe, D=C(O)NH₂



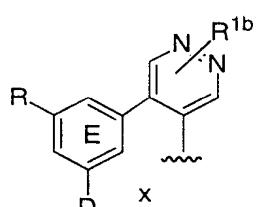
u_1 R=F, D=NH₂
 u_2 R=Cl, D=NH₂
 u_3 R=OMe, D=NH₂
 u_4 R=F, D=CH₂NH₂
 u_5 R=Cl, D=CH₂NH₂
 u_6 R=OMe, D=CH₂NH₂
 u_7 R=F, D=C(=NH)NH₂
 u_8 R=Cl, D=C(=NH)NH₂
 u_9 R=OMe, D=C(=NH)NH₂
 u_{10} R=F, D=C(O)NH₂
 u_{11} R=Cl, D=C(O)NH₂
 u_{12} R=OMe, D=C(O)NH₂



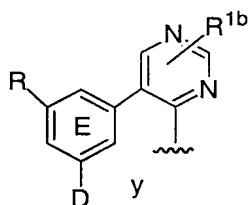
v_1 R=F, D=NH₂
 v_2 R=Cl, D=NH₂
 v_3 R=OMe, D=NH₂
 v_4 R=F, D=CH₂NH₂
 v_5 R=Cl, D=CH₂NH₂
 v_6 R=OMe, D=CH₂NH₂
 v_7 R=F, D=C(=NH)NH₂
 v_8 R=Cl, D=C(=NH)NH₂
 v_9 R=OMe, D=C(=NH)NH₂
 v_{10} R=F, D=C(O)NH₂
 v_{11} R=Cl, D=C(O)NH₂
 v_{12} R=OMe, D=C(O)NH₂



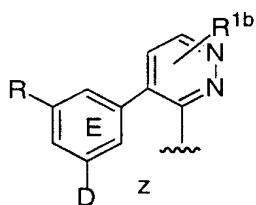
w_1 R=F, D=NH₂
 w_2 R=Cl, D=NH₂
 w_3 R=OMe, D=NH₂
 w_4 R=F, D=CH₂NH₂
 w_5 R=Cl, D=CH₂NH₂
 w_6 R=OMe, D=CH₂NH₂
 w_7 R=F, D=C(=NH)NH₂
 w_8 R=Cl, D=C(=NH)NH₂
 w_9 R=OMe, D=C(=NH)NH₂
 w_{10} R=F, D=C(O)NH₂
 w_{11} R=Cl, D=C(O)NH₂
 w_{12} R=OMe, D=C(O)NH₂



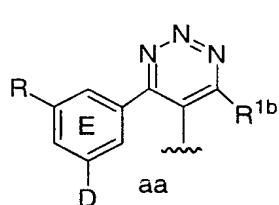
x_1 R=F, D=NH₂
 x_2 R=Cl, D=NH₂
 x_3 R=OMe, D=NH₂
 x_4 R=F, D=CH₂NH₂
 x_5 R=Cl, D=CH₂NH₂
 x_6 R=OMe, D=CH₂NH₂
 x_7 R=F, D=C(=NH)NH₂
 x_8 R=Cl, D=C(=NH)NH₂
 x_9 R=OMe, D=C(=NH)NH₂
 x_{10} R=F, D=C(O)NH₂
 x_{11} R=Cl, D=C(O)NH₂
 x_{12} R=OMe, D=C(O)NH₂



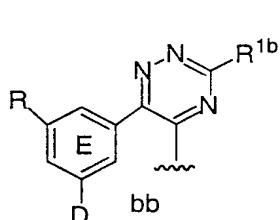
y_1 R=F, D=NH₂
 y_2 R=Cl, D=NH₂
 y_3 R=OMe, D=NH₂
 y_4 R=F, D=CH₂NH₂
 y_5 R=Cl, D=CH₂NH₂
 y_6 R=OMe, D=CH₂NH₂
 y_7 R=F, D=C(=NH)NH₂
 y_8 R=Cl, D=C(=NH)NH₂
 y_9 R=OMe, D=C(=NH)NH₂
 y_{10} R=F, D=C(O)NH₂
 y_{11} R=Cl, D=C(O)NH₂
 y_{12} R=OMe, D=C(O)NH₂



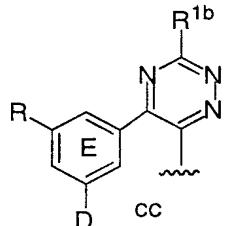
z_1 R=F, D=NH₂
 z_2 R=Cl, D=NH₂
 z_3 R=OMe, D=NH₂
 z_4 R=F, D=CH₂NH₂
 z_5 R=Cl, D=CH₂NH₂
 z_6 R=OMe, D=CH₂NH₂
 z_7 R=F, D=C(=NH)NH₂
 z_8 R=Cl, D=C(=NH)NH₂
 z_9 R=OMe, D=C(=NH)NH₂
 z_{10} R=F, D=C(O)NH₂
 z_{11} R=Cl, D=C(O)NH₂
 z_{12} R=OMe, D=C(O)NH₂



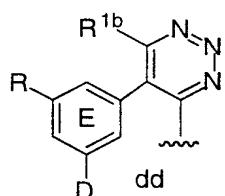
aa_1 R=F, D=NH₂
 aa_2 R=Cl, D=NH₂
 aa_3 R=OMe, D=NH₂
 aa_4 R=F, D=CH₂NH₂
 aa_5 R=Cl, D=CH₂NH₂
 aa_6 R=OMe, D=CH₂NH₂
 aa_7 R=F, D=C(=NH)NH₂
 aa_8 R=Cl, D=C(=NH)NH₂
 aa_9 R=OMe, D=C(=NH)NH₂
 aa_{10} R=F, D=C(O)NH₂
 aa_{11} R=Cl, D=C(O)NH₂
 aa_{12} R=OMe, D=C(O)NH₂



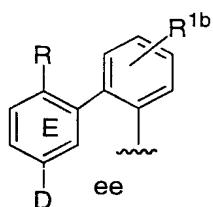
bb₁ R=F, D=NH₂
 bb₂ R=Cl, D=NH₂
 bb₃ R=OMe, D=NH₂
 bb₄ R=F, D=CH₂NH₂
 bb₅ R=Cl, D=CH₂NH₂
 bb₆ R=OMe, D=CH₂NH₂
 bb₇ R=F, D=C(=NH)NH₂
 bb₈ R=Cl, D=C(=NH)NH₂
 bb₉ R=OMe, D=C(=NH)NH₂
 bb₁₀ R=F, D=C(O)NH₂
 bb₁₁ R=Cl, D=C(O)NH₂
 bb₁₂ R=OMe, D=C(O)NH₂



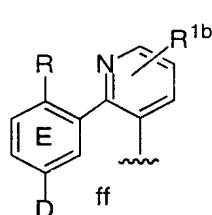
cc₁ R=F, D=NH₂
 cc₂ R=Cl, D=NH₂
 cc₃ R=OMe, D=NH₂
 cc₄ R=F, D=CH₂NH₂
 cc₅ R=Cl, D=CH₂NH₂
 cc₆ R=OMe, D=CH₂NH₂
 cc₇ R=F, D=C(=NH)NH₂
 cc₈ R=Cl, D=C(=NH)NH₂
 cc₉ R=OMe, D=C(=NH)NH₂
 cc₁₀ R=F, D=C(O)NH₂
 cc₁₁ R=Cl, D=C(O)NH₂
 cc₁₂ R=OMe, D=C(O)NH₂



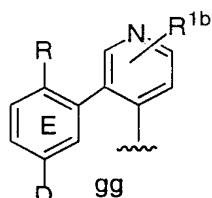
dd₁ R=F, D=NH₂
 dd₂ R=Cl, D=NH₂
 dd₃ R=OMe, D=NH₂
 dd₄ R=F, D=CH₂NH₂
 dd₅ R=Cl, D=CH₂NH₂
 dd₆ R=OMe, D=CH₂NH₂
 dd₇ R=F, D=C(=NH)NH₂
 dd₈ R=Cl, D=C(=NH)NH₂
 dd₉ R=OMe, D=C(=NH)NH₂
 dd₁₀ R=F, D=C(O)NH₂
 dd₁₁ R=Cl, D=C(O)NH₂
 dd₁₂ R=OMe, D=C(O)NH₂



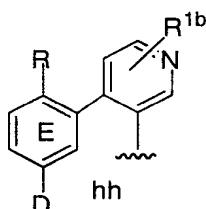
ee₁ R=F, D=CH₂NH₂
 ee₂ R=Cl, D=CH₂NH₂
 ee₃ R=OMe, D=CH₂NH₂
 ee₄ R=CH₂NH₂,
 D=CH₂NH₂



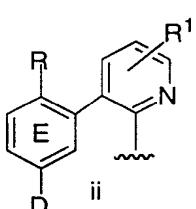
ff₁ R=F, D=CH₂NH₂
 ff₂ R=Cl, D=CH₂NH₂
 ff₃ R=OMe, D=CH₂NH₂
 ff₄ R=CH₂NH₂,
 D=CH₂NH₂



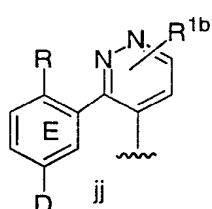
gg₁ R=F, D=CH₂NH₂
 gg₂ R=Cl, D=CH₂NH₂
 gg₃ R=OMe, D=CH₂NH₂
 gg₄ R=CH₂NH₂,
 D=CH₂NH₂



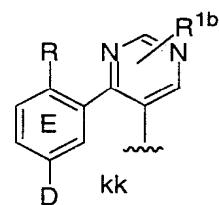
hh₁ R=F, D=CH₂NH₂
 hh₂ R=Cl, D=CH₂NH₂
 hh₃ R=OMe, D=CH₂NH₂
 hh₄ R=CH₂NH₂,
 D=CH₂NH₂



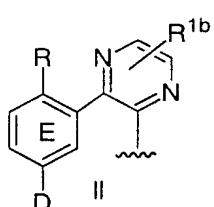
ii₁ R=F, D=CH₂NH₂
 ii₂ R=Cl, D=CH₂NH₂
 ii₃ R=OMe, D=CH₂NH₂
 ii₄ R=CH₂NH₂,
 D=CH₂NH₂



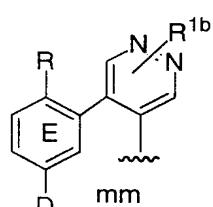
jj₁ R=F, D=CH₂NH₂
 jj₂ R=Cl, D=CH₂NH₂
 jj₃ R=OMe, D=CH₂NH₂
 jj₄ R=CH₂NH₂,
 D=CH₂NH₂



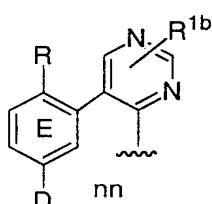
kk₁ R=F, D=CH₂NH₂
 kk₂ R=Cl, D=CH₂NH₂
 kk₃ R=OMe, D=CH₂NH₂
 kk₄ R=CH₂NH₂,
 D=CH₂NH₂



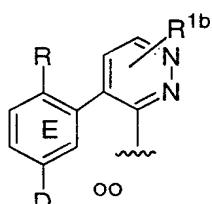
II₁ R=F, D=CH₂NH₂
 II₂ R=Cl, D=CH₂NH₂
 II₃ R=OMe, D=CH₂NH₂
 II₄ R=CH₂NH₂,
 D=CH₂NH₂



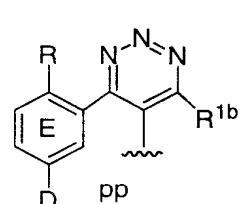
mm₁ R=F, D=CH₂NH₂
 mm₂ R=Cl, D=CH₂NH₂
 mm₃ R=OMe, D=CH₂NH₂
 mm₄ R=CH₂NH₂,
 D=CH₂NH₂



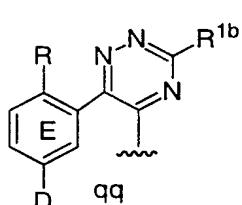
nn₁ R=F, D=CH₂NH₂
 nn₂ R=Cl, D=CH₂NH₂
 nn₃ R=OMe, D=CH₂NH₂
 nn₄ R=CH₂NH₂,
 D=CH₂NH₂



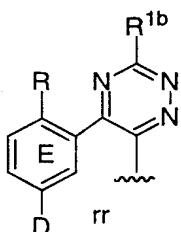
oo₁ R=F, D=CH₂NH₂
 oo₂ R=Cl, D=CH₂NH₂
 oo₃ R=OMe, D=CH₂NH₂
 oo₄ R=CH₂NH₂,
 D=CH₂NH₂



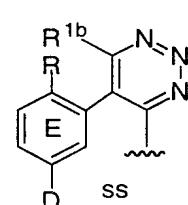
pp₁ R=F, D=CH₂NH₂
 pp₂ R=Cl, D=CH₂NH₂
 pp₃ R=OMe, D=CH₂NH₂
 pp₄ R=CH₂NH₂,
 D=CH₂NH₂



qq₁ R=F, D=CH₂NH₂
 qq₂ R=Cl, D=CH₂NH₂
 qq₃ R=OMe, D=CH₂NH₂
 qq₄ R=CH₂NH₂,
 D=CH₂NH₂



rr₁ R=F, D=CH₂NH₂
 rr₂ R=Cl, D=CH₂NH₂
 rr₃ R=OMe, D=CH₂NH₂
 rr₄ R=CH₂NH₂,
 D=CH₂NH₂



ss₁ R=F, D=CH₂NH₂
 ss₂ R=Cl, D=CH₂NH₂
 ss₃ R=OMe, D=CH₂NH₂
 ss₄ R=CH₂NH₂,
 D=CH₂NH₂

5	Ex#	R ^{1b}	A	B
10	1	H	phenyl	2-((Me) ₂ N-methyl)phenyl
	2	H	phenyl	2-((Me)NH-methyl)phenyl
	3	H	phenyl	2-(H ₂ N-methyl)phenyl
	4	H	phenyl	2-HOCH ₂ -phenyl
15	5	H	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
	6	H	2-F-phenyl	2-((Me)NH-methyl)phenyl
	7	H	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	8	H	2-F-phenyl	2-HOCH ₂ -phenyl
15	9	H	phenyl	2-methylimidazol-1-yl
	10	H	phenyl	2-ethylimidazol-1-yl
	11	H	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
	12	H	phenyl	2-CH ₃ NHSO ₂ -imidazol-1-yl

13	H	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl	
14	H	2-F-phenyl	2-methylimidazol-1-yl	
15	H	2-F-phenyl	2-ethylimidazol-1-yl	
16	H	2-F-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl	
5	17	H	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	18	H	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	19	H	2-C1-phenyl	2-methylimidazol-1-yl
	20	H	2-C1-phenyl	2-ethylimidazol-1-yl
	21	H	2-C1-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	22	H	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	23	H	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
10	24	H	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
	25	H	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
	26	H	2-(Me) ₂ N-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
	27	H	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	28	H	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	29	H	phenyl	N-methylimidazol-2-yl
	30	H	phenyl	4-methylimidazol-5-yl
15	31	H	phenyl	5-CF ₃ -pyrazol-1-yl
	32	H	2-F-phenyl	N-methylimidazol-2-yl
	33	H	2-F-phenyl	4-methylimidazol-5-yl
	34	H	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
	35	H	phenyl	guanidino
	36	H	phenyl	2-thiazolin-2-ylamine
	37	H	phenyl	N-methyl-2-imidazolin-2-yl
20	38	H	phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	39	H	phenyl	N-methylimidazol-2-ylthiol
	40	H	phenyl	t-butoxycarbonylamine
	41	H	phenyl	(N-pyrrolidino)formylimino
	42	H	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	43	H	2-F-phenyl	guanidino
	44	H	2-F-phenyl	2-thiazolin-2-ylamine
25	45	H	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	46	H	2-F-phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	47	H	2-F-phenyl	N-methylimidazol-2-ylthio
	48	H	2-F-phenyl	t-butoxycarbonylamine
	49	H	2-F-phenyl	(N-pyrrolidino)formylimino
	50	H	2-F-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	51	H	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
30	52	H	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	53	-CN	phenyl	2-(Me) ₂ N-methyl)phenyl
	54	-CN	phenyl	2-(Me)NH-methyl)phenyl
	55	-CN	phenyl	2-(H ₂ N-methyl)phenyl
	56	-CN	phenyl	2-HOCH ₂ -phenyl
	57	-CN	2-F-phenyl	2-(Me) ₂ N-methyl)phenyl
	58	-CN	2-F-phenyl	2-(Me)NH-methyl)phenyl
35	59	-CN	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	60	-CN	2-F-phenyl	2-HOCH ₂ -phenyl
	61	-CN	phenyl	2-methylimidazol-1-yl
	62	-CN	phenyl	2-ethylimidazol-1-yl
40				
45				
50				
55				

63	-CN	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
64	-CN	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl	
65	-CN	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl	
66	-CN	2-F-phenyl	2-methylimidazol-1-yl	
5	67	-CN	2-F-phenyl	2-ethylimidazol-1-yl
68	-CN	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
69	-CN	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl	
70	-CN	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl	
10	71	-CN	2-C1-phenyl	2-methylimidazol-1-yl
72	-CN	2-C1-phenyl	2-ethylimidazol-1-yl	
73	-CN	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
74	-CN	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl	
15	75	-CN	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
76	-CN	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl	
77	-CN	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl	
78	-CN	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
79	-CN	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl	
80	-CN	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl	
20	81	-CN	phenyl	N-methylimidazol-2-yl
82	-CN	phenyl	4-methylimidazol-5-yl	
83	-CN	phenyl	5-CF ₃ -pyrazol-1-yl	
84	-CN	2-F-phenyl	N-methylimidazol-2-yl	
85	-CN	2-F-phenyl	4-methylimidazol-5-yl	
25	86	-CN	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
87	-CN	phenyl	guanidino	
88	-CN	phenyl	2-thiazolin-2-ylamine	
89	-CN	phenyl	N-methyl-2-imidazolin-2-yl	
90	-CN	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl	
30	91	-CN	phenyl	N-methylimidazol-2-ylthiol
92	-CN	phenyl	t-butoxycarbonylamine	
93	-CN	phenyl	(N-pyrrolidino)formylimino	
94	-CN	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino	
35	95	-CN	2-F-phenyl	guanidino
96	-CN	2-F-phenyl	2-thiazolin-2-ylamine	
97	-CN	2-F-phenyl	N-methyl-2-imidazolin-2-yl	
98	-CN	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl	
40	99	-CN	2-F-phenyl	N-methylimidazol-2-ylthio
100	-CN	2-F-phenyl	t-butoxycarbonylamine	
101	-CN	2-F-phenyl	(N-pyrrolidino)formylimino	
102	-CN	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino	
45	103	-CN	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
104	-CN	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino	
50	105	CF ₃	phenyl	2-((Me) ₂ N-methyl)phenyl
106	CF ₃	phenyl	2-((Me)NH-methyl)phenyl	
107	CF ₃	phenyl	2-(H ₂ N-methyl)phenyl	
108	CF ₃	phenyl	2-HOCH ₂ -phenyl	
109	CF ₃	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl	
110	CF ₃	2-F-phenyl	2-((Me)NH-methyl)phenyl	
111	CF ₃	2-F-phenyl	2-(H ₂ N-methyl)phenyl	

112	CF ₃	2-F-phenyl	2-HOCH ₂ -phenyl	
113	CF ₃	phenyl	2-methylimidazol-1-yl	
114	CF ₃	phenyl	2-ethylimidazol-1-yl	
115	CF ₃	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl	
5	116	CF ₃	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	117	CF ₃	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	118	CF ₃	2-F-phenyl	2-methylimidazol-1-yl
	119	CF ₃	2-F-phenyl	2-ethylimidazol-1-yl
	120	CF ₃	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
10	121	CF ₃	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	122	CF ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	123	CF ₃	2-C1-phenyl	2-methylimidazol-1-yl
	124	CF ₃	2-C1-phenyl	2-ethylimidazol-1-yl
	125	CF ₃	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
15	126	CF ₃	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	127	CF ₃	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	128	CF ₃	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
	129	CF ₃	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
	130	CF ₃	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
20	131	CF ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	132	CF ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	133	CF ₃	phenyl	N-methylimidazol-2-yl
	134	CF ₃	phenyl	4-methylimidazol-5-yl
	135	CF ₃	phenyl	5-CF ₃ -pyrazol-1-yl
25	136	CF ₃	2-F-phenyl	N-methylimidazol-2-yl
	137	CF ₃	2-F-phenyl	4-methylimidazol-5-yl
	138	CF ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
	139	CF ₃	phenyl	guanidino
	140	CF ₃	phenyl	2-thiazolin-2-ylamine
30	141	CF ₃	phenyl	N-methyl-2-imidazolin-2-yl
	142	CF ₃	phenyl	N-methyl-1,4,5,6-
	143	CF ₃	phenyl	tetrahydropyrimid-2-yl
	144	CF ₃	phenyl	N-methylimidazol-2-ylthiol
35	145	CF ₃	phenyl	t-butoxycarbonylamine
	146	CF ₃	phenyl	(N-pyrrolidino)formylimino
	147	CF ₃	2-F-phenyl	(N-pyrrolidino)formyl-N-
	148	CF ₃	2-F-phenyl	(methanesulfamoyl)imino
40	149	CF ₃	2-F-phenyl	guanidino
	150	CF ₃	2-F-phenyl	2-thiazolin-2-ylamine
	151	CF ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	152	CF ₃	2-F-phenyl	N-methyl-1,4,5,6-
45	153	CF ₃	2-F-phenyl	tetrahydropyrimid-2-yl
	154	CF ₃	2-F-phenyl	N-methylimidazol-2-ylthio
	155	CF ₃	2-CH ₃ O-phenyl	t-butoxycarbonylamine
	156	CF ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
50	157	CONH ₂	phenyl	(N-pyrrolidino)formyl-N-
	158	CONH ₂	phenyl	(methanesulfamoyl)imino
			2-((Me) ₂ N-methyl)phenyl	
			2-((Me)NH-methyl)phenyl	

159	CONH ₂	phenyl	2-(H ₂ N-methyl)phenyl	
160	CONH ₂	phenyl	2-HOCH ₂ -phenyl	
161	CONH ₂	2-F-phenyl	2-(Me) ₂ N-methyl)phenyl	
162	CONH ₂	2-F-phenyl	2-(Me)NH-methyl)phenyl	
5	163	CONH ₂	2-F-phenyl	2-(H ₂ N-methyl)phenyl
	164	CONH ₂	2-F-phenyl	2-HOCH ₂ -phenyl
	165	CONH ₂	phenyl	2-methylimidazol-1-yl
	166	CONH ₂	phenyl	2-ethylimidazol-1-yl
	167	CONH ₂	phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
10	168	CONH ₂	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	169	CONH ₂	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	170	CONH ₂	2-F-phenyl	2-methylimidazol-1-yl
	171	CONH ₂	2-F-phenyl	2-ethylimidazol-1-yl
	172	CONH ₂	2-F-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
15	173	CONH ₂	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	174	CONH ₂	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	175	CONH ₂	2-C1-phenyl	2-methylimidazol-1-yl
	176	CONH ₂	2-C1-phenyl	2-ethylimidazol-1-yl
	177	CONH ₂	2-C1-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
20	178	CONH ₂	2-C1-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	179	CONH ₂	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	180	CONH ₂	2-(Me) ₂ N-phenyl	2-methylimidazol-1-yl
	181	CONH ₂	2-(Me) ₂ N-phenyl	2-ethylimidazol-1-yl
	182	CONH ₂	2-(Me) ₂ N-phenyl	2-(Me) ₂ N-methyl)imidazol-1-yl
25	183	CONH ₂	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
	184	CONH ₂	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
	185	CONH ₂	phenyl	N-methylimidazol-2-yl
	186	CONH ₂	phenyl	4-methylimidazol-5-yl
	187	CONH ₂	phenyl	5-CF ₃ -pyrazol-1-yl
30	188	CONH ₂	2-F-phenyl	N-methylimidazol-2-yl
	189	CONH ₂	2-F-phenyl	4-methylimidazol-5-yl
	190	CONH ₂	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
	191	CONH ₂	phenyl	guanidino
	192	CONH ₂	phenyl	2-thiazolin-2-ylamine
35	193	CONH ₂	phenyl	N-methyl-2-imidazolin-2-yl
	194	CONH ₂	phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	195	CONH ₂	phenyl	N-methylimidazol-2-ylthiol
	196	CONH ₂	phenyl	t-butoxycarbonylamine
40	197	CONH ₂	phenyl	(N-pyrrolidino)formylimino
	198	CONH ₂	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	199	CONH ₂	2-F-phenyl	guanidino
	200	CONH ₂	2-F-phenyl	2-thiazolin-2-ylamine
45	201	CONH ₂	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	202	CONH ₂	2-F-phenyl	N-methyl-1,4,5,6- tetrahydropyrimid-2-yl
	203	CONH ₂	2-F-phenyl	N-methylimidazol-2-ylthio
	204	CONH ₂	2-F-phenyl	t-butoxycarbonylamine
50	205	CONH ₂	2-F-phenyl	(N-pyrrolidino)formylimino
	206	CONH ₂	2-F-phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino

207	CONH ₂	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
208	CONH ₂	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
5	209	SCH ₃	phenyl
	210	SCH ₃	phenyl
	211	SCH ₃	phenyl
	212	SCH ₃	phenyl
	213	SCH ₃	2-F-phenyl
	214	SCH ₃	2-F-phenyl
10	215	SCH ₃	2-F-phenyl
	216	SCH ₃	2-F-phenyl
	217	SCH ₃	phenyl
	218	SCH ₃	phenyl
15	219	SCH ₃	phenyl
	220	SCH ₃	phenyl
	221	SCH ₃	phenyl
	222	SCH ₃	2-F-phenyl
	223	SCH ₃	2-F-phenyl
	224	SCH ₃	2-F-phenyl
20	225	SCH ₃	2-F-phenyl
	226	SCH ₃	2-F-phenyl
	227	SCH ₃	2-C1-phenyl
	228	SCH ₃	2-C1-phenyl
	229	SCH ₃	2-C1-phenyl
25	230	SCH ₃	2-C1-phenyl
	231	SCH ₃	2-C1-phenyl
	232	SCH ₃	2-(Me) ₂ N-phenyl
	233	SCH ₃	2-(Me) ₂ N-phenyl
	234	SCH ₃	2-(Me) ₂ N-phenyl
30	235	SCH ₃	2-(Me) ₂ N-phenyl
	236	SCH ₃	2-(Me) ₂ N-phenyl
	237	SCH ₃	phenyl
	238	SCH ₃	phenyl
	239	SCH ₃	phenyl
35	240	SCH ₃	2-F-phenyl
	241	SCH ₃	2-F-phenyl
	242	SCH ₃	2-F-phenyl
	243	SCH ₃	phenyl
	244	SCH ₃	phenyl
40	245	SCH ₃	phenyl
	246	SCH ₃	phenyl
	247	SCH ₃	phenyl
	248	SCH ₃	phenyl
45	249	SCH ₃	phenyl
	250	SCH ₃	phenyl
	251	SCH ₃	2-F-phenyl
	252	SCH ₃	2-F-phenyl
50	253	SCH ₃	2-F-phenyl
	254	SCH ₃	2-F-phenyl

255	SCH ₃	2-F-phenyl	N-methylimidazol-2-ylthio
256	SCH ₃	2-F-phenyl	t-butoxycarbonylamine
257	SCH ₃	2-F-phenyl	(N-pyrrolidino)formylimino
258	SCH ₃	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
5			
259	SCH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
260	SCH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
10	261	SO ₂ CH ₃	phenyl
	262	SO ₂ CH ₃	phenyl
	263	SO ₂ CH ₃	phenyl
	264	SO ₂ CH ₃	phenyl
	265	SO ₂ CH ₃	2-F-phenyl
	266	SO ₂ CH ₃	2-F-phenyl
15	267	SO ₂ CH ₃	2-F-phenyl
	268	SO ₂ CH ₃	2-F-phenyl
	269	SO ₂ CH ₃	phenyl
	270	SO ₂ CH ₃	phenyl
	271	SO ₂ CH ₃	phenyl
20	272	SO ₂ CH ₃	phenyl
	273	SO ₂ CH ₃	phenyl
	274	SO ₂ CH ₃	2-F-phenyl
	275	SO ₂ CH ₃	2-F-phenyl
	276	SO ₂ CH ₃	2-F-phenyl
25	277	SO ₂ CH ₃	2-F-phenyl
	278	SO ₂ CH ₃	2-F-phenyl
	279	SO ₂ CH ₃	2-C1-phenyl
	280	SO ₂ CH ₃	2-C1-phenyl
	281	SO ₂ CH ₃	2-C1-phenyl
30	282	SO ₂ CH ₃	2-C1-phenyl
	283	SO ₂ CH ₃	2-C1-phenyl
	284	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
	285	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
	286	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
35	287	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
	288	SO ₂ CH ₃	2-(Me) ₂ N-phenyl
	289	SO ₂ CH ₃	phenyl
	290	SO ₂ CH ₃	phenyl
	291	SO ₂ CH ₃	phenyl
40	292	SO ₂ CH ₃	2-F-phenyl
	293	SO ₂ CH ₃	2-F-phenyl
	294	SO ₂ CH ₃	2-F-phenyl
	295	SO ₂ CH ₃	phenyl
	296	SO ₂ CH ₃	phenyl
45	297	SO ₂ CH ₃	phenyl
	298	SO ₂ CH ₃	phenyl
	299	SO ₂ CH ₃	phenyl
50	300	SO ₂ CH ₃	phenyl
	301	SO ₂ CH ₃	phenyl
	302	SO ₂ CH ₃	phenyl

303	SO ₂ CH ₃	2-F-phenyl	guanidino
304	SO ₂ CH ₃	2-F-phenyl	2-thiazolin-2-ylamine
305	SO ₂ CH ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
306	SO ₂ CH ₃	2-F-phenyl	N-methyl-1,4,5,6-
5			tetrahydropyrimid-2-yl
307	SO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-ylthio
308	SO ₂ CH ₃	2-F-phenyl	t-butoxycarbonylamine
309	SO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formylimino
310	SO ₂ CH ₃	2-F-phenyl	(N-pyrrolidino)formyl-N-
10			(methanesulfamoyl)imino
311	SO ₂ CH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formylimino
312	SO ₂ CH ₃	2-CH ₃ O-phenyl	(N-pyrrolidino)formyl-N-
			(methanesulfamoyl)imino
15	313	NHSO ₂ CH ₃	phenyl
314	NHSO ₂ CH ₃	phenyl	2-((Me) ₂ N-methyl)phenyl
315	NHSO ₂ CH ₃	phenyl	2-((Me)NH-methyl)phenyl
316	NHSO ₂ CH ₃	phenyl	2-(H ₂ N-methyl)phenyl
317	NHSO ₂ CH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)phenyl
318	NHSO ₂ CH ₃	2-F-phenyl	2-((Me)NH-methyl)phenyl
20	319	NHSO ₂ CH ₃	2-F-phenyl
320	NHSO ₂ CH ₃	2-F-phenyl	2-(H ₂ N-methyl)phenyl
321	NHSO ₂ CH ₃	phenyl	2-HOCH ₂ -phenyl
322	NHSO ₂ CH ₃	phenyl	2-methylimidazol-1-yl
25	323	NHSO ₂ CH ₃	phenyl
324	NHSO ₂ CH ₃	phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
325	NHSO ₂ CH ₃	phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
326	NHSO ₂ CH ₃	2-F-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
327	NHSO ₂ CH ₃	2-F-phenyl	2-methylimidazol-1-yl
328	NHSO ₂ CH ₃	2-F-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
30	329	NHSO ₂ CH ₃	2-F-phenyl
330	NHSO ₂ CH ₃	2-F-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
331	NHSO ₂ CH ₃	2-C1-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
332	NHSO ₂ CH ₃	2-C1-phenyl	2-methylimidazol-1-yl
333	NHSO ₂ CH ₃	2-C1-phenyl	2-ethylimidazol-1-yl
35	334	NHSO ₂ CH ₃	2-C1-phenyl
335	NHSO ₂ CH ₃	2-C1-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
336	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
337	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
338	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-((Me) ₂ N-methyl)imidazol-1-yl
40	339	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl
340	NHSO ₂ CH ₃	2-(Me) ₂ N-phenyl	2-CH ₃ SO ₂ -imidazol-1-yl
341	NHSO ₂ CH ₃	phenyl	2-CH ₃ OCH ₂ -imidazol-1-yl
342	NHSO ₂ CH ₃	phenyl	N-methylimidazol-2-yl
45	343	NHSO ₂ CH ₃	phenyl
344	NHSO ₂ CH ₃	2-F-phenyl	4-methylimidazol-5-yl
345	NHSO ₂ CH ₃	2-F-phenyl	5-CF ₃ -pyrazol-1-yl
346	NHSO ₂ CH ₃	2-F-phenyl	N-methylimidazol-2-yl
347	NHSO ₂ CH ₃	phenyl	4-methylimidazol-5-yl
348	NHSO ₂ CH ₃	phenyl	5-CF ₃ -pyrazol-1-yl
50	349	NHSO ₂ CH ₃	phenyl
350	NHSO ₂ CH ₃	phenyl	guanidino
			2-thiazolin-2-ylamine
			N-methyl-2-imidazolin-2-yl
			N-methyl-1,4,5,6-
			tetrahydropyrimid-2-yl

351	NHSO ₂ CH ₃	phenyl	N-methylimidazol-2-ylthiol
352	NHSO ₂ CH ₃	phenyl	t-butoxycarbonylamine
353	NHSO ₂ CH ₃	phenyl	(N-pyrrolidino)formylimino
354	NHSO ₂ CH ₃	phenyl	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
5			guanidino
355	NHSO ₂ CH ₃	2-F-phenyl	2-thiazolin-2-ylamine
356	NHSO ₂ CH ₃	2-F-phenyl	N-methyl-2-imidazolin-2-yl
357	NHSO ₂ CH ₃	2-F-phenyl	N-methyl-1,4,5,6-
10	358	NHSO ₂ CH ₃	tetrahydropyrimid-2-yl
	359	NHSO ₂ CH ₃	N-methylimidazol-2-ylthio
	360	NHSO ₂ CH ₃	t-butoxycarbonylamine
	361	NHSO ₂ CH ₃	(N-pyrrolidino)formylimino
15	362	NHSO ₂ CH ₃	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino
	363	NHSO ₂ CH ₃	(N-pyrrolidino)formylimino
	364	NHSO ₂ CH ₃	(N-pyrrolidino)formyl-N- (methanesulfamoyl)imino

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa or thrombin.

The effectiveness of compounds of the present invention as inhibitors of factor Xa was determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) was measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which was monitored spectrophotometrically by measuring the increase in absorbance at 405 nm. A decrease in the rate of absorbance change at 405 nm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant, K_i .

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant, K_m , for substrate hydrolysis was determined at 25°C using the method of Lineweaver and Burk. Values of K_i were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship was used to calculate K_i values:

$$(v_0 - v_s) / v_s = I / (K_i (1 + S/K_m))$$

where:

v_0 is the velocity of the control in the absence of inhibitor;

v_s is the velocity in the presence of inhibitor;

5 I is the concentration of inhibitor;

K_i is the dissociation constant of the enzyme:inhibitor complex;

S is the concentration of substrate;

K_m is the Michaelis constant.

10 Using the methodology described above, a number of compounds of the present invention were found to exhibit a K_i of $\leq 15 \mu M$, thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

15 The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the 20 femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AV-shunt into the femoral vein. The exposure of flowing blood to a silk thread will induce the formation of a significant 25 thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group.

30 The ID50 values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

35 The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid class of enzymes. Specifically, the compounds have utility as drugs for the

treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

5 Some compounds of the present invention were shown to be direct acting inhibitors of the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. *In vitro* inhibition constants were determined by the method described
10 by Kettner et al. in *J. Biol. Chem.* **265**, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombin-mediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) was monitored spectrophotometrically. Addition of an inhibitor to the assay
15 mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, was incubated with various substrate
20 concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of incubation, thrombin activity was assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as
25 a function of substrate concentration using the standard method of Lineweaver and Burk. Using the methodology described above, some compounds of this invention were evaluated and found to exhibit a K_i of less than 15 μ m, thereby confirming the utility of the compounds of the present
30 invention as effective Xa inhibitors.

The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anti-coagulant or coagulation inhibitory agents, anti-platelet or
35 platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically"

effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or 5 the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination 10 each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory 15 agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

20 The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal anti- 25 inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the NSAIDS, aspirin (acetylsalicylic acid or ASA), and piroxicam 30 are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents 35 include IIb/IIIa antagonists, thromboxane-A2-receptor antagonists and thromboxane-A2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boropeptides, heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal a-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. Patent No. 5,187,157 and European Patent Application Publication Number 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in PCT Application Publication Number 92/07869 and European Patent Application Publication Number 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby

incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

5 Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side 10 effects, thereby providing an increased margin of safety.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound. When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

25 The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the 30 compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but no compound of the present invention, then one would conclude factor Xa was present.

35 Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations),

5 pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

10 The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the 15 recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient, and the effect desired. A physician or veterinarian can determine and prescribe the effective amount of the drug 20 required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body weight, 25 preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single 30 daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin 35 patches. When administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

5 The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

10 For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl cellulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable 15 binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include 20 sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like. 25

30 The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

35 Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol,

polyhydroxyethylaspartamidephenol, or polyethyleneoxide-polylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition,

parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

5 Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

10 Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams 15 magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump 20 into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

Tablets may be prepared by conventional procedures so 25 that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11 milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase 30 palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. 35 The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are administered in combination with thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolytic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are 5 combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is, reduced). For example, one active ingredient may be enteric coated. By enteric coating 10 one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather 15 is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component 20 can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is 25 also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

30 These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the 35 art, once armed with the present disclosure.

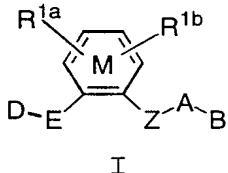
Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the

scope of the appended claims, the invention may be practiced otherwise than as specifically described herein.

WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTER
PATENT OF UNITED STATES IS:

1. A compound of formula I:

5



or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein;

10

ring M contains from 0-4 N atoms;

D is selected from CN, C(=NR⁷)NR⁸R⁹, NHC(=NR⁷)NR⁸R⁹, NR⁸CH(=NR⁷), C(O)NR⁸R⁹, and (CR⁸R⁹)_tNR⁸R⁹;

15

E is selected from phenyl, 2-pyridyl, 4-pyridyl, pyrimidyl, and piperidinyl substituted with 1 R;

20 R is selected from H, F, Cl, Br, I, OR³, SR³, CO₂R³, NO₂, and CH₂OR³, and (CR⁸R⁹)_tNR⁸R⁹;

alternatively, E and R combine to form methylenedioxy or ethylenedioxy;

25

Z is selected from a bond, C₁₋₄ alkylene, (CH₂)_rO(CH₂)_r, (CH₂)_rNR³(CH₂)_r, (CH₂)_rC(O)(CH₂)_r, (CH₂)_rC(O)O(CH₂)_r,

(CH₂)_rOC(O)(CH₂)_r, (CH₂)_rC(O)NR³(CH₂)_r,

(CH₂)_rNR³C(O)(CH₂)_r, (CH₂)_rOC(O)O(CH₂)_r,

(CH₂)_rOC(O)NR³(CH₂)_r, (CH₂)_rNR³C(O)O(CH₂)_r,

30

(CH₂)_rNR³C(O)NR³(CH₂)_r, (CH₂)_rS(O)_p(CH₂)_r,

(CH₂)_rSO₂NR³(CH₂)_r, (CH₂)_rNR³SO₂(CH₂)_r, and

(CH₂)_rNR³SO₂NR³(CH₂)_r, provided that Z does not form a N-N, N-O, N-S, NCH₂N, NCH₂O, or NCH₂S bond with ring M or group A;

35

R^{1a} and R^{1b} are independently absent or selected from -(CH₂)_r-R^{1'}, -CH=CH-R^{1'}, NCH₂R^{1''}, OCH₂R^{1''}, SCH₂R^{1''}, NH(CH₂)₂(CH₂)_tR^{1'}, O(CH₂)₂(CH₂)_tR^{1'}, and S(CH₂)₂(CH₂)_tR^{1'};

5 alternatively, R^{1a} and R^{1b}, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R⁴ and which contains from 0-2 heteroatoms selected from the group 10 consisting of N, O, and S;

alternatively, when Z is C(O)NH and R^{1a} is attached to a ring carbon adjacent to Z, then R^{1a} is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide;

15 R^{1'} is selected from H, C₁₋₃ alkyl, F, Cl, Br, I, -CN, -CHO, (CF₂)_rCF₃, (CH₂)_rOR², NR²R^{2a}, C(O)R^{2c}, OC(O)R², (CF₂)_rCO₂R^{2c}, S(O)_pR^{2b}, NR²(CH₂)_rOR², CH(=NR^{2c})NR²R^{2a}, 20 NR²C(O)R^{2b}, NR²C(O)NHR^{2b}, NR²C(O)₂R^{2a}, OC(O)NR^{2a}R^{2b}, C(O)NR²R^{2a}, C(O)NR²(CH₂)_rOR², SO₂NR²R^{2a}, NR²SO₂R^{2b}, C₃₋₆ carbocyclic residue substituted with 0-2 R⁴, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R⁴;

25 R^{1''} is selected from H, CH(CH₂OR²)₂, C(O)R^{2c}, C(O)NR²R^{2a}, S(O)R^{2b}, S(O)₂R^{2b}, and SO₂NR²R^{2a};

30 R², at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl, benzyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

35 R^{2a}, at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl, benzyl, phenethyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system

containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

5 R^{2b}, at each occurrence, is selected from CF₃, C₁₋₄ alkoxy, C₁₋₆ alkyl, benzyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

10 R^{2c}, at each occurrence, is selected from CF₃, OH, C₁₋₄ alkoxy, C₁₋₆ alkyl, benzyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

15 alternatively, R² and R^{2a}, together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1
20 additional heteroatoms selected from the group consisting of N, O, and S;

25 R³, at each occurrence, is selected from H, C₁₋₄ alkyl, and phenyl;

30 R^{3a}, at each occurrence, is selected from H, C₁₋₄ alkyl, and phenyl;

R^{3b}, at each occurrence, is selected from H, C₁₋₄ alkyl, and phenyl;

R^{3c}, at each occurrence, is selected from C₁₋₄ alkyl, and phenyl;

35 A is selected from:

C₃₋₁₀ carbocyclic residue substituted with 0-2 R⁴, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R⁴;

5 B is selected from: H, Y, and X-Y;

X is selected from C₁₋₄ alkylene, -CR²(CR^{2b})(CH₂)_t-, -C(O)-, -C(=NR¹)-, -CR²(NR¹R²)-, -CR²(OR²)-, -CR²(SR²)-, -C(O)CR²R^{2a}-, -CR²R^{2a}C(O), -S(O)_p-, -S(O)_pCR²R^{2a}-, -CR²R^{2a}S(O)_p-, -S(O)₂NR²-, -NR²S(O)₂-, -NR²S(O)₂CR²R^{2a}-, 10 -CR²R^{2a}S(O)₂NR²-, -NR²S(O)₂NR²-, -C(O)NR²-, -NR²C(O)-, -C(O)NR²CR²R^{2a}-, -NR²C(O)CR²R^{2a}-, -CR²R^{2a}C(O)NR²-, -CR²R^{2a}NR²C(O)-, -NR²C(O)O-, -OC(O)NR²-, -NR²C(O)NR²-, 15 -NR²-, -NR²CR²R^{2a}-, -CR²R^{2a}NR²-, O, -CR²R^{2a}O-, and -OCR²R^{2a}-,

Y is selected from:

(CH₂)_rNR²R^{2a}, provided that X-Y do not form a N-N, O-N, or S-N bond,

20 C₃₋₁₀ carbocyclic residue substituted with 0-2 R^{4a}, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

25 R⁴, at each occurrence, is selected from H, =O, (CH₂)_rOR², F, Cl, Br, I, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2c}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, NR²C(O)NR²R^{2a}, CH(=NR²)NR²R^{2a}, CH(=NS(O)₂R⁵)NR²R^{2a}, NHC(=NR²)NR²R^{2a}, 30 C(O)NHC(=NR²)NR²R^{2a}, SO₂NR²R^{2a}, NR²SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(O)_pR⁵, (CF₂)_rCF₃, NCH₂R¹-, OCH₂R¹-, SCH₂R¹-, N(CH₂)₂(CH₂)_tR¹-, O(CH₂)₂(CH₂)_tR¹-, and S(CH₂)₂(CH₂)_tR¹-,

35 alternatively, one R⁴ is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from H, =O, (CH₂)_rOR², (CH₂)_r-F, (CH₂)_r-Br, (CH₂)_r-Cl, I, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rNR²R^{2b}, (CH₂)_rC(O)R^{2c}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, C(O)NH(CH₂)₂NR²R^{2a}, NR²C(O)NR²R^{2a}, CH(=NR²)NR²R^{2a}, NHC(=NR²)NR²R^{2a}, SO₂NR²R^{2a}, NR²SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, C(O)NHSO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(O)_pR⁵, and (CF₂)_rCF₃;

10 alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S and substituted with 0-1 R⁵;

15 R^{4b}, at each occurrence, is selected from H, =O, (CH₂)_rOR³, F, Cl, Br, I, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR³R^{3a}, (CH₂)_rC(O)R³, (CH₂)_rC(O)OR^{3c}, NR³C(O)R^{3a}, C(O)NR³R^{3a}, NR³C(O)NR³R^{3a}, CH(=NR³)NR³R^{3a}, NH³C(=NR³)NR³R^{3a}, SO₂NR³R^{3a}, NR³SO₂NR³R^{3a}, NR³SO₂-C₁₋₄ alkyl, NR³SO₂CF₃, NR³SO₂-phenyl, S(O)_pCF₃, S(O)_p-C₁₋₄ alkyl, S(O)_p-phenyl, and (CF₂)_rCF₃;

20 R⁵, at each occurrence, is selected from CF₃, C₁₋₆ alkyl, phenyl substituted with 0-2 R⁶, and benzyl substituted with 0-2 R⁶;

25 R⁶, at each occurrence, is selected from H, OH, (CH₂)_rOR², F, Cl, Br, I, C₁₋₄ alkyl, CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2b}, NR²C(O)R^{2b}, NR²C(O)NR²R^{2a}, CH(=NH)NH₂, NHC(=NH)NH₂, SO₂NR²R^{2a}, NR²SO₂NR²R^{2a}, and NR²SO₂-C₁₋₄ alkyl;

30 R⁷, at each occurrence, is selected from H, OH, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy, C₁₋₄ alkoxy carbonyl, (CH₂)_n-phenyl, C₆₋₁₀ aryloxy, C₆₋₁₀ aryloxycarbonyl, C₆₋₁₀ arylmethylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxy carbonyl, C₆₋₁₀ arylcarbonyloxy C₁₋₄ alkoxy carbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl-C₁₋₄ alkoxy carbonyl;

35 R⁸, at each occurrence, is selected from H, C₁₋₆ alkyl and (CH₂)_n-phenyl;

alternatively, R⁷ and R⁸ combine to form a 5 or 6 membered
5 saturated, ring which contains from 0-1 additional
heteroatoms selected from the group consisting of N, O,
and S;

R⁹, at each occurrence, is selected from H, C₁₋₆ alkyl and
(CH₂)_n-phenyl;

10 n is selected from 0, 1, 2, and 3;

m is selected from 0, 1, and 2;

p is selected from 0, 1, and 2;

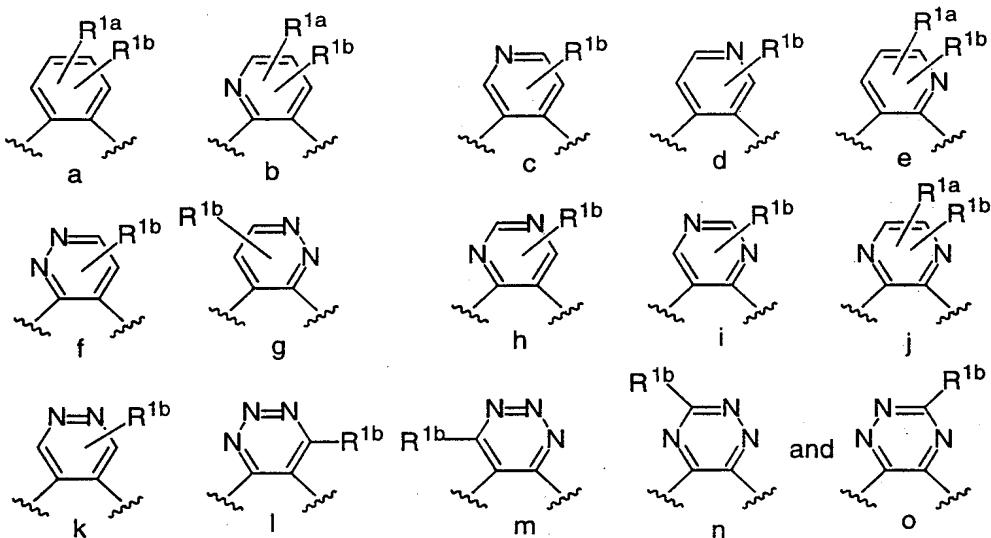
15 r is selected from 0, 1, 2, and 3;

s is selected from 0, 1, and 2; and,

20 t is selected from 0 and 1.

2. A compound according to Claim 1, wherein the compound is
of formulae Ia-Io:

25



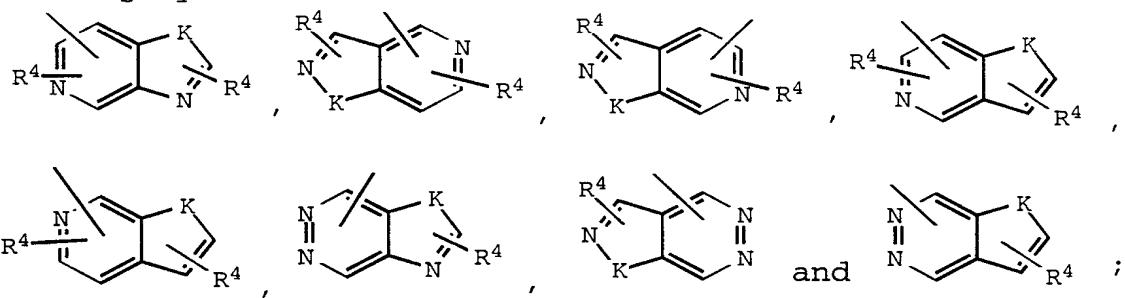
wherein:

Z is selected from a bond, CH_2O , OCH_2 , CH_2NH , NHCH_2 , $\text{CH}_2\text{C(O)}$,
5 C(O)CH_2 , C(O)NH , C(O)NH , $\text{CH}_2\text{S(O)}_2$, $\text{S(O)}_2(\text{CH}_2)$, SO_2NH , and
 SO_2NH ;

B is selected from: Y, X-Y, and NR^2R^{2a} ;

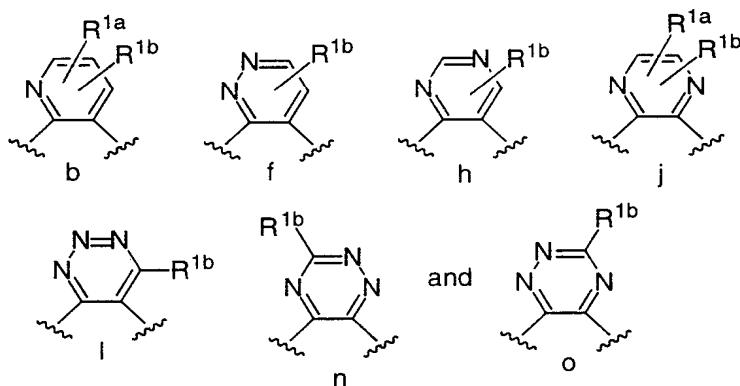
Y is selected from one of the following carbocyclic and
10 heterocyclic systems which are substituted with 0-2 R^{4a} ;
phenyl, piperidinyl, piperazinyl, pyridyl,
pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
15 pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
isothiazolyl, pyrazolyl, imidazolyl, oxadiazole,
thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-
oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-
thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-
thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-
20 triazole, 1,3,4-triazole, benzofuran, benzothiofuran,
indole, benzimidazole, benzoxazole, benzthiazole,
indazole, benzisoxazole, benzisothiazole, and
isoindazole;

Y may also be selected from the following bicyclic heteroaryl
25 ring systems:



K is selected from O, S, NH, and N.

30 3. A compound according to Claim 2, wherein the compound is
of formulae:



wherein:

D is selected from $C(=NR^7)NR^8R^9$ and $(CR^8R^9)_tNR^8R^9$;

5

R is selected from H, F, Cl, OR³, CH₂OR³, CH₂NH₂;

A is selected from:

piperidinyl,

10

piperazinyl,

C₅₋₆ carbocyclic residue substituted with 0-2 R⁴, and

5-6 membered heteroaryl containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R⁴;

15

Y is selected from one of the following carbocyclic and

heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperidinyl, piperazinyl, pyridyl,

20 pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,

pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,

isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl,

oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole,

1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole,

1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole,

25

1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-

triazole, and 1,3,4-triazole.

4. A compound according to Claim 3, wherein:

30

E is phenyl;

D is selected from C(=NH)NH₂ and CH₂NH₂;

5 R is selected from H, F, Cl, and Br;

A is selected from:

C₅₋₆ carbocyclic residue substituted with 0-2 R⁴, and
5-6 membered heteroaryl containing from 1-3 heteroatoms

10 selected from the group consisting of N, O, and S substituted
with 0-2 R⁴;

Y is selected from one of the following carbocyclic and
heterocyclic systems which are substituted with 0-2 R^{4a};

15 phenyl, piperidinyl, piperazinyl, pyridyl,
pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl,
oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole,
20 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole,
1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole,
1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-
triazole, and 1,3,4-triazole;

25 R², at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl,
benzyl, C₅₋₆ carbocyclic residue substituted with 0-2
R^{4b}, and 5-6 membered heterocyclic system containing from
1-4 heteroatoms selected from the group consisting of N,
O, and S substituted with 0-2 R^{4b};

30 R^{2a}, at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl,
benzyl, phenethyl, C₅₋₆ carbocyclic residue substituted
with 0-2 R^{4b}, and 5-6 membered heterocyclic system
containing from 1-4 heteroatoms selected from the group
35 consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF₃, C₁₋₄ alkoxy,
C₁₋₆ alkyl, benzyl, C₅₋₆ carbocyclic residue substituted

with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

5 R^{2c}, at each occurrence, is selected from CF₃, OH, C₁₋₄ alkoxy, C₁₋₆ alkyl, benzyl, C₅₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

10

alternatively, R² and R^{2a}, together with the atom to which they are attached, combine to form a ring selected from imidazolyl, morpholino, piperazinyl, pyridyl, and pyrrolidinyl, substituted with 0-2 R^{4b};

15

R⁴, at each occurrence, is selected from H, =O, OR², CH₂OR², F, Cl, C₁₋₄ alkyl, NR²R^{2a}, CH₂NR²R^{2a}, C(O)R^{2c}, CH₂C(O)R^{2c}, C(O)NR²R^{2a}, CH(=NR²)NR²R^{2a}, CH(=NS(O)₂R⁵)NR²R^{2a}, SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, S(O)₂R⁵, and CF₃

20

provided that if B is H, then R⁴ is other than tetrazole, C(O)-alkoxy, and C(O)NR²R^{2a};

25

R^{4a}, at each occurrence, is selected from H, =O, (CH₂)_rOR², F, Cl, C₁₋₄ alkyl, NR²R^{2a}, CH₂NR²R^{2a}, NR²R^{2b}, CH₂NR²R^{2b}, (CH₂)_rC(O)R^{2c}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, C(O)NH(CH₂)₂NR²R^{2a}, NR²C(O)NR²R^{2a}, SO₂NR²R^{2a}, S(O)₂R⁵, and CF₃; and,

30

R^{4b}, at each occurrence, is selected from H, =O, (CH₂)_rOR³, F, Cl, C₁₋₄ alkyl, NR³R^{3a}, CH₂NR³R^{3a}, C(O)R³, CH₂C(O)R³, C(O)OR^{3c}, C(O)NR³R^{3a}, CH(=NR³)NR³R^{3a}, SO₂NR³R^{3a}, NR³SO₂-C₁₋₄ alkyl, NR³SO₂CF₃, NR³SO₂-phenyl, S(O)₂CF₃, S(O)₂-C₁₋₄ alkyl, S(O)₂-phenyl, and CF₃.

35

5. A compound according to Claim 1, wherein the compound is selected from:

N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide;

5 N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide; and,

10 N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide;

or a pharmaceutically acceptable salt thereof.

15

6. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

20

7. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.

25

8. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 3 or a pharmaceutically acceptable salt thereof.

30

9. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 4 or a pharmaceutically acceptable salt thereof.

35

10. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically

effective amount of a compound according to Claim 5 or a pharmaceutically acceptable salt thereof.

11. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

10 12. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.

15 13. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 3 or a pharmaceutically acceptable salt thereof.

20 14. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 4 or a pharmaceutically acceptable salt thereof.

25 15. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 5 or a pharmaceutically acceptable salt thereof.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 98/12682

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D213/79 A61K31/44

According to International Patent Classification(IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 96 16940 A (YAMANOUCHI PHARMA CO LTD ;HIRAYAMA FUKUSHI (JP); KOSHIO HIROYUKI () 6 June 1996 see the whole document & EP 0 798 295 A	1-15
Y	KUNITADA S ET AL: "FACTOR XA INHIBITORS" CURRENT PHARMACEUTICAL DESIGN, vol. 2, no. 5, October 1996, pages 531-542, XP002057653 * see page 538, table 7 * see the whole document	1-15
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Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance
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Date of the actual completion of the international search

13 November 1998

Date of mailing of the international search report

02.12.98

Name and mailing address of the ISA

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Stellmach, J

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 98/12682

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EDMUND S J J ET AL: "THROMBIN AND FACTOR XA INHIBITION" ANNUAL REPORTS IN MEDICINAL CHEMISTRY, vol. 31, 1996, pages 51-60, XP000653962 see the whole document ---	1-15
Y	TIDWELL R R ET AL: "STRATEGIES FOR ANTICOAGULATION WITH SYNTHETIC PROTEASE INHIBITORS XA INHIBITORS VERSUS THROMBIN INHIBITORS" THROMBOSIS RESEARCH, vol. 19, no. 3, 1 August 1980, pages 339-349, XP000574196 see the whole document ---	1-15
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Y	WO 95 18111 A (DU PONT MERCK PHARMA) 6 July 1995 cited in the application see the whole document ---	1-15
Y	WO 96 28427 A (BERLEX LAB ;BUCKMAN BRAD O (US); DAVEY DAVID D (US); GUILFORD WILL) 19 September 1996 cited in the application see the whole document ---	1-15
P, X	WO 97 38984 A (DU PONT MERCK PHARMA) 23 October 1997 see the whole document ---	1-15
P, X	WO 97 23212 A (DU PONT MERCK PHARMA) 3 July 1997 see the whole document ---	1-15
P, X	WO 98 06694 A (DU PONT MERCK PHARMA) 19 February 1998 see the whole document ---	1-15
P, X	WO 98 11094 A (SCHERING AG) 19 March 1998 see the whole document ---	1-15
E	WO 98 28269 A (DU PONT MERCK PHARMA) 2 July 1998 see the whole document ---	1-15
	-/-	

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 98/12682

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 98 28282 A (DU PONT MERCK PHARMA) 2 July 1998 see the whole document -----	1-15

INTERNATIONAL SEARCH REPORTInternational application No.
PCT/US 98/12682**Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: -
because they relate to subject matter not required to be searched by this Authority, namely:
see FURTHER INFORMATION sheet PCT/ISA/210
2. Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Although claims 11-15 are directed to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

For economical reasons (cf. PCT-Search Guidelines, C-III,2.1), a complete search has been limited to the classification units governed by the compounds listed in claim 5 and in the examples 1-4 in table 1 on page 43 of the description i.e. claims 1 - 10 were searched incompletely).

It is stressed that the small fixed part of the molecule(s) and the large number of theoretically conceivable and chemically totally different families of compounds deriving from combinations of all claimed substituents and linker groups (see 'inter alia' the definition of M, E, R1a, R1b, R2, R2a, R2b, R2c, A, Y, R4 and R4a) which represent all together more than 35 structural parameters precludes a comprehensive search (cf. PCT Articles 6 and 15 and PCT Rule 33, Examination Guidelines, B-III, 3.6).

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. Application No

PCT/US 98/12682

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